

1-10 2-3 2-4 3-5 3-9 5-6 6-7 7-8 8-9 10-17 17-18 17-19

exact bonds :

1-2 1-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :

containing 3 : 11 :

Match level :

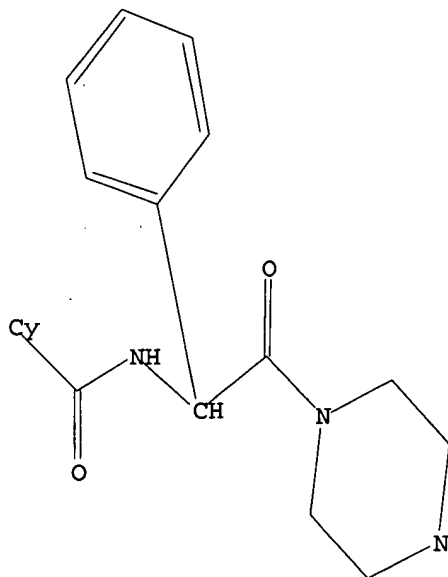
1:CLASS 2:CLASS 3:Atom 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:55:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED 36 ITERATIONS

11 ANSWERS

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 360 TO 1080

PROJECTED ANSWERS: 22 TO 418

L2 11 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:55:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 836 TO ITERATE

100.0% PROCESSED 836 ITERATIONS

328 ANSWERS

SEARCH TIME: 00.00.01

L3 328 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 14:55:49 ON 14 SEP 2006

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FILE COVERS 1907 - 14 Sep 2006 VOL 145 ISS 12

FILE LAST UPDATED: 13 Sep 2006 (20060913/ED)

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=> s l3

L4 21 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:411919 CAPLUS
DOCUMENT NUMBER: 144:445163
TITLE: Use of dipyridamole in combination with antithrombotics for treatment and prevention of thromboembolic diseases
INVENTOR(S): Eisert, Wolfgang
PATENT ASSIGNER(S): Boehringer Ingelheim International GmbH, Germany;
Boehringer Ingelheim Pharma GmbH & Co. KG
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006045756	A1	20060504	WO 2005-EP55446	20051021
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: EP 2004-25283 A 20041025

AB The invention relates to a method for treating and preventing thromboembolic disorders, comprising administering dipyridamole in combination with an antithrombotic selected from direct thrombin inhibitors, factor Xa inhibitors and combined thrombin/factor Xa inhibitors to a patient, as well as pharmaceutical compns. suitable for this method of treatment and the use of dipyridamole for the manufacture of these pharmaceutical compns.

IT 313489-71-3, LY 517717 313489-71-3D, prodrug deriva. and stereoisomers
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dipyridamole-antithrombotic agent combination for treatment and prevention of thromboembolic diseases)

RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:104456 CAPLUS
DOCUMENT NUMBER: 144:192496
TITLE: Neutralization preparation of the antithrombotic 1-(indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate
INVENTOR(S): Bush, Julie Kay
PATENT ASSIGNER(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006011955	A1	20060202	WO 2005-US20490	20050613
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2004-583599P P 20040630

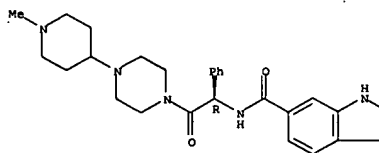
AB 1-(indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate, a storage-stable salt, prepared by the neutralization of 1-(indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine with D-tartaric acid, is claimed for use in pharmaceutical formulations for the treatment of thrombotic disorders.

IT 874893-43-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(neutralization preparation of the antithrombotic 1-(indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate)
RN 874893-43-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1
CRN 313489-71-3
CMP C27 H33 N5 O2

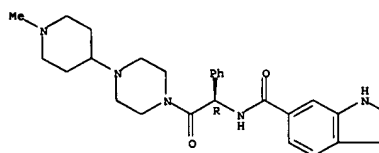
Absolute stereochemistry. Rotation (-).

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



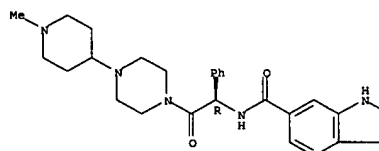
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



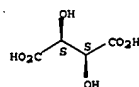
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



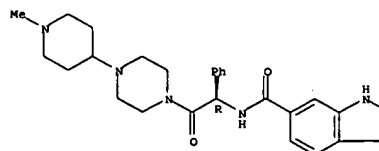
CM 2
CRN 147-71-7
CMP C4 H6 O6

Absolute stereochemistry.



IT 313489-71-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(neutralization preparation of the antithrombotic 1-(indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate)
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2005:962243 CAPLUS

DOCUMENT NUMBER: 143:248301

TITLE: Preparation of substituted quinolines as MTP/Apo-B secretion inhibitors for treating obesity and associated conditions

INVENTOR(S): Bertinato, Peter; Couturier, Michel Andre; Hamanaka, Ernest Seichi; Ewing, Marcus Douglas; Robinson, Ralph

PATENT ASSIGNEE(S): Pelton, Jr.; Tickner, Derek Lawrence

SOURCE: Pfizer Products Inc., USA

DOCUMENT TYPE: PCT Int. Appl., 162 pp.

LANGUAGE: CODEN: PIXX22

FAMILY ACC. NUM. COUNT: Patent

PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080373	A1	20050901	WO 2005-IB167	20050124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005214159	A1	20050901	AU 2005-214159	20050124
US 2005234099	A1	20051020	US 2005-49852	20050203
NL 1028192	A1	20050808	NL 2005-1028192	20050204
NL 1028192	C2	20060530		

PRIORITY APPL. INFO.: US 2004-541678P P 20040204

US 2004-633763P P 20041206

WO 2005-IB167 W 20050124

OTHER SOURCE(S): MARPAT 143:248301

GI

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

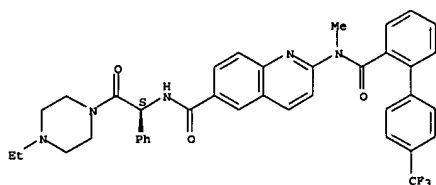
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted quinolines as MTP/Apo-B secretion inhibitors for treating obesity and assocd. conditions)

RN 863490-36-2 CAPLUS

CN 6-Quinolincarboxamide, N-[(1S)-2-(4-ethyl-1-piperazinyl)-2-oxo-1-phenylethyl]-2-[methyl[(4'-(trifluoromethyl)(1,1'-biphenyl)-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)

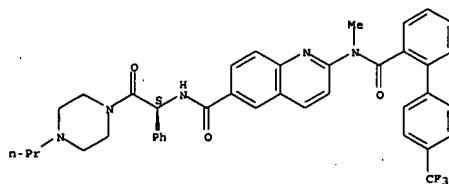
Absolute stereochemistry.



RN 863490-37-3 CAPLUS

CN 6-Quinolincarboxamide, 2-[methyl[(4'-(trifluoromethyl)(1,1'-biphenyl)-2-yl)carbonyl]amino]-N-[(1S)-2-oxo-1-phenyl-2-(4-propyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

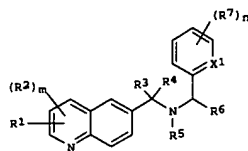
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



AB This invention relates to MTP/Apo-B secretion inhibitors of Formula (I) where R1-R7, X1, m and n are as defined below, as well as pharmaceutical

comps. comprising the comps., and methods of use of the comps. and comps. The comps. of the invention are useful in treating obesity and associated diseases, conditions or disorders. For I the variables are:

R1 = substituted Ph or pyridine; m = 0-2; n = 0-4; X1 = N or C(Rb) where Rb =

H or R7; R2, R7, and R9 = halo, OH, CN, alkyl, alkoxy, alkoxyalkyl,

halo-substituted alkyl, halo-substituted alkoxy, alkythioalkoxy, hydroxyalkyl, alkenyl, alkynyl, C(O)N(Rc)(R11), N(R11)C(O)R12,

N(R11)CO2R12, N(R11)S(O)R12, C(O)R12, CO2R12, OC(O)R12, SO2N(Rc)(R11)

and S(O)VR12; Rc = H or alkyl; s = 1-2; v = 0-2; R3 and R4 = H or taken

together with the C to which they are attached to form a carbonyl group; R5

and R10 = H, alkyl, halo-substituted alkyl, cycloalkyl, C(O)R12,

alkoxyalkyl, alkythioalkyl, and SO2R12. Variables for I continued: R6

= optionally substituted alkyl, pyridyl, Ph, phenylalkyl, alkenyl,

alkynyl,

CH2N(Rc)(R13), C(O)N(R14)(R15), CO2R20 or CH2-W-Y where W = O or S; and Y

= H, alkyl, cycloalkyl, optionally substituted cycloalkylalkyl, Ph and

phenylalkyl; R11 = H, alkyl, halo-substituted alkyl, cycloalkyl,

alkoxyalkyl and alkythioalkyl; R12 = optionally substituted alkyl or

cycloalkyl, group; R13 = alkyl, phenylmethyl, C(O)R16 and S(O)2R16; R14 =

H, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, Ph and

phenylalkyl; R15 = H, optionally substituted alkyl, alkenyl, alkynyl,

cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl, pyridyl, pyridylalkyl,

C(O)R12 and SO2R12; or R15 = (CH2)tN(R17)(R18) where t = 2-4 and R17 and

R18 together with the N to which they are attached to form a heterocyclic

ring, which is optionally substituted; or R14 and R15 together with the N

to which they are attached to form a heterocyclic ring which is

optionally

substituted; and R16 = optionally substituted alkyl, Ph or phenylalkyl.

IT 863490-36-2P, (S)-2-[Methyl[(4'-(trifluoromethyl)biphenyl-2-

yl)carbonyl]amino]quinoline-6-carboxylic acid

[2-(4-ethylpiperazin-1-yl)-2-

oxo-1-phenylethyl]amide 863490-37-3P, (S)-2-[Methyl[(4'-

trifluoromethyl)biphenyl-2-yl)carbonyl]amino]quinoline-6-carboxylic acid

[2-oxo-1-phenyl-2-(4-propylpiperazin-1-yl)ethyl]amide

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER: 2005:122800 CAPLUS

DOCUMENT NUMBER: 142:191201

TITLE: Antimicrobial biaryl compounds

INVENTOR(S): Jefferson, Elizabeth Anne; Swayze, Eric E.; Seth,

Punit P.; Robinson, Dale E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S.

Ser. No. 630,122.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005012805	A1	20050210	US 2004-914256	20040809
US 6849660	B1	20050201	US 2000-630122	20000801
PRIORITY APPL. INFO.:			US 2000-630122	A2 20000801

OTHER SOURCE(S): MARPAT 142:191201

AB Provided are antibacterial biaryl comps. having micromolar MIC activity against Gram-neg. and Gram-pos. pathogens, including a methicillin-resistant *S. aureus* strain. Other embodiments of invention are methods of treating bacterial infection in a mammal by administering to the mammal an effective amount of a compound described herein. The inhibitory effect of some of the comps. on bacterial translation was determined

IT 797770-47-9 797770-51-5 797770-55-9
797770-63-9 797770-67-3 797770-70-8
797770-74-2 797770-78-6 797770-86-6
797771-18-7 797771-22-3 797771-26-7
797771-30-3 797771-34-7 838819-94-6
838819-95-7 838819-96-8 838819-97-9
838819-98-0 838819-99-1 838820-00-1
838820-01-2 838820-02-3 838820-03-4
838820-04-5 838820-05-6 838820-06-7
838820-07-8 838820-08-9 838820-09-0
838820-10-3 838820-11-4 838820-12-5
838820-13-6 838820-14-7 838820-15-8
838820-16-9 838820-17-0 838820-18-1
838820-19-2 838820-20-5 838820-21-6
838820-22-7 838820-23-8 838820-24-9
838820-25-0 838820-26-1 838820-27-2
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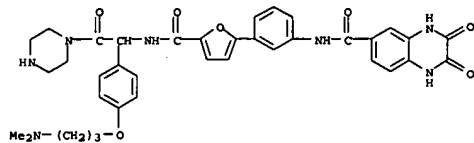
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibacterial biaryl comps. in relation to inhibiting bacterial translation and overcoming methicillin resistance)

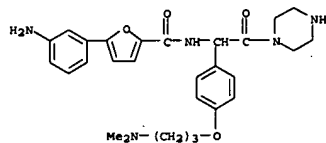
RN 797770-47-9 CAPLUS

CN 6-Quinoxalinecarboxamide, N-[[[5-[[[1,4-(3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furyl]phenyl]-1,2,3,4-tetrahydro-2,3-dioxo- (9CI) (CA INDEX NAME)

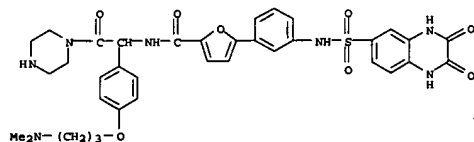
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797770-51-5 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

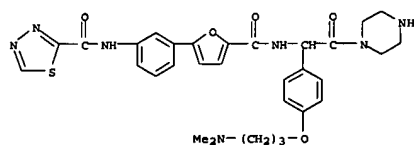


RN 797770-55-9 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

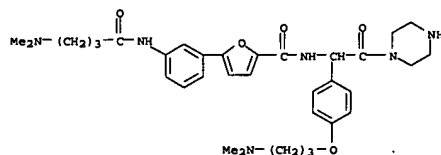


RN 797770-63-9 CAPLUS
CN 3-Quinolonecarboxamide, N-[3-[[5-[[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

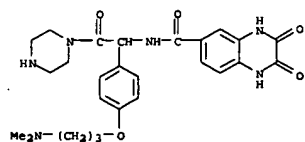
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797770-78-6 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

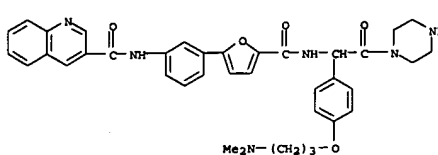


RN 797770-86-6 CAPLUS
CN 6-Quinolonecarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

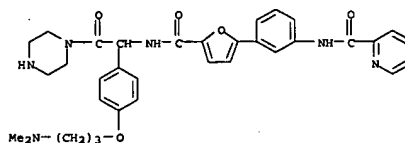


RN 797771-18-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

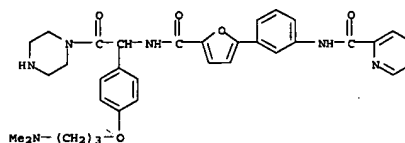
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797770-67-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[3-[[5-[[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

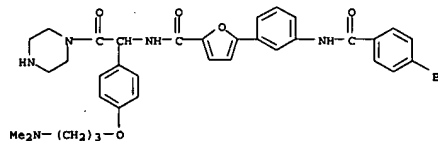


RN 797770-70-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[3-[[5-[[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

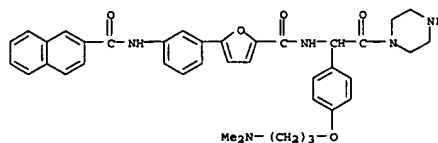


RN 797770-74-2 CAPLUS
CN 1,3,4-Thiadiazole-2-carboxamide, N-[3-[[5-[[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

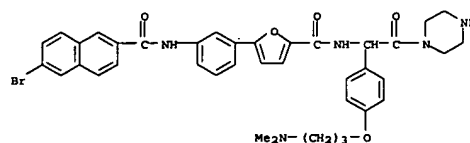
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797771-22-3 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

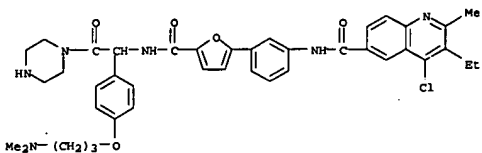


RN 797771-26-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxaliny]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

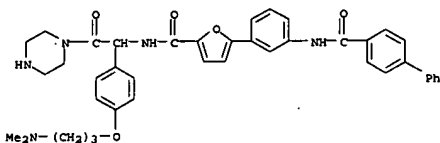


RN 797771-30-3 CAPLUS
CN 6-Quinolonecarboxamide, 4-chloro-N-[3-[[5-[[1-[[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]-3-ethyl-2-methyl- (9CI) (CA INDEX NAME)

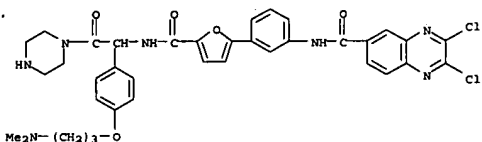
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797771-34-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl}-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

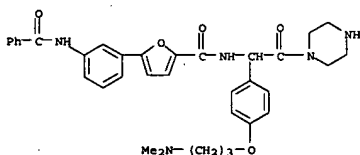


RN 838819-94-6 CAPLUS
CN 6-Quinoxalinecarboxamide, 2,3-dichloro-N-[3-[5-[[[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

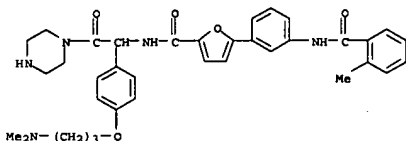


RN 838819-95-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

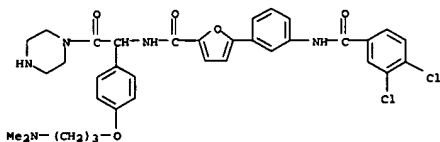
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 838819-99-1 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[(2-methylbenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)

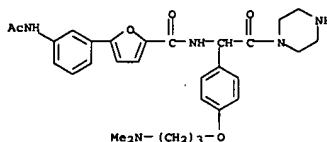


RN 838820-00-1 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[(2-methylbenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)

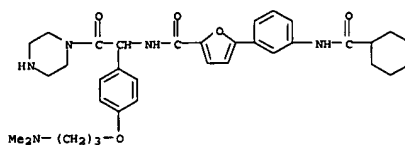


RN 838820-01-2 CAPLUS
CN 6-Quinoxalinecarboxamide, 4-chloro-N-[3-[5-[[[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]-2-methyl- (9CI) (CA INDEX NAME)

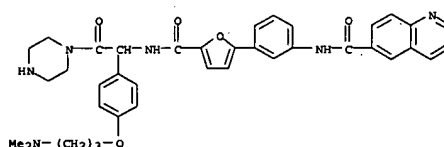
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838819-96-8 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

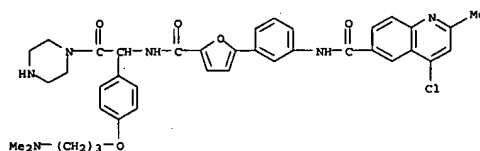


RN 838819-97-9 CAPLUS
CN 6-Quinoxalinecarboxamide, N-[3-[5-[[[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

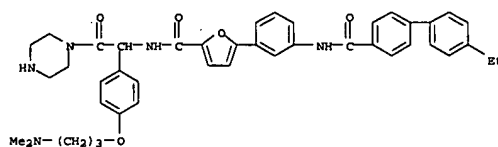


RN 838819-98-0 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanylphenyl]- (9CI) (CA INDEX NAME)

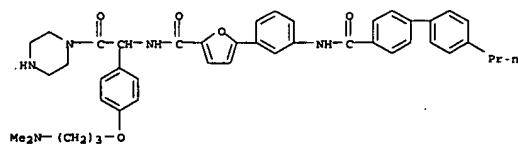
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-02-3 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[[4'-propyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

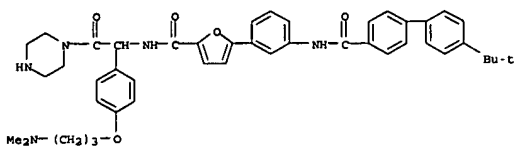


RN 838820-03-4 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[[4'-propyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

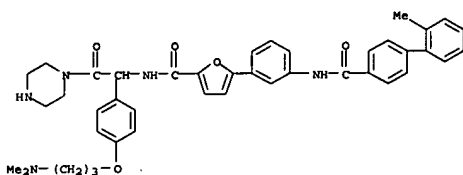


RN 838820-04-5 CAPLUS
CN 2-Furancarboxamide, N-[1-[(4-{[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[[4'-propyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

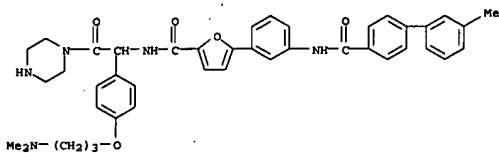
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-05-6 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2-methyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



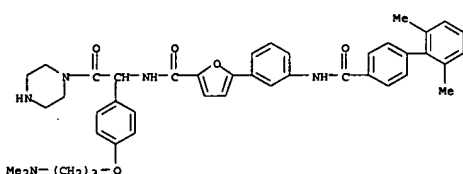
RN 838820-06-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[3-methyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



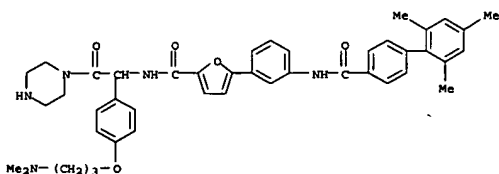
RN 838820-07-8 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2-ethyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 838820-10-3 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,6'-dimethyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

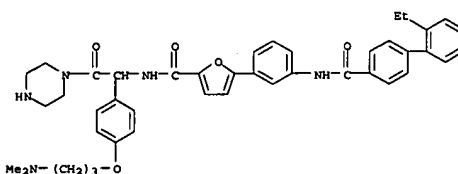


RN 838820-11-4 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,4',6'-trimethyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

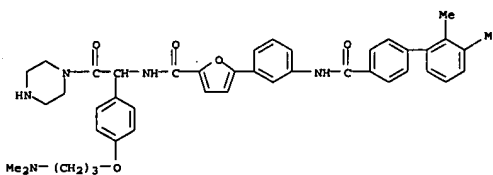


RN 838820-12-5 CAPLUS
CN 2-Furancarboxamide, 5-[3-[[[2'-chloro[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

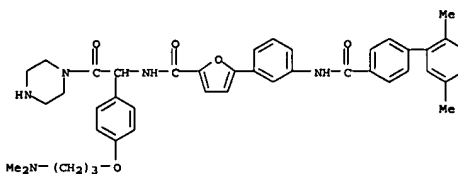
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



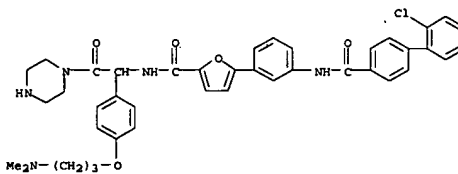
RN 838820-08-9 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,5'-dimethyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



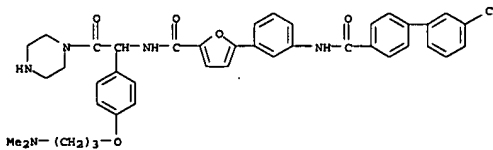
RN 838820-09-0 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,5'-dimethyl[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



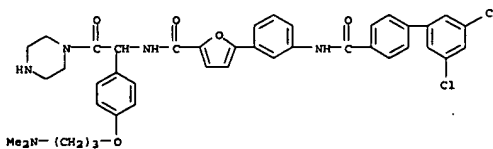
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-13-6 CAPLUS
CN 2-Furancarboxamide, 5-[3-[[[3'-chloro[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

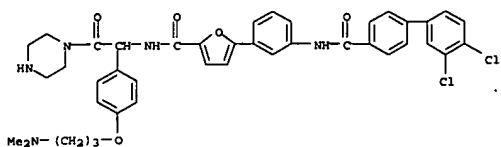


RN 838820-14-7 CAPLUS
CN 2-Furancarboxamide, 5-[3-[[[3',5'-dichloro[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

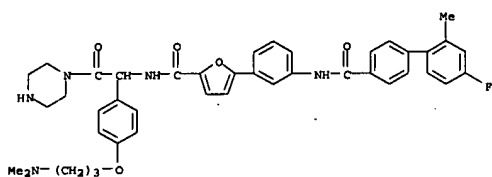


RN 838820-15-8 CAPLUS
CN 2-Furancarboxamide, 5-[3-[[[3',4'-dichloro[1,1'-biphenyl]-4-yl]carbonyl]amino]phenyl]-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

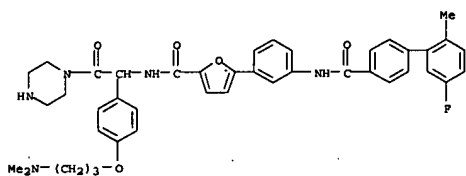
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-16-9 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-chlorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

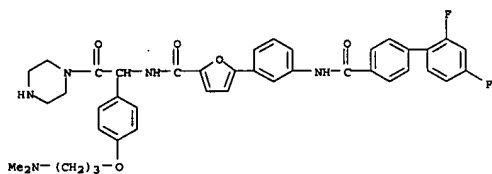


RN 838820-17-0 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-fluoro-2-methylphenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

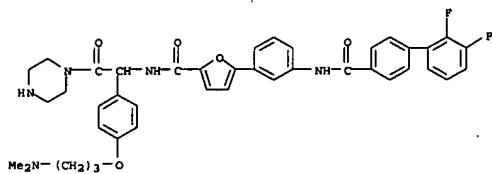


RN 838820-18-1 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-fluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

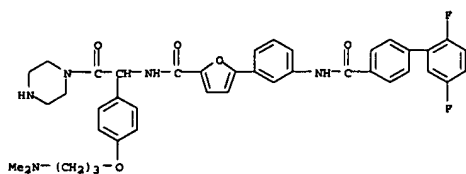
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-21-6 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2,3-difluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



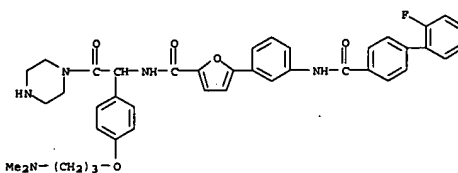
RN 838820-22-7 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2,5-difluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



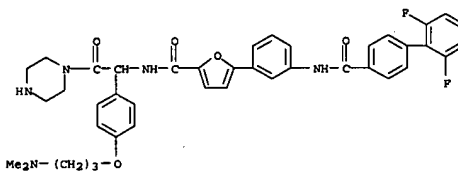
RN 838820-23-8 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2,6-difluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

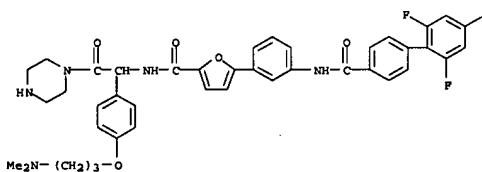


RN 838820-19-2 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-fluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

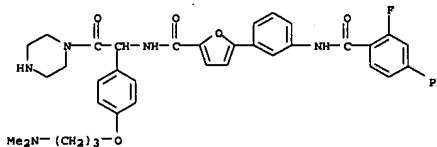


RN 838820-20-5 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2,4-difluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

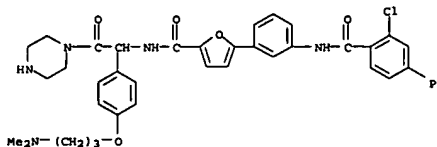
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-24-9 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2,4,6-trifluorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



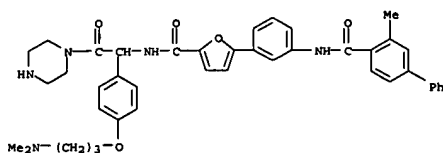
RN 838820-25-0 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-chlorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



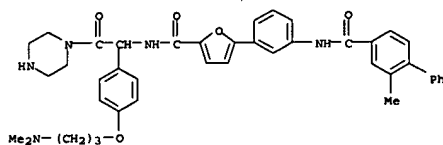
RN 838820-26-1 CAPLUS
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[4-(2-chlorophenyl)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

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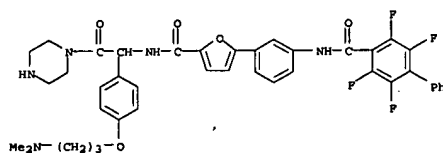
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-27-2 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2-methyl(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

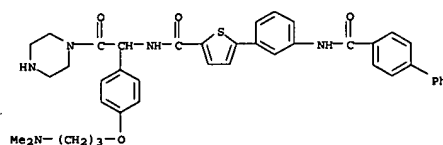


RN 838820-28-3 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

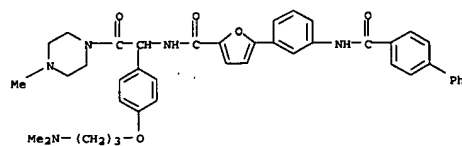


RN 838820-30-7 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

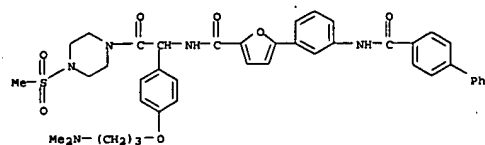
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-35-2 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

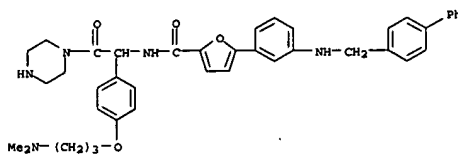


RN 838820-36-3 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

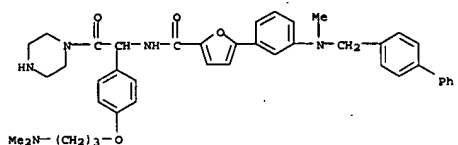


RN 838820-37-4 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[[5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]-2-furanyl]carbonyl]amino]-4-[[3-(dimethylamino)propoxy]phenyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

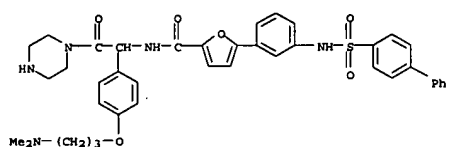
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-31-8 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

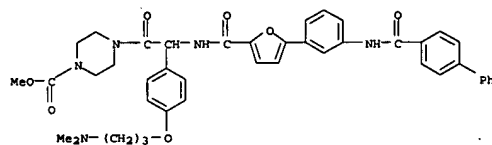


RN 838820-32-9 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

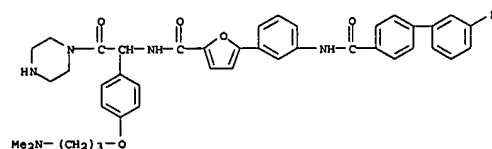


RN 838820-34-1 CAPLUS
 CN 2-Thiophenecarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

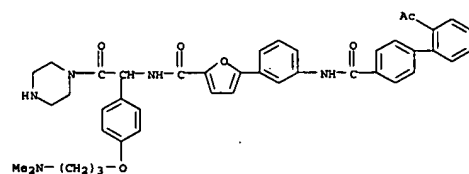
L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 838820-38-5 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 838820-39-6 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[2,3,5,6-tetrafluoro(1,1'-biphenyl)-4-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:791916 CAPLUS

DOCUMENT NUMBER:

142:3310

TITLE:

Optimizing the antibacterial activity of a lead structure discovered by SAR by MS technology
 Jefferson, Elizabeth A.; Seth, Punit P.; Robinson, Dale S.; Winter, Dana K.; Miyaji, Alycia; Risen, Lisa M.; Osgood, Stephen A.; Bertrand, Myra; Swayze, Eric E.

CORPORATE SOURCE:

Ibis Therapeutics, Isis Pharmaceuticals, Inc.,

Carlsbad, CA, 92008, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2004),

14(21), 5257-5261

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 142:3310

AB We report on lead optimization of a compound that was originally discovered

to bind bacterial 23S rRNA near the L11 binding site and inhibit translation in vitro, but lacked detectable antibacterial activity. In this study, we were able to generate compds. with antibacterial activity against Gram-neg. and Gram-pos. pathogens, including a methicillin-resistant Staphylococcus aureus strain.

IT

797770-47-9P 797770-51-5P 797770-55-9P

797770-59-3P 797770-63-9P 797770-67-3P

797770-70-8P 797770-74-2P 797770-78-6P

797770-86-6P 797771-18-7P 797771-22-3P

797771-26-7P 797771-30-3P 797771-34-7P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

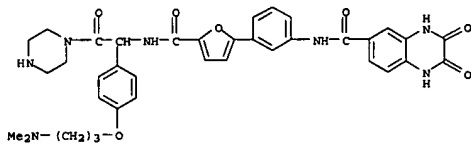
(optimizing the antibacterial activity of a lead structure)

RN 797770-47-9 CAPLUS

CN 6-Quinoxalinecarboxamide,

N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl

]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]-1,2,3,4-tetrahydro-2,3-dioxo- (9CI) (CA INDEX NAME)

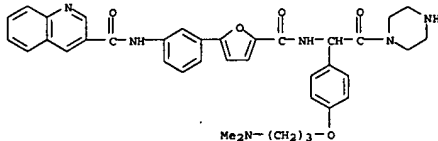


RN 797770-51-5 CAPLUS

CN 2-Furancarboxamide, 5-[3-(aminophenyl)-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

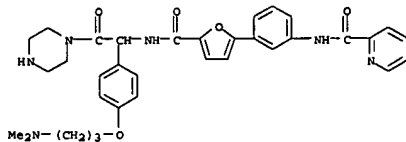
INDEX NAME)



RN 797770-67-3 CAPLUS

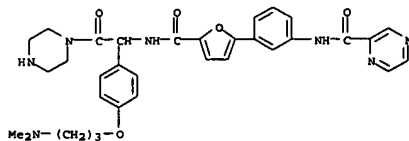
CN 2-Pyridinecarboxamide,

N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)



RN 797770-70-8 CAPLUS

CN Pyrazinecarboxamide, N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)



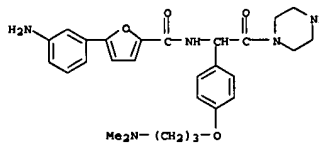
RN 797770-74-2 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxamide, N-[3-[5-[[[1-[4-[3-

(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

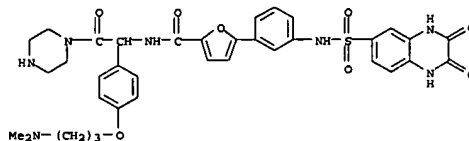
L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



RN 797770-55-9 CAPLUS

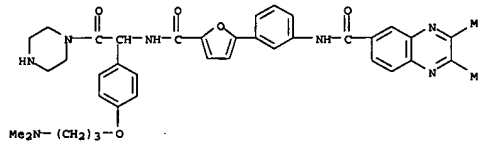
CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[[[1,2,3,4-tetrahydro-2,3-dioxo-6-quinoxalyl]sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 797770-59-3 CAPLUS

CN 6-Quinoxalinecarboxamide,

N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



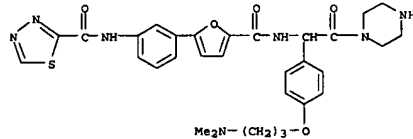
RN 797770-63-9 CAPLUS

CN 3-Quinolonecarboxamide,

N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]-2-furanyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

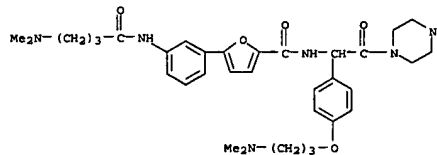
(Continued)



RN 797770-78-6 CAPLUS

CN 2-Furancarboxamide,

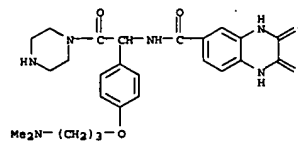
5-[3-[4-[3-(dimethylamino)-1-oxobutyl]amino]phenyl]-N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 797770-86-6 CAPLUS

CN 6-Quinoxalinecarboxamide,

N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-1,2,3,4-tetrahydro-2,3-dioxo- (9CI) (CA INDEX NAME)

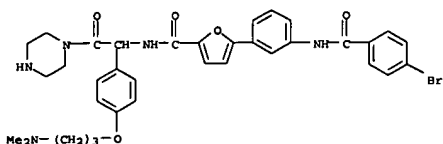


RN 797771-18-7 CAPLUS

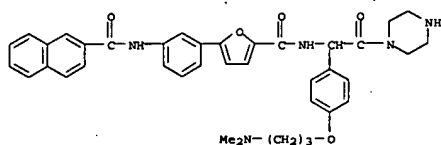
CN 2-Furancarboxamide, 5-[3-[4-(4-bromobenzoyl)amino]phenyl]-N-[1-[4-[3-

(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

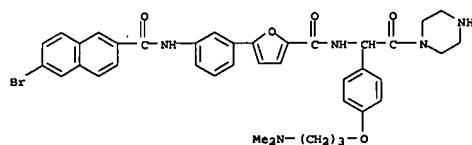
L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 797771-22-3 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[(2-naphthalenylcarbonyl)amino]phenyl]- (9CI)
 (CA INDEX NAME)



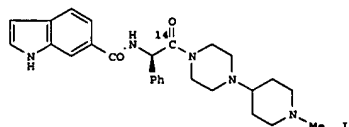
RN 797771-26-7 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[(2-naphthalenylcarbonyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 797771-30-3 CAPLUS
 CN 6-Quinolincarboxamide, 4-chloro-N-[3-[5-[[[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]amino]carbonyl]

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:760389 CAPLUS
 DOCUMENT NUMBER: 142:355534
 TITLE: Synthesis of a carbon-14 labeled
 1-(indole-6-carboxyl-

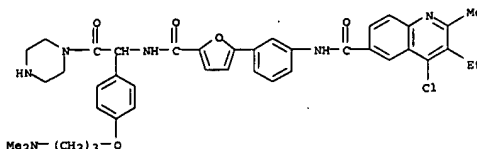
D-phenylglycine)-4-(1-methylpiperidin-4-yl)piperazine-
 [carbonyl-14C], LY517717-[14C], a factor Xa inhibitor
 AUTHOR(S): Kuo, Pengjun; Clodfelter, Dean K.; Priest, Tamara R.;
 CORPORATE SOURCE: Kau, Donald L. K.
 Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
 SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2004), 47(9), 599-608
 CODEN: JLCRD4; ISSN: 0362-4803
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 142:355534
 GI



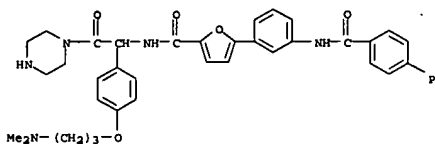
AB Human Factor Xa is a trypsin-like serine protease, which serves a critical role in blood coagulation events. LY 517717 is currently under clinical investigation as a Factor Xa inhibitor. To support the ADMK studies, LY 517717-[carbonyl-14C] (1) was synthesized using D-phenylglycine with a carbon-14 labeled carboxyl moiety. This key component, D-phenylglycine-[carboxyl-14C], was synthesized by a Strecker synthesis on benzaldehyde with potassium [14C]cyanide, followed by a resolution of DL-phenyl-glycine Me ester-[carbonyl-14C] with (+)-tartaric acid in the presence of benzaldehyde.
 IT 313489-71-3DP, LY 517717, derivs. 849094-33-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (asym. synthesis of LY 517717-[carbonyl-14C], a factor Xa inhibitor)
 RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

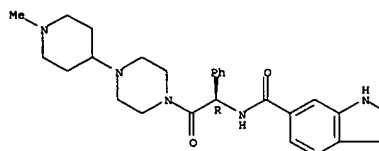


RN 797771-34-7 CAPLUS
 CN 2-Furancarboxamide, N-[1-[4-[3-(dimethylamino)propoxy]phenyl]-2-oxo-2-(1-piperazinyl)ethyl]-5-[3-[(2-naphthalenylcarbonyl)amino]phenyl]- (9CI) (CA INDEX NAME)

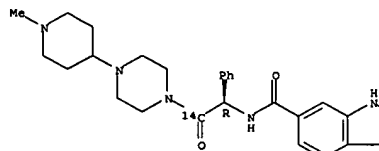


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 849094-33-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

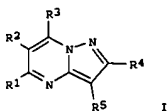


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:875291 CAPLUS
 DOCUMENT NUMBER: 139:350751
 TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as NAD(P)H oxidase inhibitors
 INVENTOR(S): Seno, Kaoru; Nishi, Koichi; Matsuo, Yoshiyuki; Fujishita, Toshio
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091256	A1	20031106	WO 2003-JP5024	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CO, CI, CM, GW, ML, MR, NE, NG, SN, TD, TG				
CA 2483106	AA	20031106	CA 2003-2483106	20030418
AU 2003227437	A1	20031110	AU 2003-227437	20030418
EP 1505068	A1	20050209	EP 2003-717663	20030418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009475	A	20050301	BR 2003-9475	20030418
CN 1662537	A	20050831	CN 2003-814483	20030418
US 2006089362	A1	20060427	US 2005-511840	20050923
PRIORITY APPLN. INFO.:			JP 2002-121519	A 20020423
			WO 2003-JP5024	W 20030418

OTHER SOURCE(S): MARPAT 139:350751
 GI



AB Title compds. I (R1, R2, R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl).

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:964343 CAPLUS
 DOCUMENT NUMBER: 138:29109
 TITLE: Preparation of crystal forms of antithrombotic piperazine derivative
 INVENTOR(S): Engel, Gary Lowell; Diserod, Benjamin Alan
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

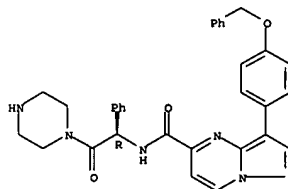
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GM, ML, MR, NE, NG, SN, TD, TG				
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GQ, GM, ML, MR, NE, NG, SN, TD, TG				
EP 1397348	A2	20040317	EP 2002-778933	20020606
EP 1397348	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO, MK, CY, AL, TR				
JP 2004534062	T2	20041111	JP 2003-503615	20020606
AT 305452	E	20051015	AT 2002-778933	20020606
US 2004162295	A1	20040819	US 2003-477192	20031117
PRIORITY APPLN. INFO.:			WO 2001-GB2553	W 20010612
			US 2001-339295P	P 20011212
			WO 2000-GB2302	W 20000613
			GB 2000-30304	A 20001213
			WO 2002-US16569	W 20020606

AB 1-(Indole-6-carboxyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine difumarate forms a stable crystalline salt and is an inhibitor of the serine protease and Factor Xa, useful in the treatment of cardiovascular disorders, especially a thrombotic disorder.

Hahte

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 cycloalkyl, aryl, heteroaryl, etc.) and their pharmaceutically acceptable salts, useful in the prevention of or treatments for diseases relating to NAD(P)H, are prepd. Thus, N-2-cyclohexylphenyl 3-(3-chlorophenyl)pyrazolo[1,5-a]pyrimidin-5-amine was prepd. in several steps from Et 7-chloropyrazolo[1,5-a]pyrimidine-5-carboxylate.
 IT 619304-05-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of pyrazolo[1,5-a]pyrimidine deriva. as NAD(P)H oxidase inhibitors)
 RN 619304-05-1 CAPLUS
 CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-3-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

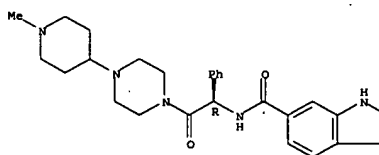


REFERENCE COUNT: 109 THERE ARE 109 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 IT 478279-46-8P
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (Preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycyl) (methylpiperidinyl)piperazine difumarate)
 RN 478279-46-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

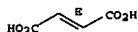
CM 1
 CRN 313489-71-3
 CIP C27 H33 N5 O2

Absolute stereochemistry. Rotation (-).



CM 2
 CRN 110-17-8
 CIP C4 H4 O4

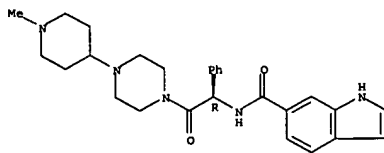
Double bond geometry as shown.



IT 313489-71-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (Preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycyl) (methylpiperidinyl)piperazine difumarate)
 RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002/946267 CAPLUS
DOCUMENT NUMBER: 138:24727TITLE: Preparation of
2-[(piperazinocarbonylmethyl)aminocarbonyl]quinolines as platelet adenosine diphosphate receptor antagonists

INVENTOR(S): Bryant, Judi A.; Buckman, Brad O.; Islam, Imadul; Mohan, Raju; Morrissey, Michael M.; Wei, Guo Pin; Xu, Wei; Yuang, Shendong

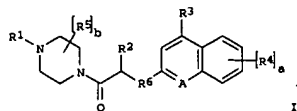
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098856	A2	20021112	WO 2002-US17821	20020606
WO 2002098856	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003060474	A1	20030327	US 2002-163742	20020605
US 6861424	B2	20050301		
AU 2002316191	A1	20021126	AU 2002-316191	20020606
EP 1412349	A2	20040428	EP 2002-746471	20020606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532886	T2	20041028	JP 2003-501845	20020606
US 2005038037	A1	20050217	US 2004-947579	20040922
US 7026323	B2	20060411		
US 2005065163	A1	20050324	US 2004-947635	20040922
US 6995156	B2	20060207		
US 2006135532	A1	20060622	US 2006-347768	20060202
PRIORITY APPLN. INFO.:			US 2001-296498P	P 20010606
			US 2002-163742	A 20020605
			WO 2002-US17821	W 20020606
			US 2004-947579	A3 20040922

OTHER SOURCE(S): MARPAT 138:24727
G1

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; a, b = 1-4; A = CH, N; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, OH, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl, hydroxyalkyl, etc.; R6 = NR7CO, CONR7; R7 = H, alkyl,

carboxyalkyl, alkoxyalkyl, useful as inhibitors of platelet aggregation and thrombus formation, were prepared and formulated. Thus, amidation of 7-methyl-4-hydroxy-2-carboxyquinoline with

4-ethoxycarbonyl-1-[1-amino-3-[(1,1-dimethylethoxycarbonyl)propyl]carbonyl]piperazine (preparation of both reactants given) afforded 68% I [R1 = CO2Et; R2 =

tert-BuOCOCH2CH2; R3 = OH; R4 = 7-Me; R5 = H; R6 = NHCO; A = N]. The compds. I demonstrated their ability to inhibit the binding of [33P]-2-methylthio-ADP binding to the human platelet ADP receptor and the rat platelet ADP receptor.

IT 478003-61-1P 478007-13-5P 478007-14-6P

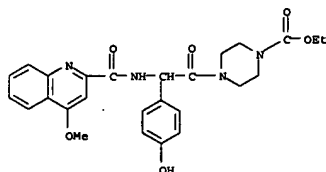
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-[(piperazinocarbonylmethyl)aminocarbonyl]quinolines

as platelet ADP receptor antagonists)

RN 478003-61-1 CAPLUS

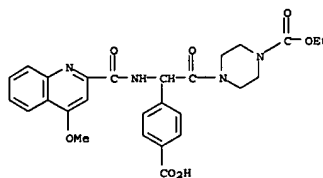
CN 1-Piperazinecarboxylic acid, 4-[[4-(4-hydroxyphenyl)][[4-methoxy-2-quinolinyl]carbonyl]amino]acetyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)



RN 478007-13-5 CAPLUS

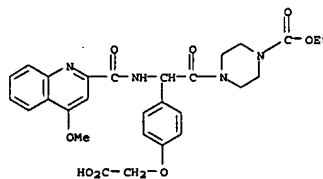
CN 1-Piperazinecarboxylic acid, 4-[[4-(4-carboxyphenyl)][[4-methoxy-2-quinolinyl]carbonyl]amino]acetyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 478007-14-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-(4-carboxyphenyl)][[4-methoxy-2-quinolinyl]carbonyl]amino]acetyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:814268 CAPLUS

DOCUMENT NUMBER: 137:333140

TITLE: Guanylylhydrazine inhibitors of protein production from AU-rich element-containing mRNAs, their synthesis and use in therapy

INVENTOR(S): Giordano, Tony; Sturgess, Michael A.

PATENT ASSIGNEE(S): Message Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083842	A2	20021024	WO 2002-US10898	20020408
WO 2002083842	A3	20030501		
WO 2002083842	C2	20040429		
W: AU, CA, CH, DE, DK, ES, GB, JP, NO, SE, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2003199453	A1	20031023	US 2002-117955	20020408
US 6872850	B2	20050329		
PRIORITY APPL. INFO.: US 2001-282974P P 20010410				

OTHER SOURCE(S): MARPAT 137:333140

AB The invention features guanylylhydrazine compds.

R1C((X)C6H4CONHCH(CH2R2)CON(R3)(CH2)mN(R4)COCH(CH2R5)NHCOC6H4C(R6):NNHC(:N)HNH2 (I; R1,R6 = alkyl, aryl; R2,R5 = H, alkyl, aryl; R3,R4 = H, alkyl;

X

= O, H2N(HN):CORN-; m ≥ 2) that inhibit secretion of a protein encoded by an AU-rich element-containing (ARE)-mRNA or that modulate regulation of an ARE-mRNA. I are useful for the treatment or prevention of conditions involving proteins encoded by ARE-mRNAs, such as tumor necrosis factor α, interleukins, interferons, and cyclooxygenases 1 and 2. Such conditions include inflammation, arthritis, autoimmune diseases, septic shock, blood clotting, and stroke. Thus, compds. were tested in a high-throughput, macrophage-based luciferase reporter assay. Mouse 264.7 cells transformed with an expression vector containing the luciferase gene flanked by CMV promoter and tumor necrosis factor α 3'-UTR were used. Compds. identified in this assay were tested for their ability to inhibit tumor necrosis factor α secretion.

IT

473913-71-2P, MES 10229 473913-73-4P, MES 10246

473913-75-6P, MES 10253 473913-76-7P, MES 10255

473913-82-5P, MES 10301

THU

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(guanylylhydrazine inhibitors of protein production from AU-rich element-containing mRNAs, their synthesis and use in therapy)

RN 473913-71-2 CAPLUS

CN Benzamide,

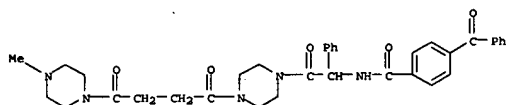
4-benzoyl-N-[2-[4-[1,4-dioxo-4-(4-phenyl-1-piperazinyl)butyl]-1-

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 473913-82-5 CAPLUS

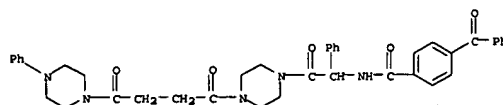
CN Benzamide,

4-benzoyl-N-[2-[4-[4-(4-methyl-1-piperazinyl)-1,4-dioxobutyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

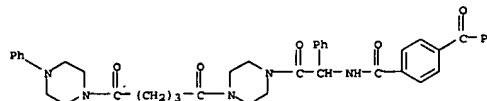
piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-73-4 CAPLUS

CN Benzamide,

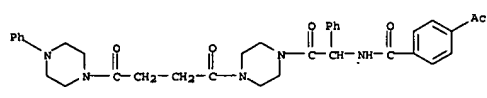
4-benzoyl-N-[2-[4-[1,5-dioxo-5-(4-phenyl-1-piperazinyl)pentyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-75-6 CAPLUS

CN Benzamide,

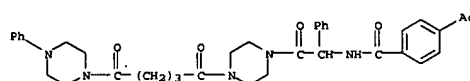
4-acetyl-N-[2-[4-[1,5-dioxo-4-(4-phenyl-1-piperazinyl)butyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-76-7 CAPLUS

CN Benzamide,

4-acetyl-N-[2-[4-[1,5-dioxo-5-(4-phenyl-1-piperazinyl)pentyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:354079 CAPLUS

DOCUMENT NUMBER: 136:355487

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest;

Young,

Stephen Clinton; Morgan, Phillip John

PATENT ASSIGNEE(S): Tularik Ltd., UK

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.

Ser. No. 485,678.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

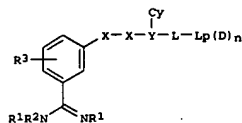
FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2004143018	A1	20040722	US 2004-752568	20040108
PRIORITY APPL. INFO.: GB 1997-18392 A 19970829				
GB 1998-3173 A 19980213				
WO 1998-GB2605 W 19980828				
GB 1999-13823 A 19990614				
US 1999-142064P P 19990702				
US 2000-485678 A2 20000225				
WO 2000-GB2291 A2 20000613				
GB 1999-18741 A 19990809				

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 GB 1999-29552 A 19991214
 GB 1999-29553 A 19991214
 US 2001-988082 A1 20011119

OTHER SOURCE(S): MARPAT 136:355487
 GI

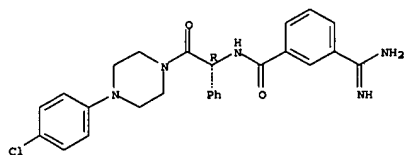


AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyacetyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, chiol, alkylthio, alkylsulfonyl, alkylsulfonyl, alkylsulfonylamino, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamide group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched

alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(=NR1) is replaced with an (un)substituted aminomethyl group, or their physiologically tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

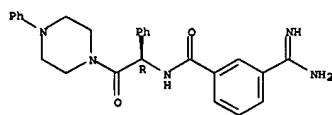
IT 221237-68-9P 221237-74-7P 221237-81-6P
 221237-90-7P 221237-98-5P 221238-06-8P
 221240-62-6P 221240-91-1P 221240-97-7P
 221241-03-8P 221241-09-4P 221241-14-1P
 221241-19-6P 221241-24-3P 221241-29-8P
 221242-18-8P 221242-26-8P 221242-30-4P
 221242-34-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



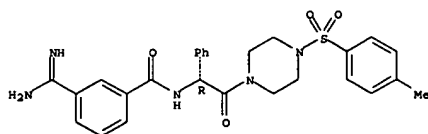
RN 221237-90-7 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-98-5 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(4-methylphenyl)sulfonyl)-1-piperazinyl)ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

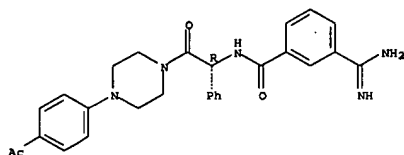


RN 221238-06-8 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

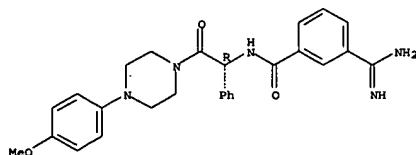
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 (Uses)
 (prepn. of meta-benzamide derivs. of amino acids or dipeptides as serine protease inhibitors)
 RN 221237-68-9 CAPLUS
 CN Benzamide, N-((1R)-2-oxo-1-phenylethyl)-3-(aminomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-74-7 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenylethyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

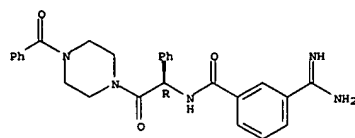
Absolute stereochemistry.



RN 221237-81-6 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenylethyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

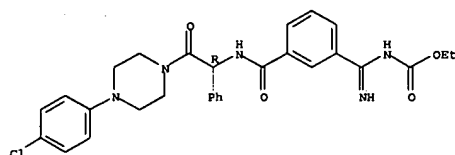
Absolute stereochemistry.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



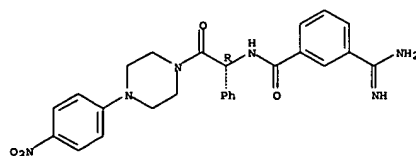
RN 221240-62-6 CAPLUS
 CN Carbamic acid, [[3-[[[(1R)-2-oxo-1-phenyl-2-(4-chlorophenyl)-1-piperazinyl]amino]carbonyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221240-91-1 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenylethyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

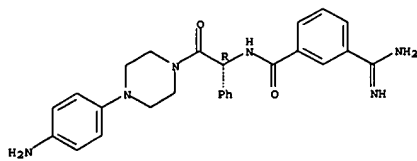
Absolute stereochemistry.



RN 221240-97-7 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-((1R)-2-oxo-1-phenylethyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

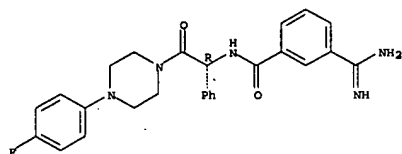
Absolute stereochemistry.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



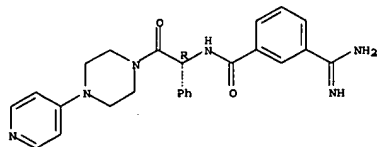
RN 221241-03-8 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(4-fluorophenyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



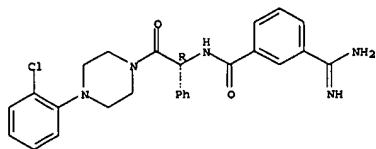
RN 221241-09-4 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(4-pyridinyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-14-1 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(2-pyridinyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

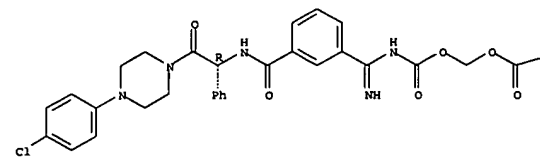
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 221242-18-8 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [3-[[[3-[[[1R]-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]amino]carbonyl]oxymethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

-Bu-t

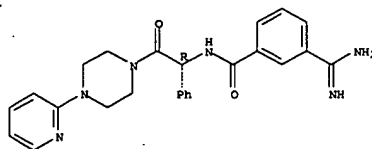
RN 221242-26-8 CAPLUS
 CN Carbamic acid, [3-[[[3-[[[1R]-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]amino]carbonyl]phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

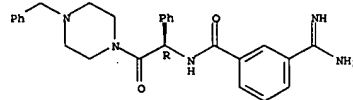
1-piperazinyl]ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



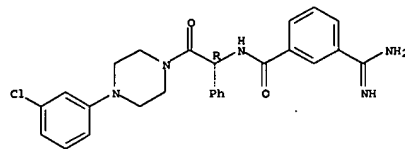
RN 221241-19-6 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(phenylmethyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-24-3 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(3-chlorophenyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

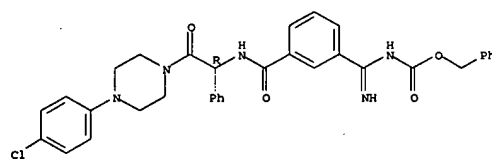
Absolute stereochemistry.



RN 221241-29-8 CAPLUS
 CN Benzamide, 3-((aminoiminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(2-chlorophenyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

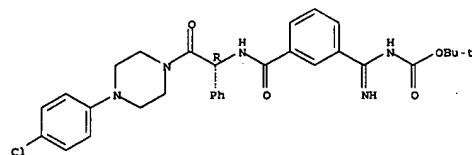
Absolute stereochemistry.

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



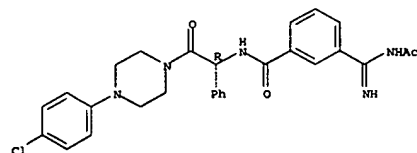
RN 221242-30-4 CAPLUS
 CN Carbamic acid, [3-[[[3-[[[1R]-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]amino]carbonyl]oxymethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



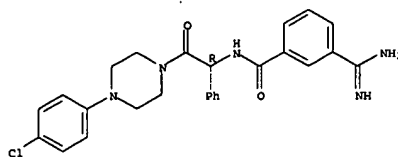
RN 221242-34-8 CAPLUS
 CN Benzamide, 3-((acetylamino)iminomethyl)-N-((1R)-2-oxo-1-phenyl-2-(4-(4-chlorophenyl)-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2002:123665 CAPLUS
 DOCUMENT NUMBER: 136:303572
 TITLE: PROSECT: Combining Structure-Based Drug Design and Array-Based Chemistry for Rapid Lead Discovery. 2.
 The Development of a Series of Highly Potent and Selective Factor Xa Inhibitors
 AUTHOR(S): Liebeschuetz, John W.; Jones, Stuart D.; Morgan, Phillip J.; Murray, Chris W.; Rimmer, Andrew D.; Roscoe, Jonathan M. E.; Waszkowycz, Bohdan; Welsh, Pauline M.; Wylie, William A.; Young, Stephen C.; Martin, Harry; Mahler, Jacqui; Brady, Leo; Wilkinson, Kay
 CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK
 SOURCE: Journal of Medicinal Chemistry (2002), 45(6), 1221-1232
 CODEN: JMCMAH; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:303572
 AB In silico screening of combinatorial libraries prior to synthesis promises to be a valuable aid to lead discovery. PROSECT, a tool for the virtual screening of libraries for fit to a protein active site, has been used to find novel leads against the serine protease factor Xa. A small seed template was built upon using three iterations of library design, virtual screening, synthesis, and biol. testing. Highly potent mols. with selectivity for factor Xa over other serine proteases were rapidly obtained.
 IT 410527-83-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (combining structure-based drug design and array-based chemical for development of a series of potent and selective factor Xa inhibitors)
 RN 410527-83-2 CAPLUS
 CN Benzamide, 3-(aminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 CH 1
 CRN 221237-81-6
 CMP C26 H26 Cl N5 O2
 Absolute stereochemistry.

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



CH 2

CRN 76-05-1
 CMP C2 H F3 O2



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2001:923784 CAPLUS
 DOCUMENT NUMBER: 136:54020
 TITLE: Preparation of amino acid derivatives as serine protease inhibitors
 INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 191 pp.
 CODEN: PIXKX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
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CA 2411805	A	20011220	CA 2001-241105	20010612
EP 1289972	A1	20030312	EP 2001-936686	20010612
EP 1289972	B1	20040908		
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BR 2001011451	A	20030624	BR 2001-11451	20010612
JP 2004503547	T2	20040205	JP 2002-510466	20010612
NZ 521896	A	20040730	NZ 2001-521896	20010612
AT 275554	E	20040915	AT 2001-936686	20010612
US 2003055246	A1	20030320	US 2002-30187	20020204
US 6946467	B2	20050920		
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
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L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1397348 A2 20040317 EP 2002-778933 20020606
 EP 1397348 B1 20050928
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004534062 T2 20041111 JP 2003-503615 20020606
 AT 305452 E 20051015 AT 2002-778933 20020606
 ES 2248618 T3 20060316 ES 2002-2778933 20020606
 NO 2002005665 A 20021125 NO 2002-5665 20021125
 HR 20020997 B1 20050228 HR 2002-997 20021212
 HK 1054379 A1 20050324 HK 2003-106546 20030911
 US 2004162295 A1 20040819 US 2003-477192 20031117
 US 2004142963 A1 20040722 US 2004-754923 20040112
 US 6936611 B2 20050830
 US 2004176363 A1 20040909 US 2004-803157 20040318
 PRIORITY APPL. INFO.: WO 2000-GB2302 W 20000613
 GB 2000-30304 A 20001213
 GB 1999-13823 A 19990614
 US 1999-142064P P 19990702
 GB 1999-18741 A 19990809
 GB 1999-29553 A 19991214
 WO 2001-GB2553 W 20010612
 US 2001-339295P P 20011212
 US 2002-30187 A1 20020204
 WO 2002-US16569 W 20020606

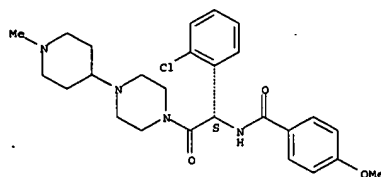
OTHER SOURCE(S): MARPAT 136:54020
 AB Comps. R2-X-X-Y(Cy)-L-Lp(D)n (R2 is a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisquinolyl; X is a C, N, O or S atom or a CO, CRia, C(Ria)2 or NRia group (at least one X is C, CO, CRia or C(Ria)2, where Ria represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyacarbonyl, alkylaminocarbonyl, alkoxyacarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CRib group (Rib defined as for Ria); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl or their physiol.-tolerant salts were

Habte

09/14/2006

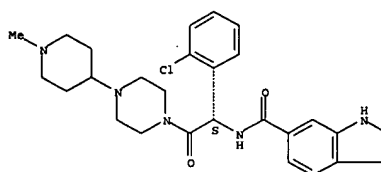
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 prep. for use as serine protease inhibitors. Comps. of the invention
 were found to significantly elongate the partial thromboplastin time
 (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycyl)-4-
 phenethylpiperazine was prep. in the first of 82 examples.
 IT 381722-41-4P 381722-57-2P
 RL: BVP (Byproduct); PREP (Preparation)
 (preparation of amino acid deriva. as serine protease inhibitors)
 RN 381722-41-4 CAPLUS
 CN Benzamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-
 piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-57-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-
 piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

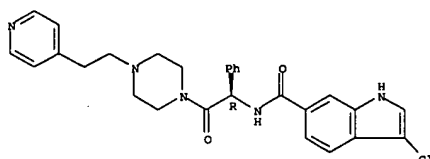


IT 313489-70-2P 313489-71-3P 381720-62-3P
 381720-64-5P 381721-10-4P 381721-12-6P
 381721-27-3P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino acid deriva. as serine protease inhibitors)
 RN 313489-70-2 CAPLUS

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

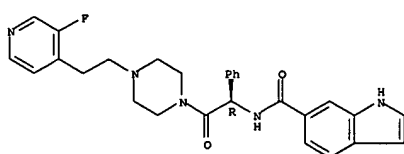
RN 381720-64-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-
 pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



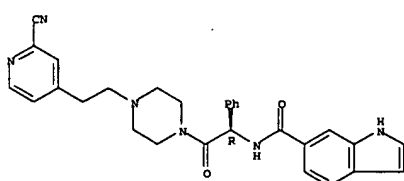
RN 381721-10-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(3-fluoro-4-pyridinyl)ethyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



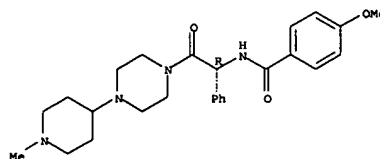
RN 381721-12-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(2-cyano-4-pyridinyl)ethyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



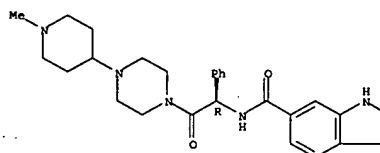
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzamide,
 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-
 2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



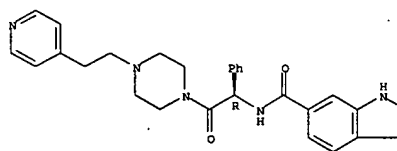
RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 381720-62-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-
 pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

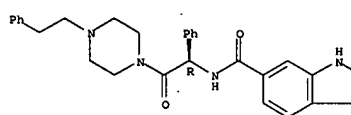
Absolute stereochemistry.



L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 381721-27-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-
 piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 313488-33-4P 313489-20-2P 313489-22-4P
 313489-25-7P 313489-58-6P 313489-59-7P
 313489-60-0P 313489-61-1P 313489-62-2P
 313489-63-3P 313489-64-4P 313489-65-5P
 313489-66-6P 313489-67-7P 313489-72-4P
 313489-73-5P 313690-67-4P 381720-59-8P
 381720-66-7P 381720-68-9P 381720-69-0P
 381720-71-4P 381720-73-6P 381720-75-8P
 381720-82-7P 381720-83-8P 381720-84-9P
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 381721-42-2P 381721-45-5P 381721-46-6P
 381721-47-7P 381722-62-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

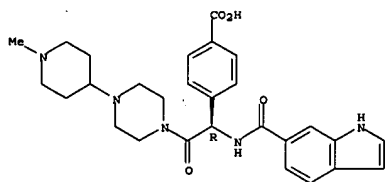
(preparation of amino acid deriva. as serine protease inhibitors)

RN 313488-33-4 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-
 piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

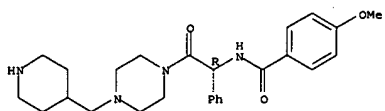


RN 313489-20-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-19-9
 CMP C26 H34 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMP C2 H F3 O2

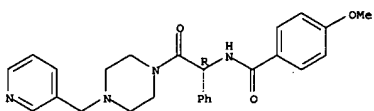


RN 313489-22-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-4-piperidinyl]methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

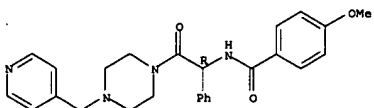
RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



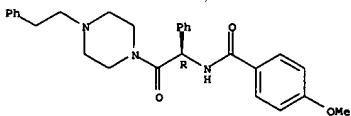
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

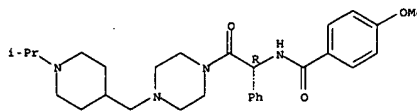


RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

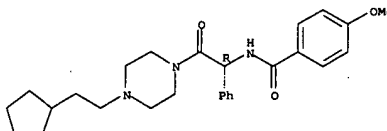
Absolute stereochemistry.



● 3 HCl

RN 313489-25-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

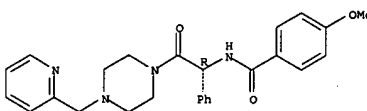
Absolute stereochemistry.



● HCl

RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

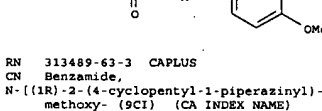
Absolute stereochemistry.



L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

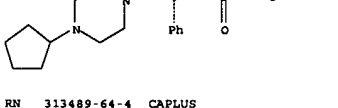
RN 313489-63-3 CAPLUS
 CN Benzamide, N-[(1R)-2-(4-cyclopentyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



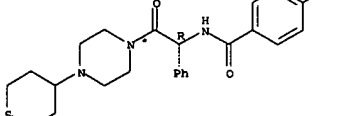
RN 313489-64-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(tetrahydro-2H-thiopyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

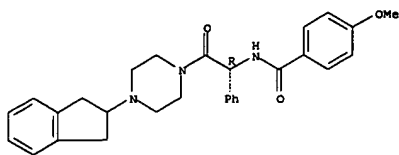
Absolute stereochemistry.



RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

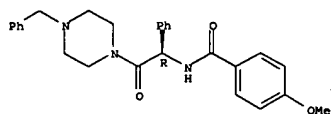
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



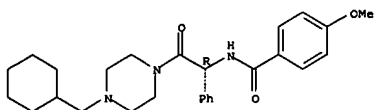
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



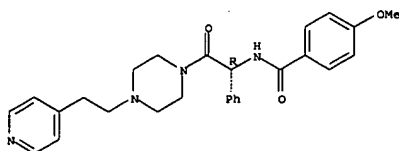
RN 313489-72-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 381720-59-8 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

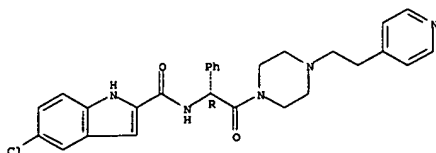
Absolute stereochemistry.



●2 HCl

RN 381720-66-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

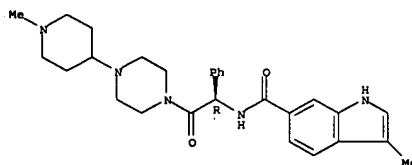
Absolute stereochemistry.



RN 381720-68-9 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

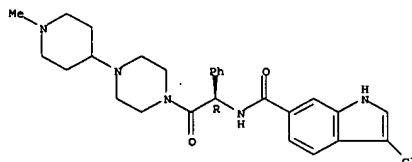
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



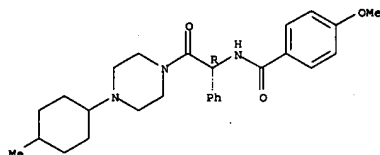
RN 313489-73-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

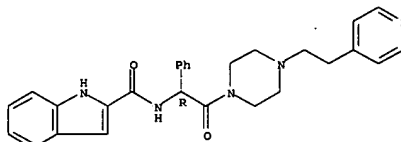


RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

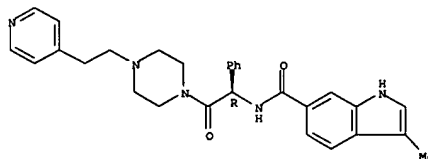


L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



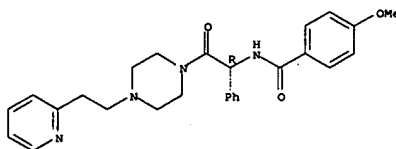
RN 381720-69-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-71-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

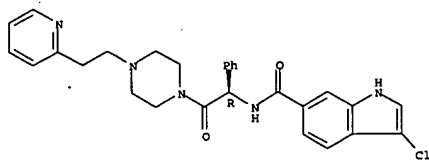
Absolute stereochemistry.



RN 381720-73-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

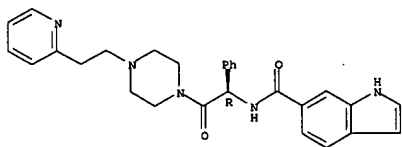
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



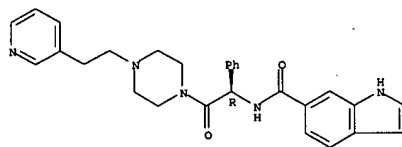
RN 381720-75-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



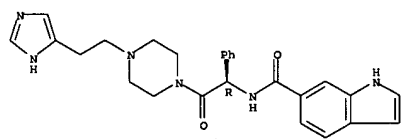
RN 381720-82-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(3-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-83-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

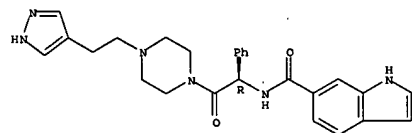
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 381720-87-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-pyrazol-4-yl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

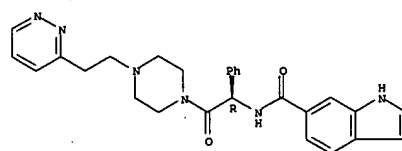
Absolute stereochemistry.



● x HCl

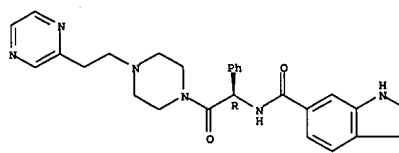
RN 381720-88-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(3-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



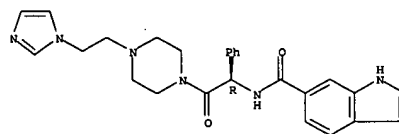
RN 381720-89-4 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methoxyphenyl)-2-oxo-2-[4-[2-(4-

Habte

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.

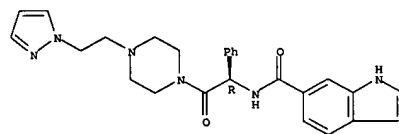
RN 381720-84-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-imidazol-1-yl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



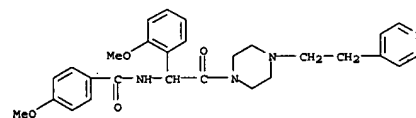
RN 381720-85-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-pyrazol-1-yl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

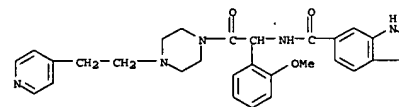


RN 381720-86-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-imidazol-4-yl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

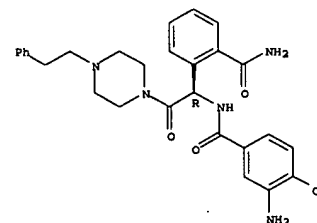
RN 381720-90-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 381720-91-8 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

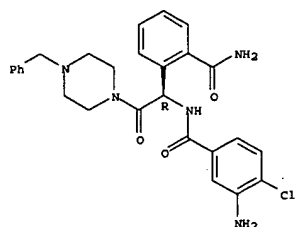


RN 381720-92-9 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-

09/14/2006

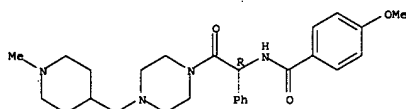
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(phenylmethyl)-1-piperazinylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-93-0 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



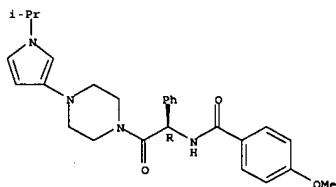
RN 381720-95-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1H-pyrrol-3-yl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 381720-94-1
CMF C24 H26 N4 O3

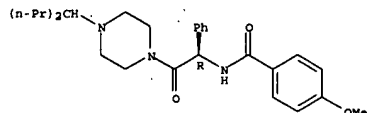
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



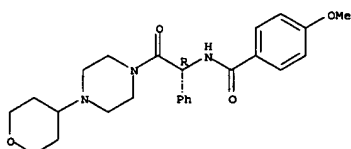
RN 381720-98-5 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-propylbutyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-99-6 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(tetrahydro-2H-pyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

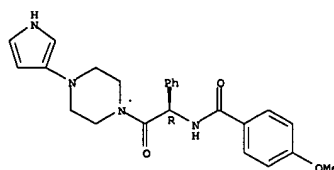
Absolute stereochemistry.



RN 381721-00-2 CAPLUS
CN Benzamide, N-[(1R)-2-(4-cyclohexyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



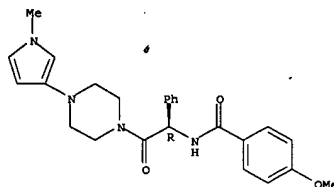
CM 2

CRN 76-05-1
CMF C2 H F3 O2



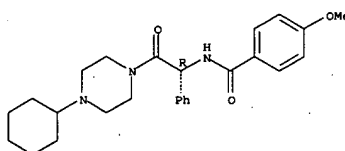
RN 381720-96-3 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-1H-pyrrol-3-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



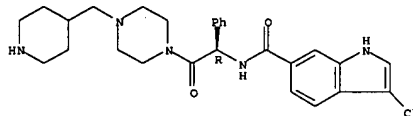
RN 381720-97-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[1-(1-methylethyl)-1H-pyrrol-3-yl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 381721-01-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

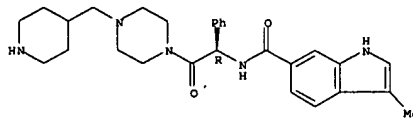
Absolute stereochemistry.



● 2 HCl

RN 381721-02-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

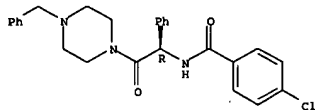
RN 381721-04-6 CAPLUS

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 381721-03-5
 CMF C26 H26 Cl N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

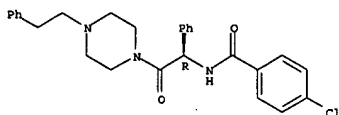


RN 381721-06-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

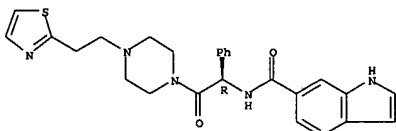
CM 1

CRN 381721-05-7
 CMF C27 H28 Cl N3 O2

Absolute stereochemistry.



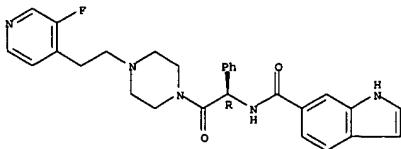
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Absolute stereochemistry.



●x HCl

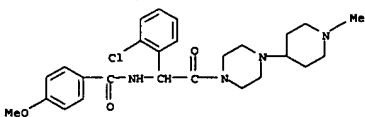
RN 381721-11-5 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(3-fluoro-4-pyridinyl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

RN 381721-13-7 CAPLUS
 CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1
 CMF C2 H F3 O2



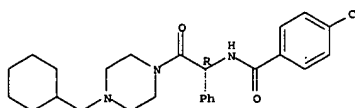
RN 381721-08-0 CAPLUS

CN Benzamide, 4-chloro-N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 381721-07-9
 CMF C26 H32 Cl N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 381721-09-1 CAPLUS

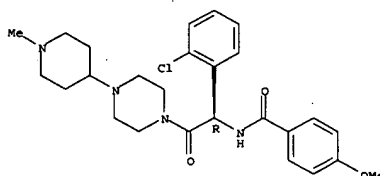
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-thiazolyl)ethyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 381721-14-8 CAPLUS

CN Benzamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

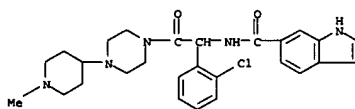
Absolute stereochemistry.



●x HCl

RN 381721-15-9 CAPLUS

CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



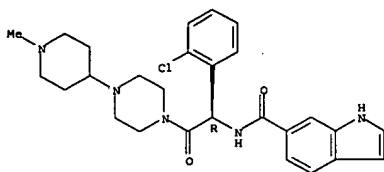
●2 HCl

RN 381721-16-0 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

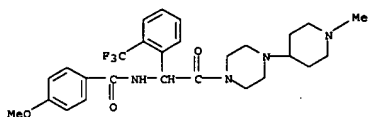
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 381721-20-6 CAPLUS
 CN Benzamide,
 4-methoxy-N-[[2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

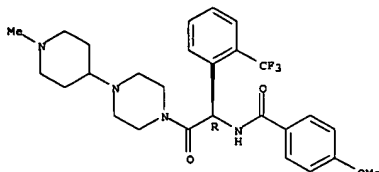


●x HCl

RN 381721-21-7 CAPLUS
 CN Benzamide,
 4-methoxy-N-[[1R]-2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

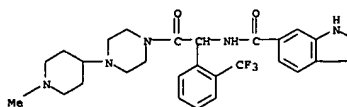
Absolute stereochemistry.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

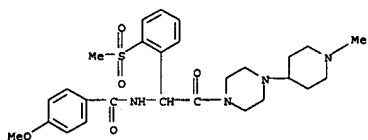
RN 381721-22-8 CAPLUS
 CN 1H-Indole-6-carboxamide,
 N-[[2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 381721-32-0 CAPLUS
 CN Benzamide,
 4-methoxy-N-[[2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-[2-(methylsulfonyl)phenyl]-2-oxoethyl]-, hydrochloride (9CI) (CA INDEX NAME)

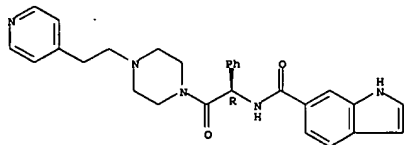
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●x HCl

RN 381721-36-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[[1R]-2-oxo-1-phenyl-2-[4-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

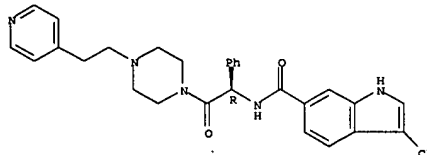


●2 HCl

RN 381721-37-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[[1R]-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

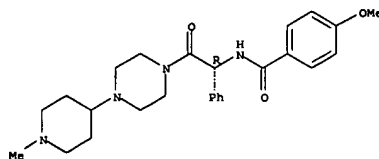
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 381721-38-6 CAPLUS
 CN Benzamide,
 4-methoxy-N-[[1R]-2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

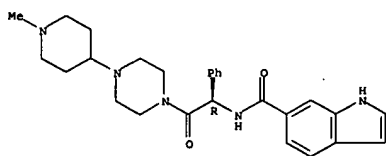


●2 HCl

RN 381721-39-7 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[[1R]-2-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



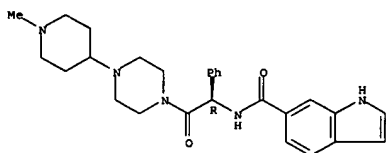
● HCl

RN 381721-40-0 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
 CMP C27 H33 N5 O2

Absolute stereochemistry. Rotation (-).

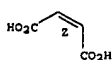


CM 2

CRN 110-16-7
 CMP C4 H4 O4

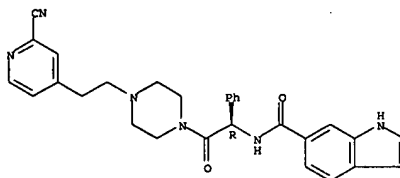
Double bond geometry as shown.

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 381721-41-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(2-(2-cyano-4-pyridinyl)ethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

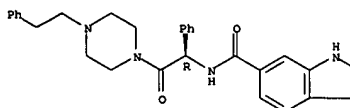
Absolute stereochemistry.



● x HCl

RN 381721-42-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

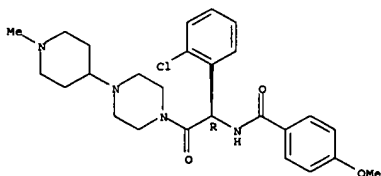


● HCl

RN 381721-45-5 CAPLUS
 CN Benzamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

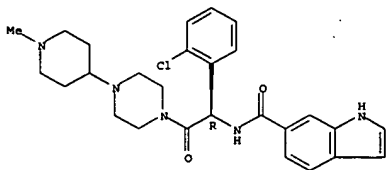
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



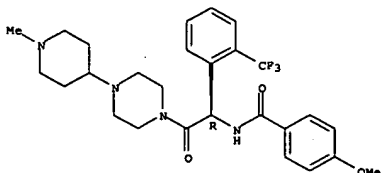
RN 381721-46-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381721-47-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



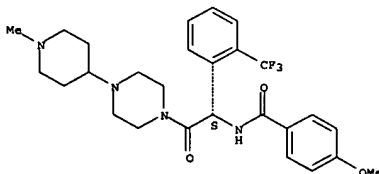
RN 381722-62-9 CAPLUS

Habte

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

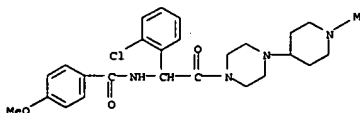
CN Benzamide, 4-methoxy-N-[(1S)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

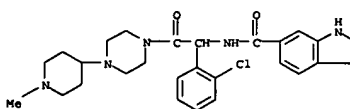


IT 381722-55-0 381722-56-1 381722-58-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)

RN 381722-55-0 CAPLUS
 CN Benzamide, N-[(1S)-2-chlorophenyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl-4-methoxy- (9CI) (CA INDEX NAME)

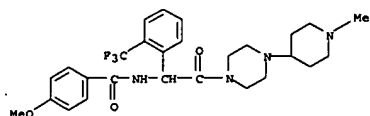


RN 381722-56-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1S)-2-chlorophenyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl-4-methoxy- (9CI) (CA INDEX NAME)



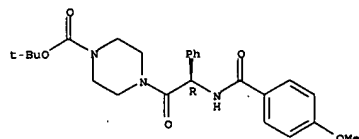
RN 381722-58-3 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1S)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 313490-70-9P 313490-72-1P 313490-73-2P
 313490-74-3P 381722-45-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of amino acid deriva. as serine protease inhibitors)
 RN 313490-70-9 CAPLUS
 CN 1-Piperazinecarboxylic acid,
 4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl
]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

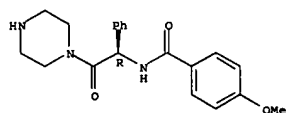


RN 313490-72-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

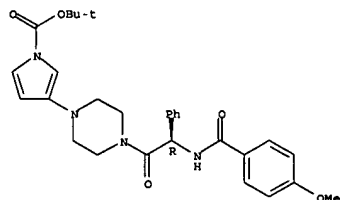
CRN 313490-71-0
 CMF C20 H23 N3 O3

Absolute stereochemistry.



L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

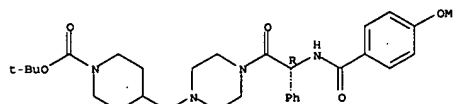
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



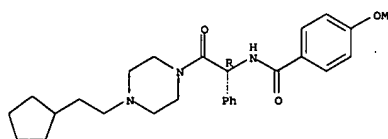
RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid,
 4-[(4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl
]-1-piperazinyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



RN 313490-74-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-
 phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-45-8 CAPLUS
 CN 1H-Pyrrrole-1-carboxylic acid,
 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl
]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:177455 CAPLUS

DOCUMENT NUMBER: 134:353501

TITLE: The design of phenylglycine containing benzamide
 carboxamides as potent and selective inhibitors of
 factor Xa

AUTHOR(S): Jones, S. D.; Liebeschuetz, J. W.; Morgan, P. J.;
 Murray, C. W.; Rimmer, A. D.; Roscoe, J. M. E.;
 Waszkowicz, B.; Welsh, P. M.; Wylie, W. A.; Young, K.
 C.; Martin, H.; Mahler, J.; Brady, L.; Wilkinson, S.
 CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL,
 UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001),
 11(5), 733-736

CODEN: BMCLES; ISSN: 0960-894X
 Elsevier Science Ltd.

PUBLISHER: Journal

DOCUMENT TYPE: English

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:353501

AB Factor Xa, a critical serine protease in the blood coagulation cascade,
 has become a target for inhibition as a strategy for the invention of novel
 anti-thrombotic agents. Here we describe the development of
 phenylglycine

containing benzamide carboxamides as novel, potent and selective
 inhibitors

of factor Xa. A number of highly focused libraries of compds. have been
 designed and synthesized giving rapid access to a series of potent and
 selective inhibitors of factor Xa. Key to the potency of these compds.

is the lipophilic interaction between phenylglycine residue and the
 'disulfide' pocket comprising Gln192, Cys191, Cys220 and Gly218.

IT 221237-90-7P 221238-06-8P 221241-03-8P

221241-09-4P 221241-19-6P

RL: BAC (Biological activity or effector, except adverse); BSU

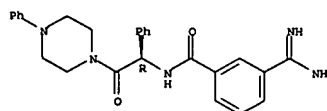
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of libraries of phenylglycine containing benzamide
 carboxamides
 as selective inhibitors of factor Xa)

RN 221237-90-7 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-
 piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

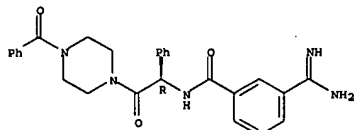


RN 221238-06-8 CAPLUS

CN Benzamide,
 3-(aminoininomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-

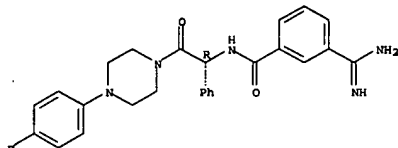
L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



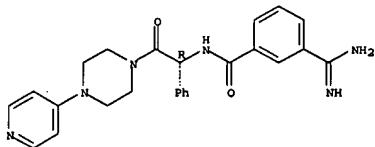
RN 221241-03-8 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-09-4 CAPLUS
CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-19-6 CAPLUS

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:167219 CAPLUS

DOCUMENT NUMBER: 135:5586

TITLE: Novel non-peptide GPIIb/IIIa antagonists: synthesis and biological activities of 2-[4-[2-(4-amidinobenzoylamino)-2-(substituted)acetyl]-3-(2-methoxy-2-oxoethyl)-2-oxopiperazinyl]acetic acids

AUTHOR(S): Kitamura, Shuji; Fukushima, Hideto; Miyawaki, Toshio; Kawamura, Masaki; Terashita, Zen-ichi; Sugihara, Hirotsada; Naka, Takehiko

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Takeda Chemical Industries, Ltd., Osaka, 532-8686, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(3), 258-267

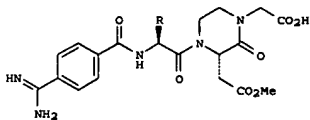
PUBLISHER: CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Pharmaceutical Society of Japan

LANGUAGE: Journal

OTHER SOURCE(S): English

GI CASREACT 135:5586



AB To improve the in vitro and in vivo potency of our first low mol. weight GPIIb/IIIa antagonist methoxyoxoethylloxopiperazinylacetic acid I (TAK-029), a series of substituted amidinobenzoylaminoacetyl methoxyoxoethylloxopiperazinylacetic acids were synthesized through modification of the glycine moiety of I and evaluated for their ability

to inhibit in vitro ADP (ADP)-induced platelet aggregation of guinea pig platelet rich plasma (PRP). Among the comds. examined, amidinobenzoylaminoacetyl methoxyoxoethylloxopiperazinylacetic acid I (R = 4-MeOC6H4CH2) showed the most potent antagonistic activity with an IC50 value of 13 nM. Dose-dependent inhibition of ex vivo platelet

aggregation was achieved with oral administration of I (R = 4-MeOC6H4CH2) (0.3-1.0 mg/kg) to guinea pigs. Complete inhibition was observed for up to 8 h,

and 43% inhibition could still be observed 24 h after oral administration of

1.0 mg/kg. The long-lasting antiplatelet effect of I (R = 4-MeOC6H4CH2) suggests that I would be suitable for once-a-day dosing.

Structure-activity relationships (SAR) were examined in the series of the phenylalanine derivative. An increase in the electron d. around the 4-position of the Ph ring of the phenylalanine moiety led to an increase in the antiplatelet activity, suggesting the existence of a hydrophobic and electrostatic interaction site in addition to the ionic binding

sites in the GPIIb/IIIa.

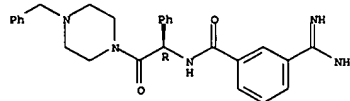
IT 340733-02-0P

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L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide,

3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

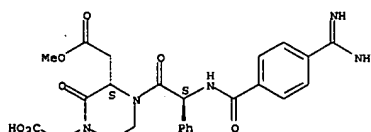
(prepn. of substituted amidinobenzoylaminoacetyl methoxyoxoethylloxopiperazinylacetic acids as GPIIb and GPIIIa antagonists for inhibition of platelet aggregation)

RN 340733-02-0 CAPLUS

CN 1,3-Piperazinediacetic acid,

4-[(2S)-[[4-(aminoiminomethyl)benzoyl]amino]phenylacetyl]-2-oxo-, α3-methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2000:900614 CAPLUS
 DOCUMENT NUMBER: 134:56958
 TITLE: Preparation of amino acid derivatives as serine protease inhibitors
 INVENTOR(S): Liebeschutz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph;
 Wylie, Michael Robert
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
 SOURCE: PCT Int. Appl., 261 pp.
 CODEN: PIXX2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375920	A2	20001221	CA 2000-2375920	20000613
AU 2000054140	A5	20010102	AU 2000-54140	20000613
EP 1192132	A2	20002403	EP 2000-938916	20000613
EP 1192132	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502114	T2	20030121	JP 2001-503831	20000613
AT 303988	E	20050915	AT 2000-938916	20000613
ES 2246084	T3	20060116	ES 2000-938916	20000613
CA 2411798	AA	20011220	CA 2001-2411798	20010612
CA 2411805	AA	20011220	CA 2001-2411805	20010612
WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 ES 2228869 T3 20050416 ES 2001-1936686 20010612
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 US 6900196 B2 20050531
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 US 1999-142064P P 19990702
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 GB 1999-29553 A 19991214
 WO 2000-GB2302 W 20000613
 GB 2000-30303 A 20001213
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 GB 2000-30305 A 20001213
 GB 2000-30306 A 20001213
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 US 2002-30188 A3 20020204

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 WO 2001096303 A1 20011220 WO 2001-GB2551 20010612
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 EP 1289972 A1 20030312 EP 2001-936686 20010612
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 EP 1289950 B1 20040908
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 EP 1289953 A1 20030312 EP 2001-938403 20010612
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 US 2002-30189 A3 20020204
 OTHER SOURCE(S): MARPAT 134:56958
 AB Comps. R2-X-X-Y(Cy)-L-Lp(D)n (R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, alkylaminocarbonyl, alkoxyalkylaminocarbonyl, alkoxyalkylaminocarbonyl or alkylaminocarbonyl optionally substituted by OH, alkylaminocarbonyl, alkoxy, oxo, aryl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic organic group; D is a hydrogen bond donor group; n = 0-2) were prepared for use as serine protease inhibitors.
 Comps. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl)-D-phenylglycyl-L-4,4'-bis(piperidine) was prepared and shown to double the prothrombin time at a concentration of 26 μM.
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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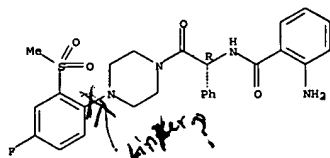
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(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid derivs. as serine protease inhibitors)

RN 313486-84-9 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

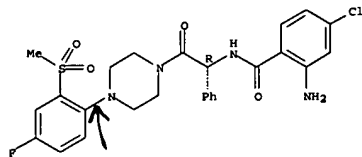
Absolute stereochemistry.



RN 313486-85-0 CAPLUS

CN Benzamide, 2-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

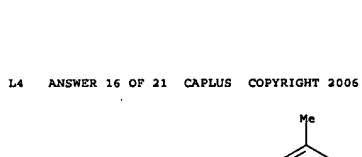
Absolute stereochemistry.



RN 313486-86-1 CAPLUS

CN Benzamide, 2-amino-5-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

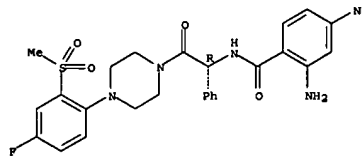
Absolute stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 313486-89-4 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-nitro- (9CI) (CA INDEX NAME)

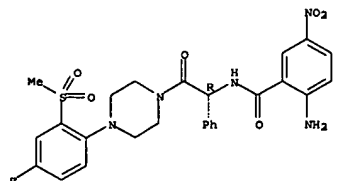
Absolute stereochemistry.



RN 313486-90-7 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



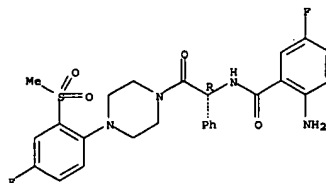
RN 313486-91-8 CAPLUS

CN Benzamide, 2-amino-5-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

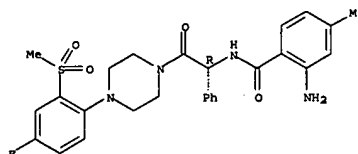
Absolute stereochemistry.



RN 313486-87-2 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-88-3 CAPLUS

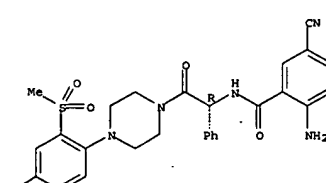
CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

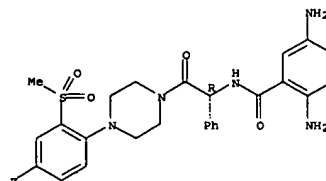
Absolute stereochemistry.



RN 313486-92-9 CAPLUS

CN Benzamide, 2,5-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

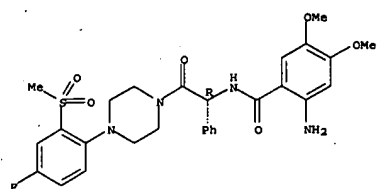


RN 313486-93-0 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)

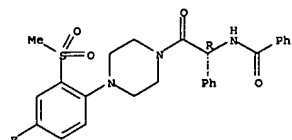
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



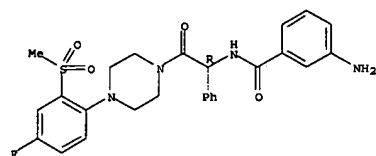
RN 313486-94-1 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-
 2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



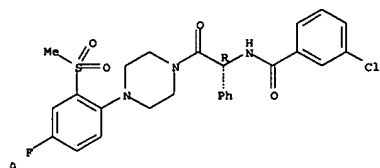
RN 313486-95-2 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



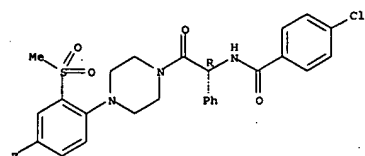
RN 313486-96-3 CAPLUS

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



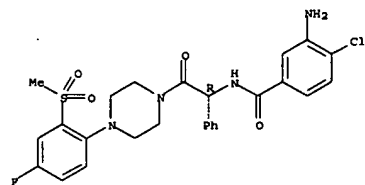
RN 313486-99-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-00-2 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

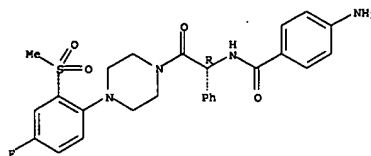


RN 313487-01-3 CAPLUS
 CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Habt

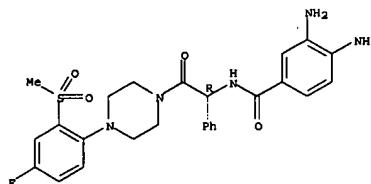
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzamide, 4-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-97-4 CAPLUS
 CN Benzamide,
 3,4-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

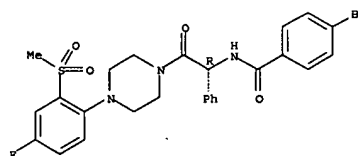


RN 313486-98-5 CAPLUS
 CN Benzamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

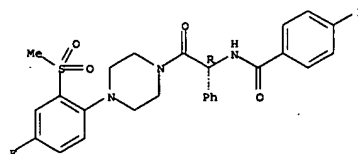
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



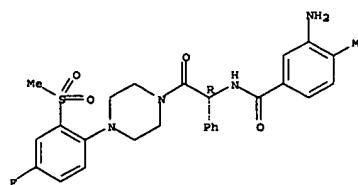
RN 313487-02-4 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-
 2-oxo-1-phenylethyl]-4-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-03-5 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

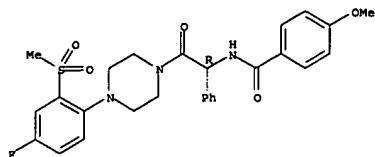
Absolute stereochemistry.



RN 313487-04-6 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-

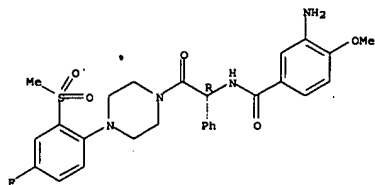
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-05-7 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



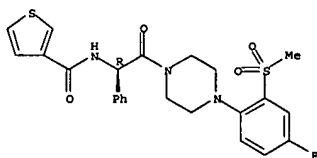
RN 313487-06-8 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3,4-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

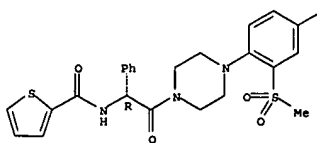
RN 313487-09-1 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



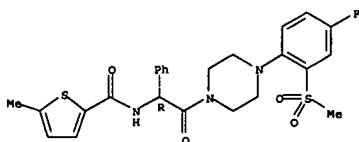
RN 313487-10-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



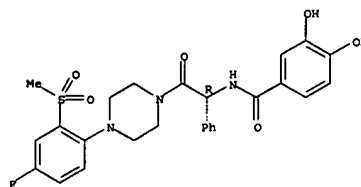
RN 313487-11-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



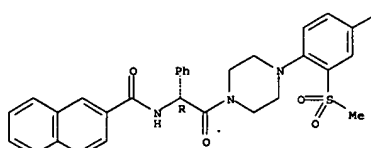
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



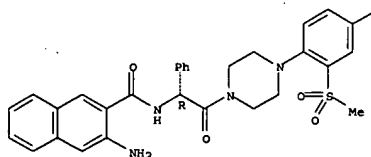
RN 313487-07-9 CAPLUS
CN 2-Naphthalenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-08-0 CAPLUS
CN 2-Naphthalenecarboxamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

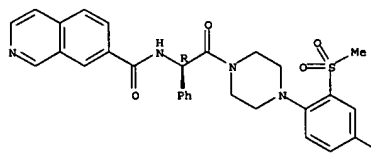
Absolute stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

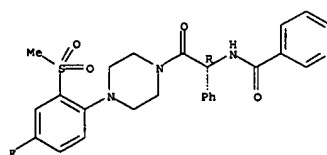
RN 313487-12-6 CAPLUS
CN 7-Isoquinolinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



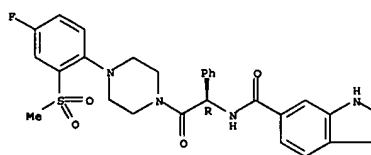
RN 313487-13-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-14-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

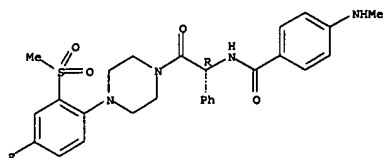


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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

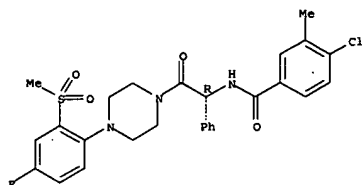
RN 313487-15-9 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



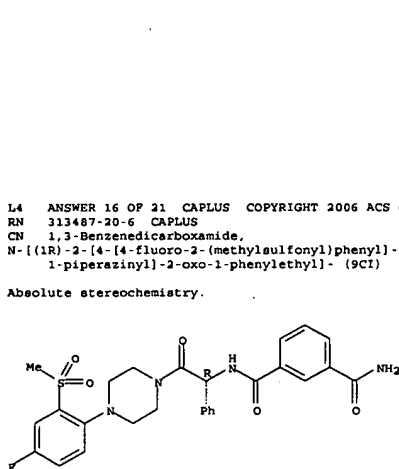
RN 313487-16-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



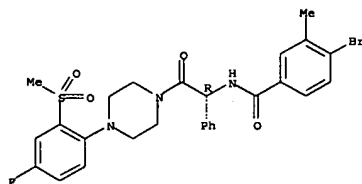
RN 313487-17-1 CAPLUS
 CN Benzamide, 4-ethenyl-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



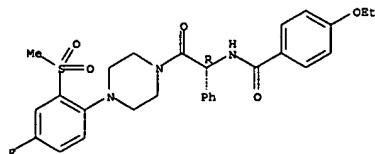
RN 313487-21-7 CAPLUS
 CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



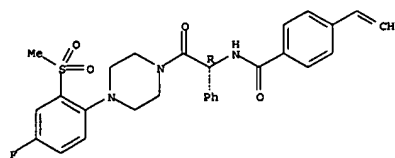
RN 313487-22-8 CAPLUS
 CN Benzamide, 4-ethoxy-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



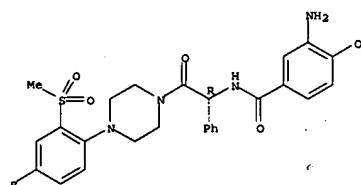
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



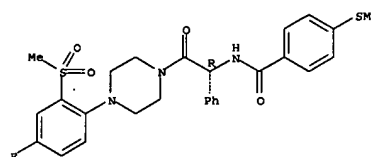
RN 313487-18-2 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-19-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

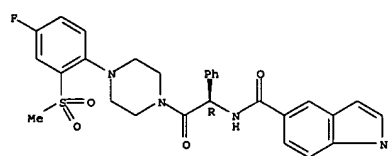
Absolute stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

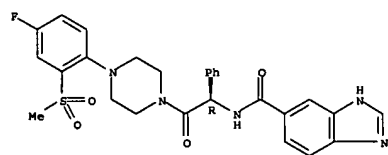
RN 313487-23-9 CAPLUS
 CN 1H-Indole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-24-0 CAPLUS
 CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

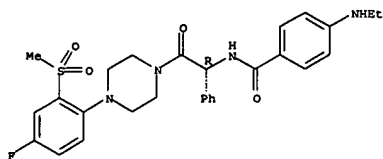
Absolute stereochemistry.



RN 313487-34-2 CAPLUS
 CN Benzamide, 4-(ethylamino)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

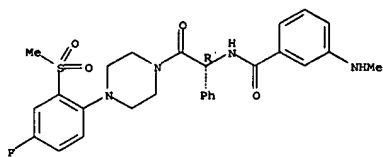
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



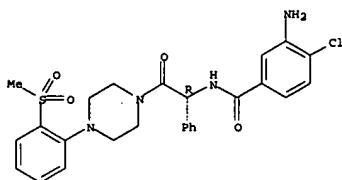
RN 313487-35-3 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-
 2-oxo-1-phenylethyl]-3-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

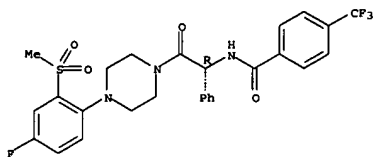


RN 313487-36-4 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

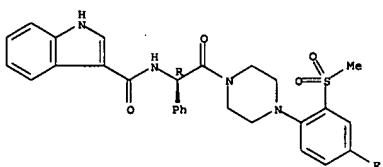


L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



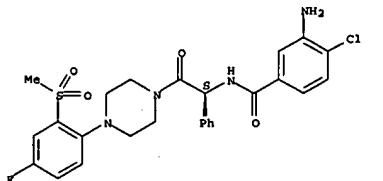
RN 313487-40-0 CAPLUS
 CN 1H-Indole-3-carboxamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-41-1 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1S)-2-[4-[4-fluoro-2-
 (methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



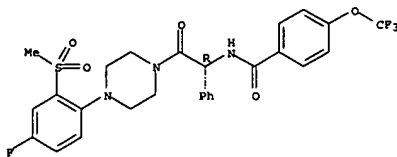
RN 313487-42-2 CAPLUS
 CN Benzoic acid, 2-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

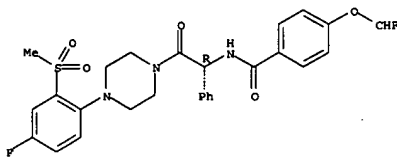
RN 313487-37-5 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-
 2-oxo-1-phenylethyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-38-6 CAPLUS
 CN Benzamide, 4-(difluoromethoxy)-N-[(1R)-2-[4-[4-fluoro-2-
 (methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 313487-39-7 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-
 2-oxo-1-phenylethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

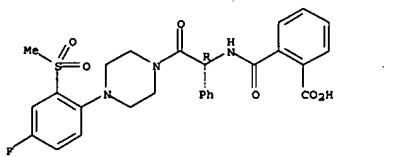
Absolute stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

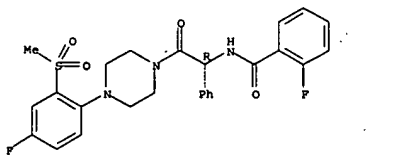
piperazinyl]-2-oxo-1-phenylethyl]aminocarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



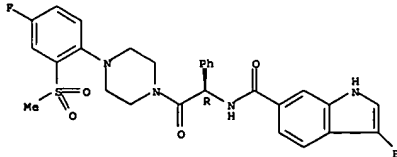
RN 313487-43-3 CAPLUS
 CN Benzamide, 2-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-44-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-bromo-N-[(1R)-2-[4-[4-fluoro-2-
 (methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

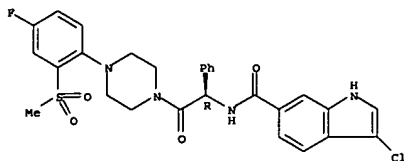


RN 313487-45-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-
 (methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA
 INDEX NAME)

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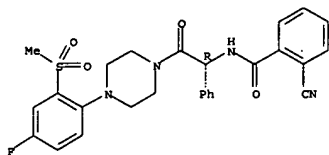
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME

Absolute stereochemistry.



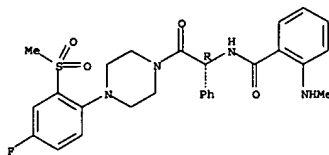
RN 313487-46-6 CAPLUS
CN Benzamide, 2-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

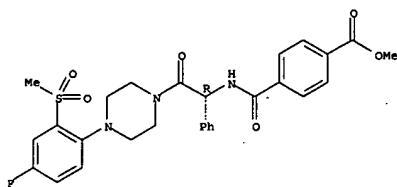


RN 313487-47-7 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

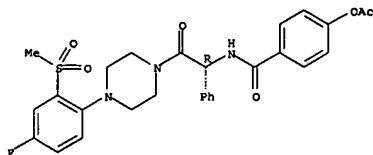


L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



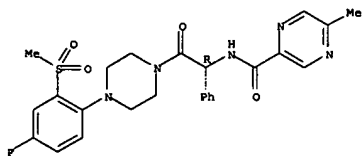
RN 313487-51-3 CAPLUS
CN Benzamide, 4-(acetyloxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-52-4 CAPLUS
CN Pyrazinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



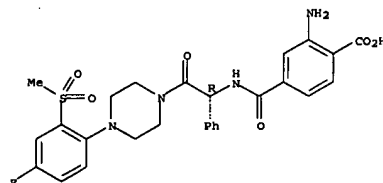
RN 313487-53-5 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Haibe

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

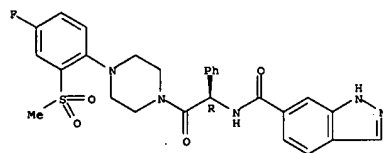
RN 313487-48-8 CAPLUS
CN Benzoic acid, 2-amino-4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-49-9 CAPLUS
CN 1H-Indazole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

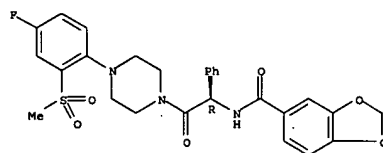


RN 313487-50-2 CAPLUS
CN Benzoic acid, 4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

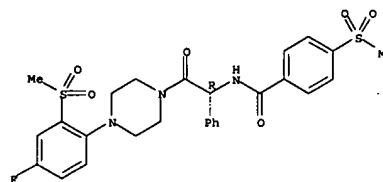
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



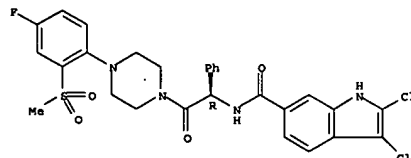
RN 313487-54-6 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-55-7 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



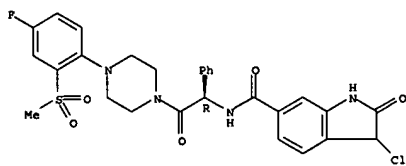
RN 313487-56-8 CAPLUS

09/14/2006

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-

(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

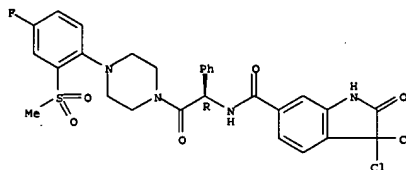


RN 313487-57-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-

(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

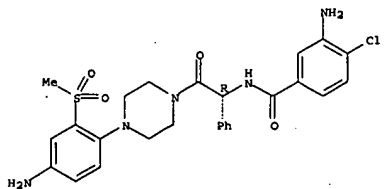


RN 313487-67-1 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

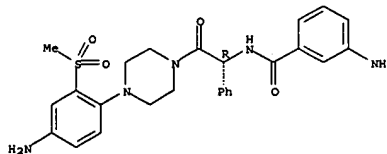
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-68-2 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

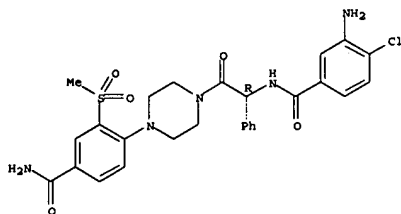


RN 313487-69-3 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-(aminocarbonyl)-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

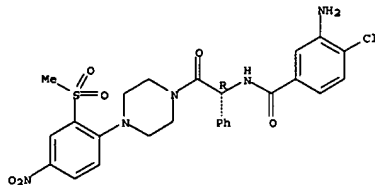
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-70-6 CAPLUS

CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

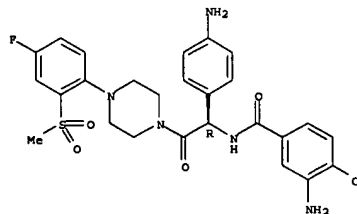


RN 313487-71-7 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminophenyl)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

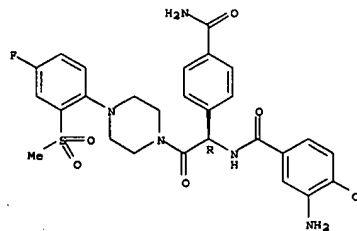
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-72-8 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



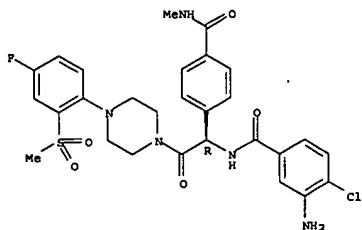
RN 313487-73-9 CAPLUS

CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-

(methylsulfonyl)phenyl]-1-piperazinyl]-1-[4-[(methylamino)carbonyl]phenyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

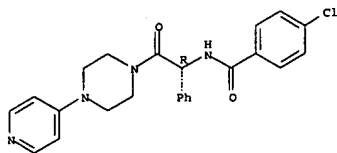
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-86-4 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

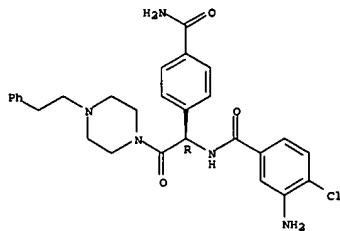
Absolute stereochemistry.



RN 313488-12-9 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

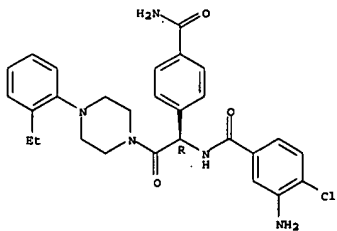
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

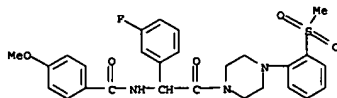


RN 313488-16-3 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-ethylphenyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



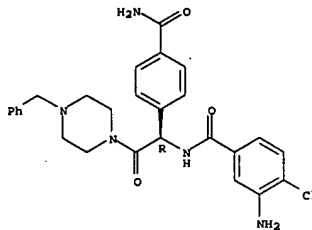
RN 313488-24-3 CAPLUS
 CN Benzamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 313488-35-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(3-fluorophenyl)-2-[4-[2-

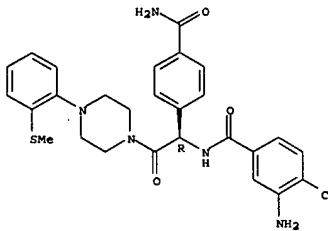
Habte

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-13-0 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-(methylthio)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

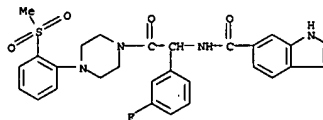
Absolute stereochemistry.



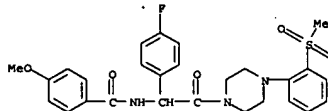
RN 313488-14-1 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

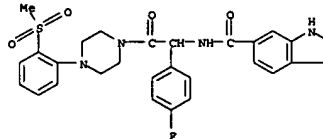
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 313488-26-5 CAPLUS
 CN Benzamide, N-[1-(4-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

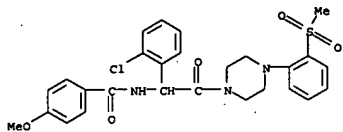


RN 313488-27-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

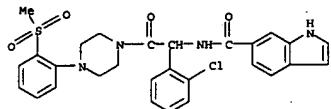


RN 313488-28-7 CAPLUS
 CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

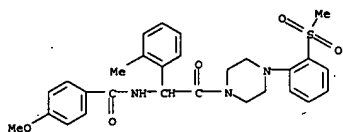
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-29-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



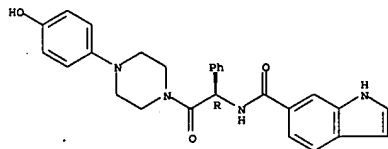
RN 313488-30-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 313488-31-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

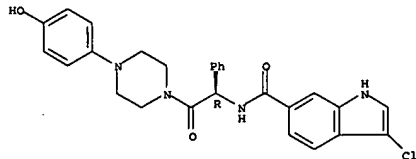
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



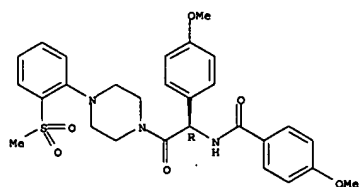
RN 313488-35-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-36-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-1-(4-methoxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

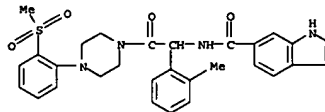
Absolute stereochemistry.



RN 313488-50-5 CAPLUS

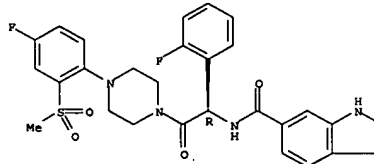
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L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



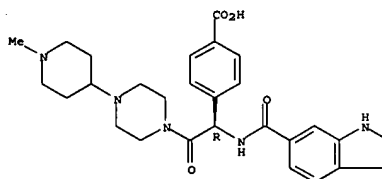
RN 313488-32-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-1-(2-fluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-33-4 CAPLUS
 CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

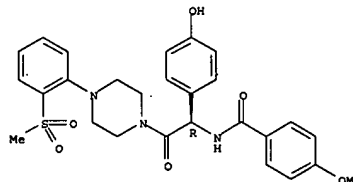


RN 313488-34-5 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

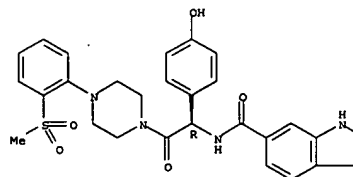
CN Benzamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-51-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



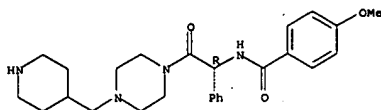
RN 313489-20-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CN 1

CRN 313489-19-9
 CMP C26 H34 N4 O3

Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

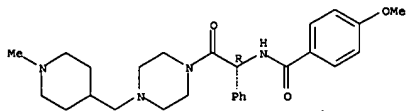


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 313489-21-3 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

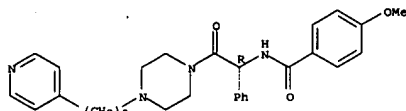


● x HCl

RN 313489-22-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

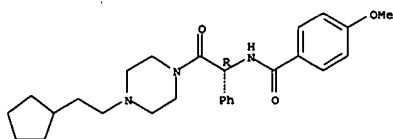
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● x HCl

RN 313489-25-7 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

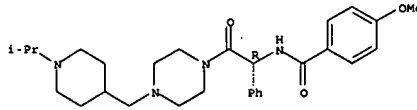
RN 313489-27-9 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-3-pyrrolidinyl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 313489-26-8
CMF C24 H30 N4 O3

Absolute stereochemistry.

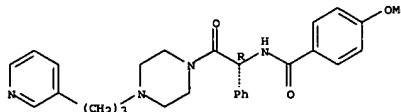
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 3 HCl

RN 313489-23-5 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[3-(3-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

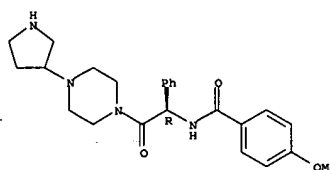


● x HCl

RN 313489-24-6 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[3-(4-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

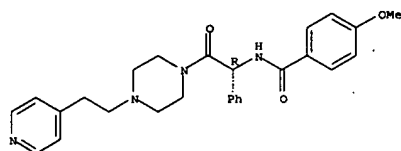


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 313489-28-0 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

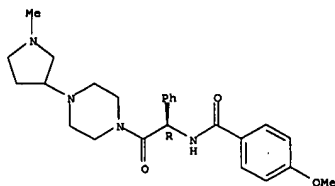
Absolute stereochemistry.



RN 313489-29-1 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-3-pyrrolidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

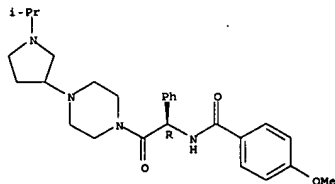
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



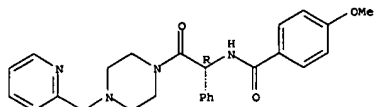
RN 313489-30-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methylethyl)-3-pyrrolidinyl]-1-piperazinyl]ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



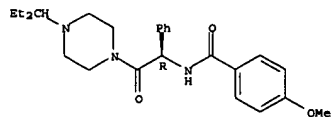
RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



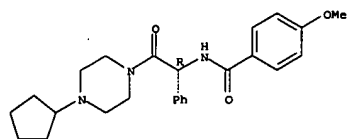
RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



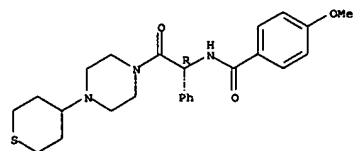
RN 313489-63-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-64-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(tetrahydro-2H-thiopyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



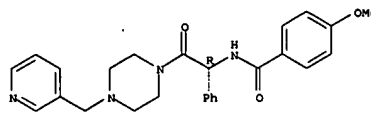
RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

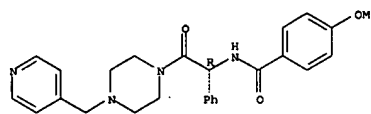
piperazinyl]ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



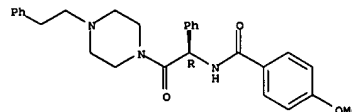
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

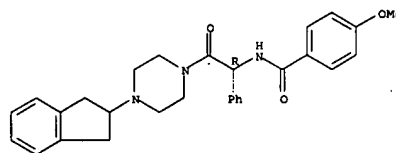
Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

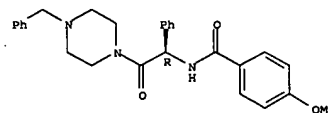
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



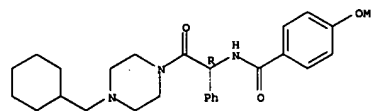
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

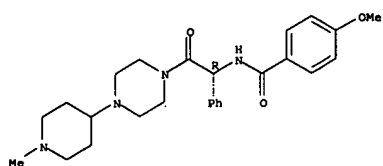
Absolute stereochemistry.



RN 313489-70-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

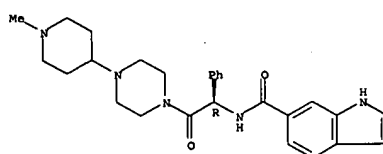
Absolute stereochemistry. Rotation (-).

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



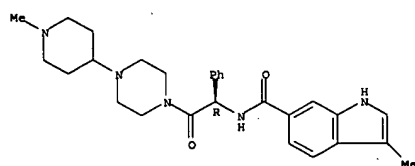
RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



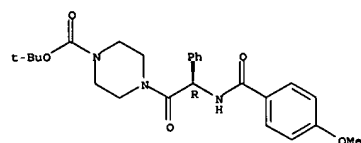
RN 313489-72-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-73-5 CAPLUS

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

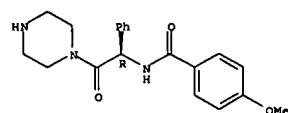


RN 313490-72-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 313490-71-0
 CMF C20 H23 N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

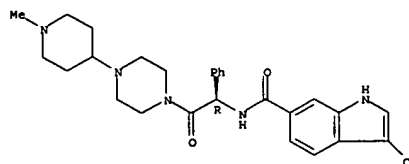


RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(4-[(2R)-[(4-methoxybenzoyl)amino]phenyl)ethyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

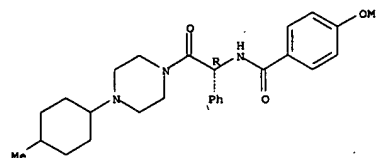
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

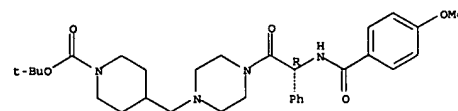


IT 313490-70-9P 313490-72-1P 313490-73-2P
 313490-74-3P 313490-75-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)

RN 313490-70-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-[(4-methoxybenzoyl)amino]phenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

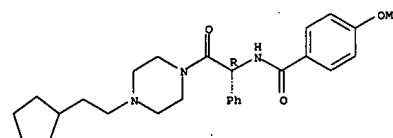
Absolute stereochemistry.

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



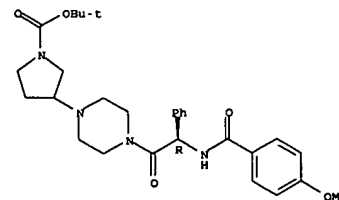
RN 313490-74-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



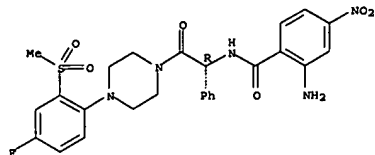
RN 313490-75-4 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenyl)ethyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



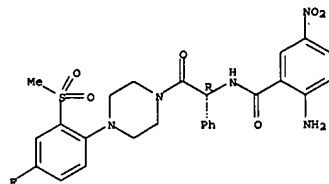
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 313486-90-7 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-nitro- (9CI) (CA INDEX NAME)

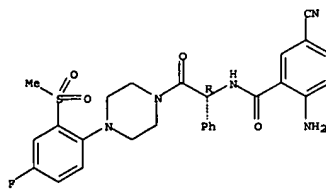
Absolute stereochemistry.



RN 313486-91-8 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

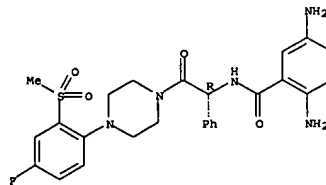
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313486-92-9 CAPLUS
 CN Benzamide, 2,5-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

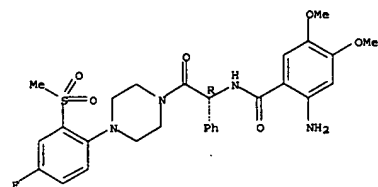
Absolute stereochemistry.



RN 313486-93-0 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)

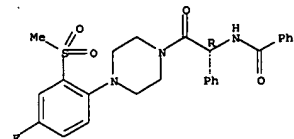
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



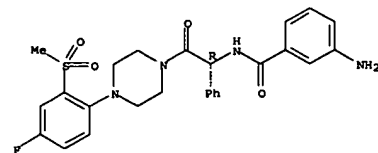
RN 313486-94-1 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-95-2 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

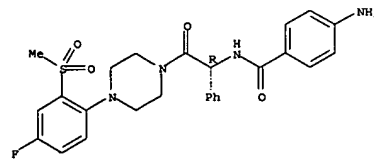


RN 313486-96-3 CAPLUS
 CN Benzamide, 4-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

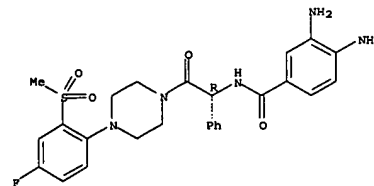
Habte

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



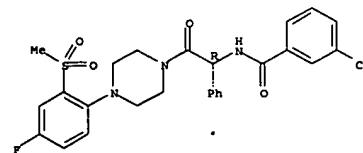
RN 313486-97-4 CAPLUS
 CN Benzamide, 3,4-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-98-5 CAPLUS
 CN Benzamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

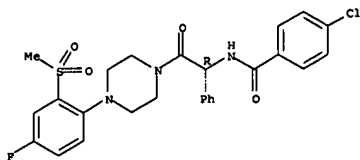
Absolute stereochemistry.



RN 313486-99-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

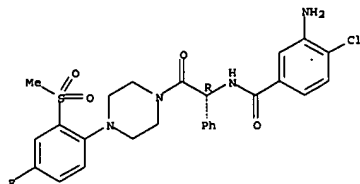
09/14/2006

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



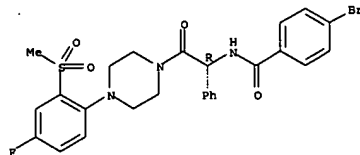
RN 313487-00-2 CAPLUS
CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

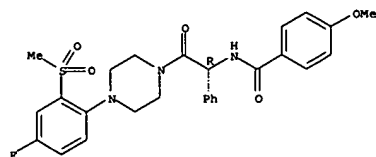


RN 313487-01-3 CAPLUS
CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

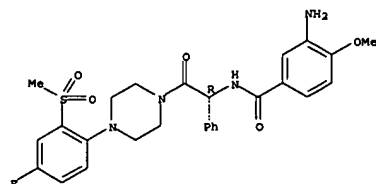


L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



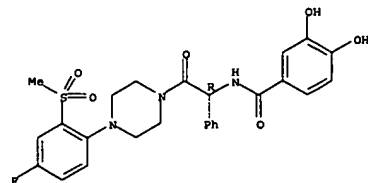
RN 313487-05-7 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-06-8 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3,4-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



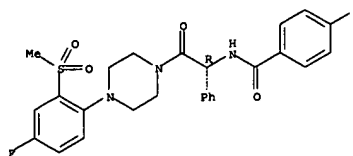
RN 313487-07-9 CAPLUS
CN 2-Naphthalenecarboxamide,

Habte

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

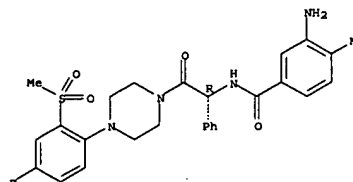
RN 313487-02-4 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-03-5 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

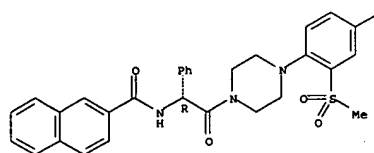


RN 313487-04-6 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

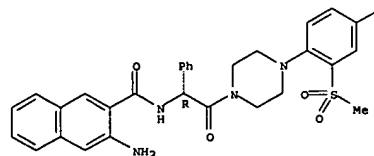
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



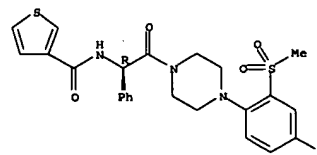
RN 313487-08-0 CAPLUS
CN 2-Naphthalenecarboxamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-09-1 CAPLUS
CN 3-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

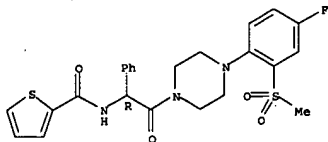


RN 313487-10-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

09/14/2006

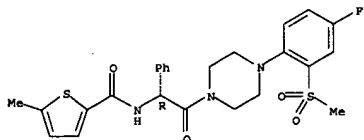
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



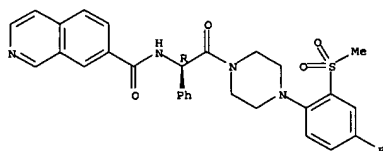
RN 313487-11-5 CAPLUS
CN 2-Thiophenecarboxamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

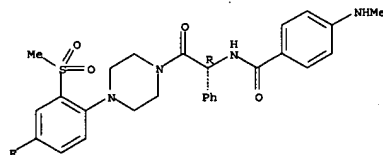


RN 313487-12-6 CAPLUS
CN 7-Isquinolinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

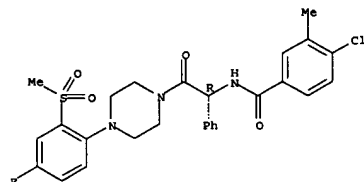


L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



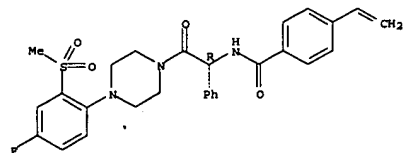
RN 313487-16-0 CAPLUS
CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-17-1 CAPLUS
CN Benzamide, 4-ethenyl-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-18-2 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-hydroxy- (9CI) (CA INDEX NAME)

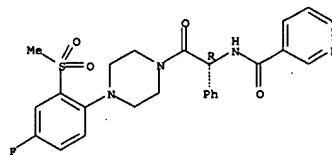
Absolute stereochemistry.

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L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

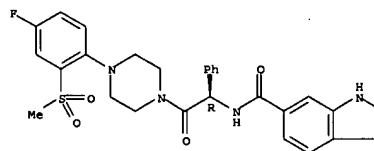
RN 313487-13-7 CAPLUS
CN 3-Pyridinecarboxamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-14-8 CAPLUS
CN 1H-Indole-6-carboxamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

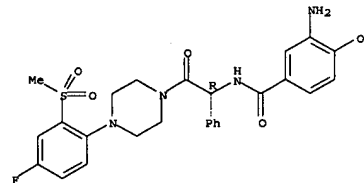
Absolute stereochemistry.



RN 313487-15-9 CAPLUS
CN Benzamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

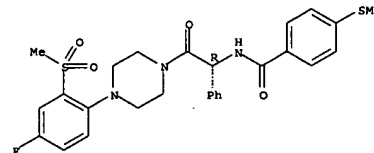
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



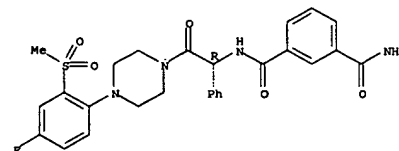
RN 313487-19-3 CAPLUS
CN Benzamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-20-6 CAPLUS
CN 1,3-Benzenedicarboxamide,
N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

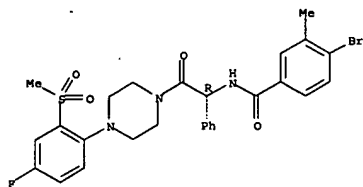


RN 313487-21-7 CAPLUS
CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

09/14/2006

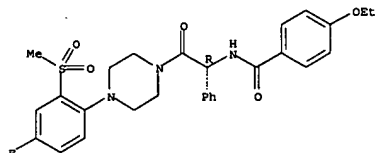
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 313487-22-8 CAPLUS
 CN Benzamide, 4-ethoxy-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

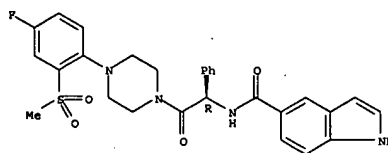


RN 313487-23-9 CAPLUS
 CN 1H-Indole-5-carboxamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

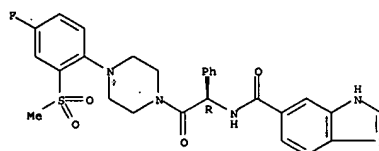


L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



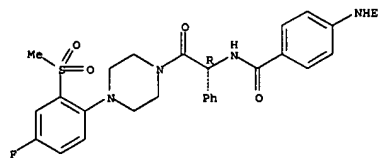
RN 313487-24-0 CAPLUS
 CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-24-2 CAPLUS
 CN Benzamide,
 4-(ethylamino)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

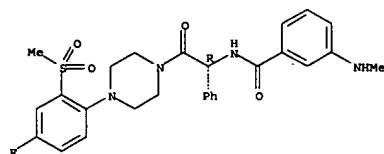


RN 313487-35-3 CAPLUS

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

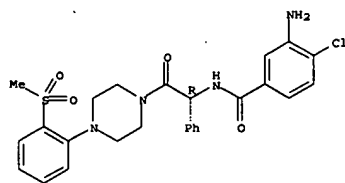
CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



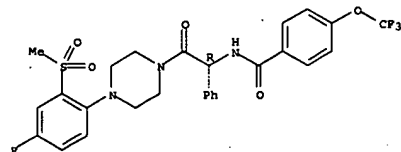
RN 313487-36-4 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-37-5 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

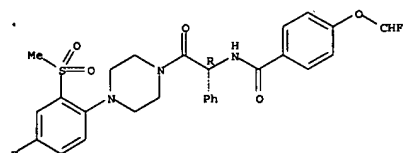
Absolute stereochemistry.



L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

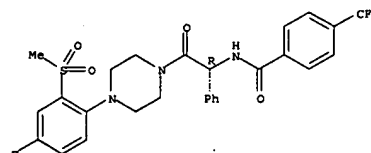
RN 313487-38-6 CAPLUS
 CN Benzamide, 4-(difluoromethoxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-39-7 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

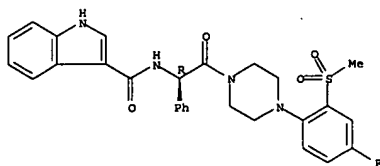
Absolute stereochemistry.



RN 313487-40-0 CAPLUS
 CN 1H-Indole-3-carboxamide,
 N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

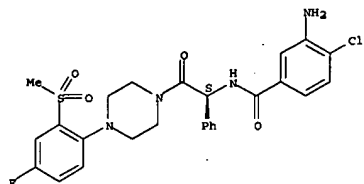
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



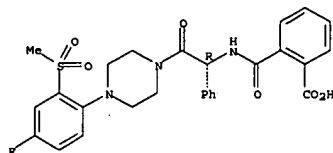
RN 313487-41-1 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

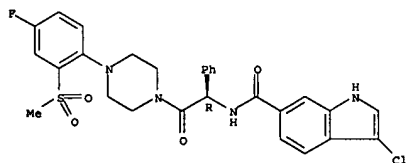


RN 313487-42-2 CAPLUS
 CN Benzoic acid, 2-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

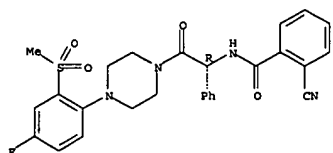


L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



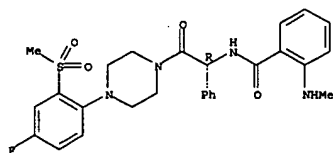
RN 313487-46-6 CAPLUS
 CN Benzamide, 2-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-47-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-48-8 CAPLUS
 CN Benzoic acid, 2-amino-4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

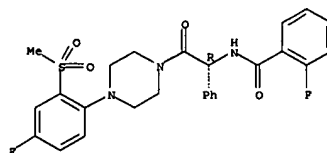
Absolute stereochemistry.

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L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

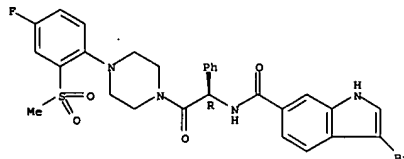
RN 313487-43-3 CAPLUS
 CN Benzamide, 2-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-44-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

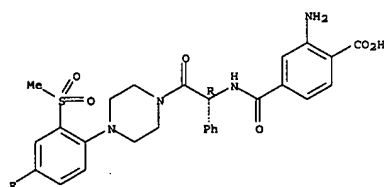
Absolute stereochemistry.



RN 313487-45-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

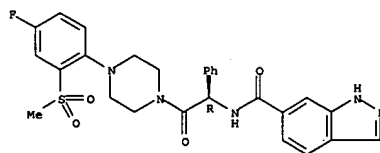
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



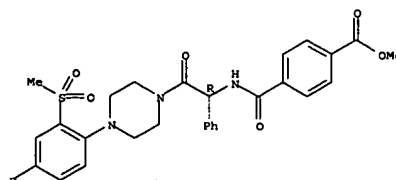
RN 313487-49-9 CAPLUS
 CN 1H-Indazole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-50-2 CAPLUS
 CN Benzoic acid, 4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



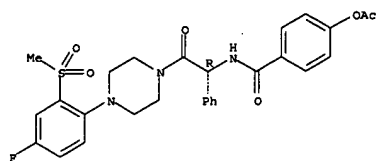
09/14/2006

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 313487-51-3 CAPLUS

CN Benzamide, 4-(acetyloxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

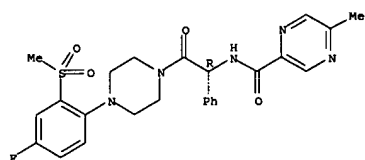
Absolute stereochemistry.



RN 313487-52-4 CAPLUS

CN Pyrazinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-53-5 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

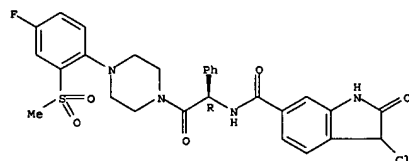
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 313487-56-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

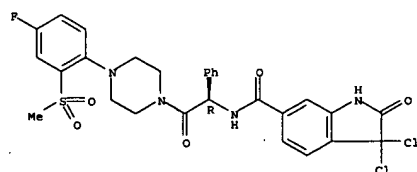
Absolute stereochemistry.



RN 313487-57-9 CAPLUS

CN 1H-Indole-6-carboxamide, 3,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

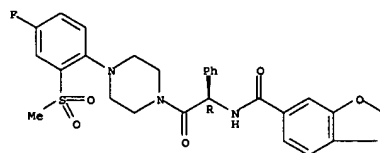


RN 313487-67-1 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

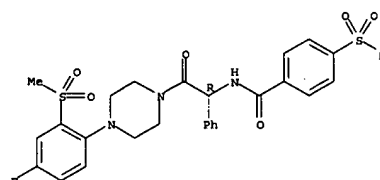
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-54-6 CAPLUS

CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

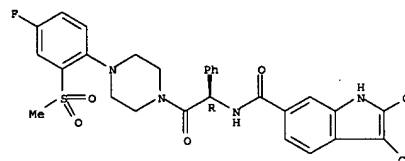
Absolute stereochemistry.



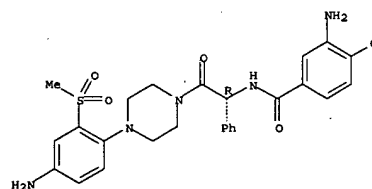
RN 313487-55-7 CAPLUS

CN 1H-Indole-6-carboxamide, 2,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



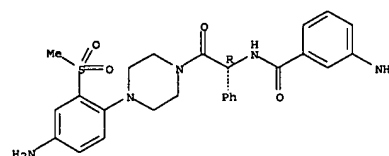
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-68-2 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

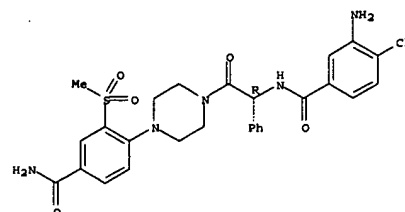
Absolute stereochemistry.



RN 313487-69-3 CAPLUS

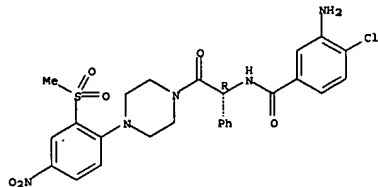
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-(aminocarbonyl)-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



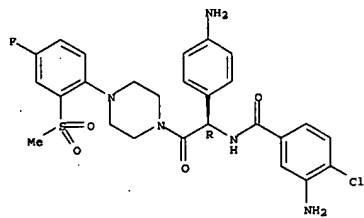
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 313487-70-6 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-71-7 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminophenyl)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

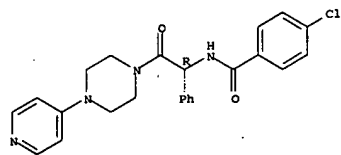
Absolute stereochemistry.



RN 313487-72-8 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

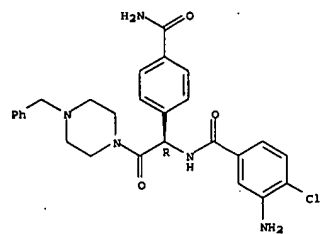
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-12-9 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

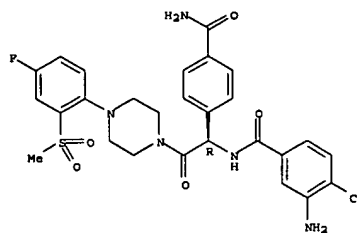
Absolute stereochemistry.



RN 313488-13-0 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-[2-(methylthio)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

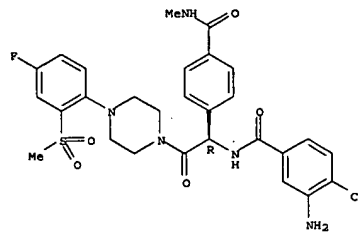
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313487-73-9 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-1-[4-[(methylamino)carbonyl]phenyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

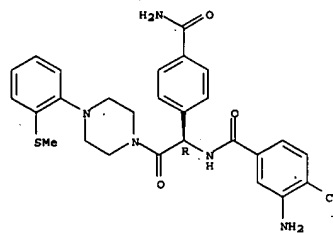
Absolute stereochemistry.



RN 313487-86-4 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

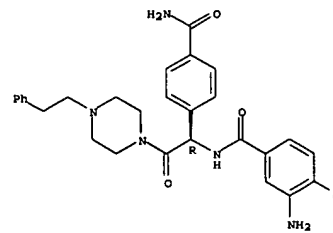
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-14-1 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

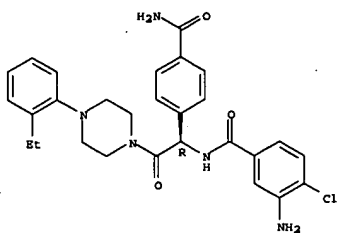
Absolute stereochemistry.



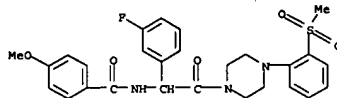
RN 313488-16-3 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-(2-ethylphenyl)-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

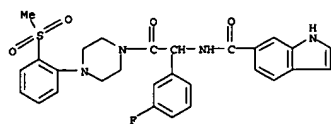
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-24-3 CAPLUS
CN Benzamide, N-[1-(3-fluorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

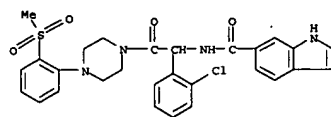


RN 313488-25-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(3-fluorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

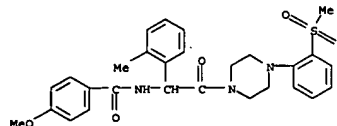


RN 313488-26-5 CAPLUS
CN Benzamide, N-[1-(4-fluorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

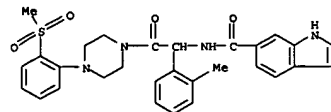
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-30-1 CAPLUS
CN Benzamide, 4-methoxy-N-[1-(2-methylphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



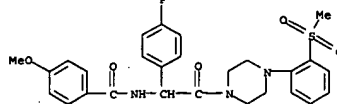
RN 313488-31-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-methylphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



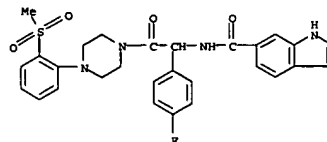
RN 313488-32-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-methylphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

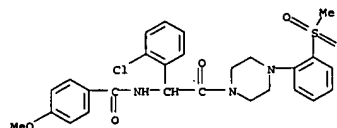
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-27-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(4-fluorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

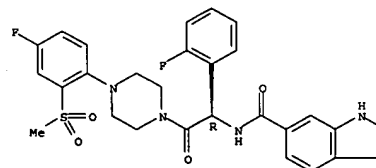


RN 313488-28-7 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



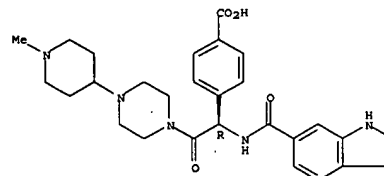
RN 313488-29-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



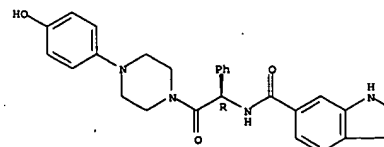
RN 313488-33-4 CAPLUS
CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



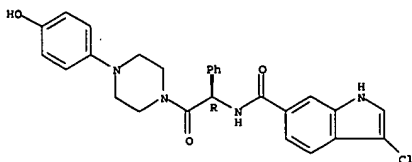
RN 313488-34-5 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(2-methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



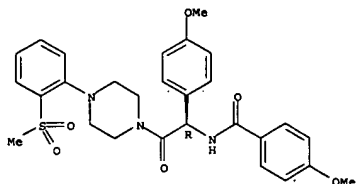
RN 313488-35-6 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 313488-36-7 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-1-(4-methoxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

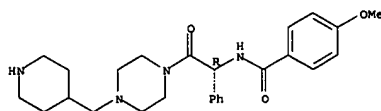
Absolute stereochemistry.



RN 313488-50-5 CAPLUS
CN Benzamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



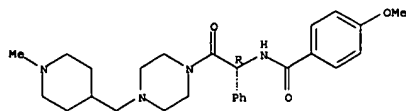
CN 2

CRN 76-05-1
CMP C2 H F3 O2



RN 313489-21-3 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

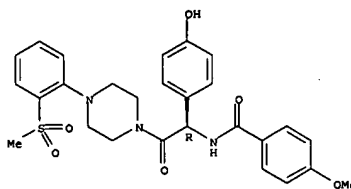


● x HCl

RN 313489-22-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

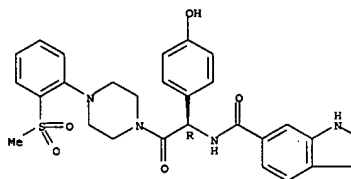
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 313488-51-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



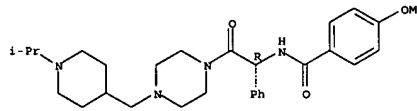
RN 313489-20-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CN 1

CRN 313489-19-9
CMP C26 H34 N4 O3

Absolute stereochemistry.

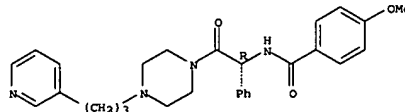
L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 3 HCl

RN 313489-23-5 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[3-(3-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

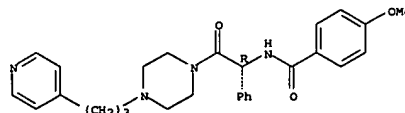
Absolute stereochemistry.



● x HCl

RN 313489-24-6 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[3-(4-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

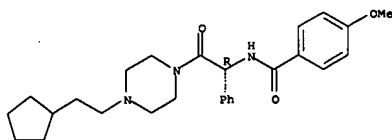


● x HCl

RN 313489-25-7 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



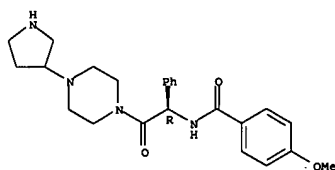
● HCl

RN 313489-27-9 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyrrolidinyl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 313489-26-8
CMF C24 H30 N4 O3

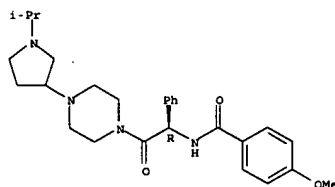
Absolute stereochemistry.



CM 2

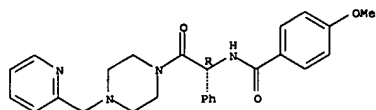
CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



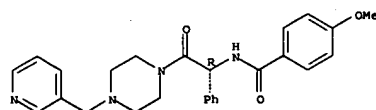
RN 313489-58-6 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-59-7 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-60-0 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

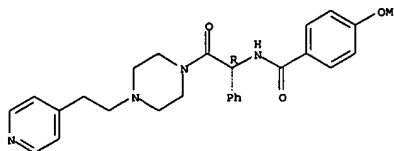
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



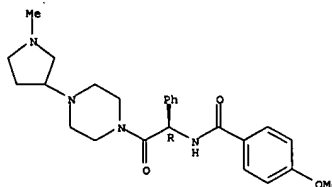
RN 313489-28-0 CAPLUS
CN Benzamide,
4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-29-1 CAPLUS
CN Benzamide,
4-methoxy-N-[(1R)-2-[4-(1-methyl-3-pyrrolidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

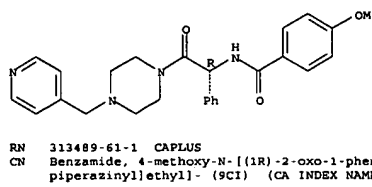
Absolute stereochemistry.



RN 313489-30-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methylethyl)-3-pyrrolidinyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

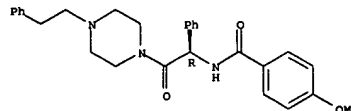
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



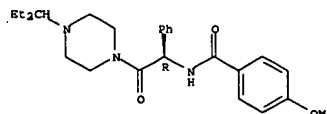
RN 313489-61-1 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-62-2 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

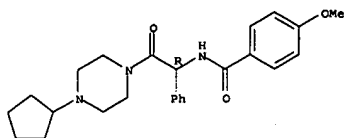
Absolute stereochemistry.



RN 313489-63-3 CAPLUS
CN Benzamide,
N-[(1R)-2-[4-(cyclopentyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

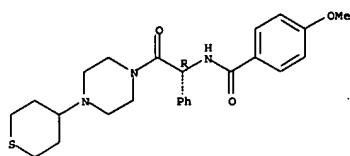
Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



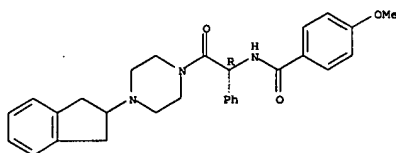
RN 313489-64-4 CAPLUS
 CN Benzamide,
 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(tetrahydro-2H-thiopyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



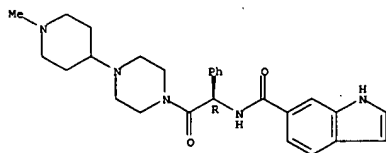
RN 313489-65-5 CAPLUS
 CN Benzamide,
 N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



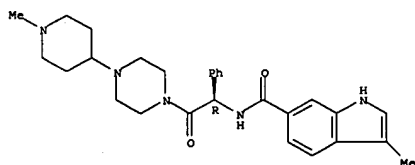
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



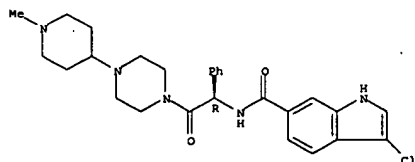
RN 313489-72-4 CAPLUS
 CN 1H-Indole-6-carboxamide,
 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-73-5 CAPLUS
 CN 1H-Indole-6-carboxamide,
 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



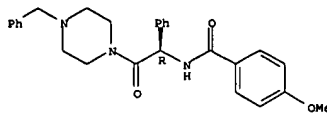
RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

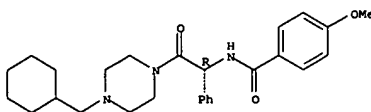
piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



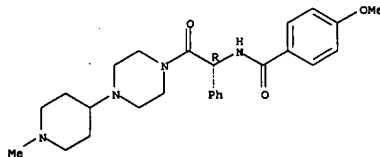
RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-70-2 CAPLUS
 CN Benzamide,
 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

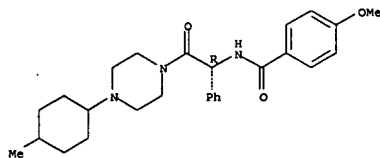


RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

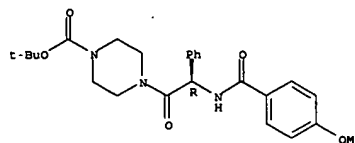


IT 313490-70-9P 313490-72-1P 313490-73-2P
 313490-74-3P 313490-75-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of amino acid deriva. as serine protease inhibitors)

RN 313490-70-9 CAPLUS
 CN 1-Piperazinecarboxylic acid,
 4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



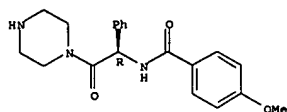
RN 313490-72-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CN 1

CRN 313490-71-0
 CMF C20 H23 N3 O3

Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

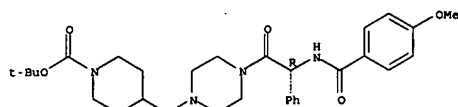


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 313490-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid,
4-[[4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]
ethyl]-1-piperazinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-
phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

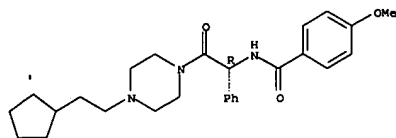
L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184269 CAPLUS
DOCUMENT NUMBER: 130:237884
TITLE: Preparation of meta-benzamidine derivatives of amino
acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander;
Waszkowycz, Bohdan; Murray, Christopher William;
Rimmer, Andrew David; Welsh, Pauline Mary; Jones,
Stuart Donald; Roscoe, Jonathan Michael Ernest;
Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TN, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888757	A1	19990322	AU 1998-88757	19980828
EP 1009758	A1	20000621	EP 1998-940430	19980828
EP 1009758	B1	20050601		
R: DE, FR, GB, IT				
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
US 2004143018	A1	20040722	US 2004-752568	20040108
PRIORITY APPLN. INFO.:			GB 1997-18292	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2605	W 19980828
			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			US 2000-485678	A2 20000225
			WO 2000-GB2291	A2 20000613
			US 2001-988082	A1 20011119

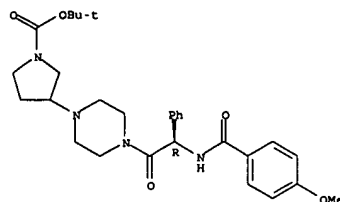
OTHER SOURCE(S): MARPAT 130:237884
GI

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

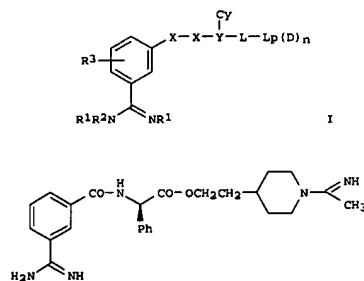


RN 313490-75-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid,
3-[[4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]
ethyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

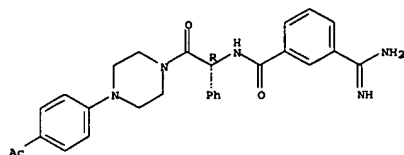


AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonamido, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxo, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for preparing some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-aminobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-aminobenzoic-D-phenylglycine ester II (preparation not given, but 1H NMR characterization data provided), at 1.9 μM concentration, doubled the clotting time.

IT 221237-68-9P 221237-74-7P 221237-81-6P
221237-90-7P 221237-98-5P 221238-06-8P
221240-62-6P 221240-91-1P 221240-97-7P

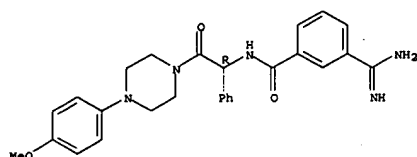
L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 221241-03-8P 221241-09-4P 221241-14-1P
 221241-19-6P 221241-24-3P 221241-29-8P
 221242-18-8P 221242-26-8P 221242-30-4P
 221242-34-8P
 RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of meta-benzamidine deriva. of amino acids or dipeptides as
 serine protease inhibitors)
 RN 221237-68-9 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(4-acetylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(aminoiminomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-74-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

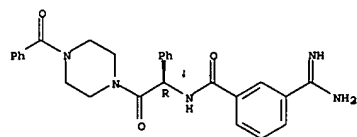
Absolute stereochemistry.



RN 221237-81-6 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

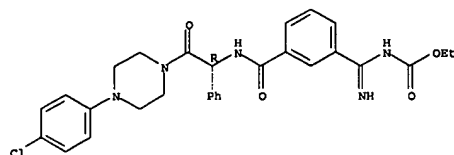
Absolute stereochemistry.

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



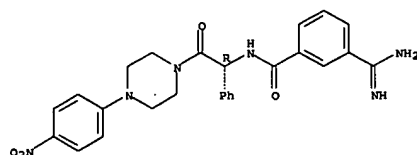
RN 221240-62-6 CAPLUS
 CN Carbamic acid, [(3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl)iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221240-91-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-nitrophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

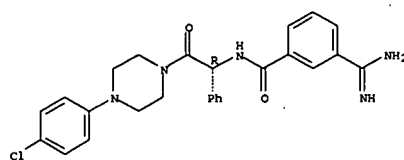
Absolute stereochemistry.



RN 221240-97-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-aminophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

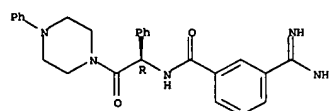
Absolute stereochemistry.

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



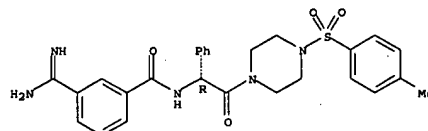
RN 221237-90-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-98-5 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

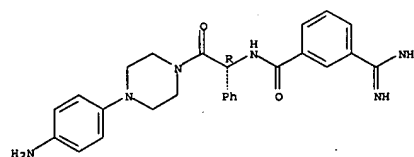
Absolute stereochemistry.



RN 221238-06-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

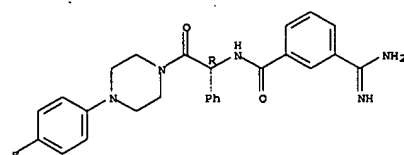
Absolute stereochemistry.

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



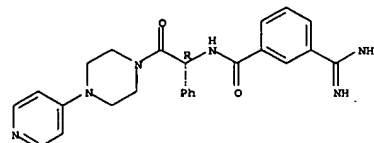
RN 221241-03-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-09-4 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

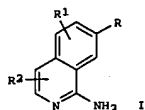
Absolute stereochemistry.



RN 221241-14-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

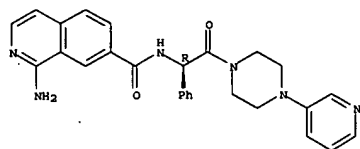


AB Aminoisoquinoline amino acid derivs. I [R1 = H, halo, cyano, nitro, hydroxy, amino, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, thiol, alkylthio, aminoalkenyl, alkoxyalkyl, alkoxyalkenyl, acyloxymethoxycarbonyl or alkylamino (optionally substituted); R2 = H, halo, Me, amino, hydroxy, or oxo; and R is X-Y(R7)-L-Lp(D)n, where each X independently is a C, N, O or S atom or a CO, CR1, CR12 or NR1 group; Y is a nitrogen atom or a CR1 group or Y and L taken together form a cyclic group; R7 is a lipophilic group selected from alkyl, alkenyl, mono- or bi-cycloalkyl, aryl, heteroaryl, mono- or bicycloalkylalkyl, mono- or bicycloalkylalkenyl, aralkyl, heteroaryl-alkyl, arylalkenyl, heteroarylalkenyl, all optionally substituted by a group R1; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Lp is a lipophilic organic group selected from alkyl, heterocyclic, alkenyl, alkaryl, cycloalkyl, polycycloalkyl, cycloalkenyl, aryl, aralkyl or haloalkyl group or a combination of two or more such groups optionally substituted by one or more of oxa, thia, aza or R1 groups; D is a hydrogen bond donor group; and n is 0, 1, or 2] or their 3,4-dihydro derivs. were prepared as serine protease inhibitors. Thus, 1-aminoisoquinolin-7-oyl-D-phenylglycine-4-methoxybenzylamide was prepared by amidation of Boc-D-phenylglycine with 4-methylbenzylamine, followed by deprotection and coupling with 1-aminoisoquinoline-7-carboxylic acid trifluoroacetate.

IT 221049-85-0P 221049-86-1P 221049-87-2P
221049-88-3P 221049-89-4P 221049-90-7P
221049-91-8P 221049-92-9P 221049-93-0P
221049-94-1P 221049-95-2P 221049-96-3P
221050-11-9P 221050-12-0P 221050-13-1P
221050-14-2P 221050-15-3P 221050-16-4P
221050-18-6P 221050-19-7P 221050-20-0P
221050-21-1P 221050-22-2P 221050-23-3P
221050-24-4P 221050-25-5P 221050-26-6P
221050-27-7P 221050-62-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoisoquinoline peptidyl derivs. as serine protease inhibitors)

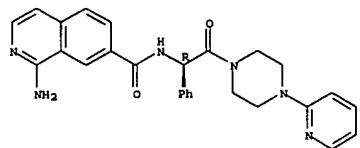
RN 221049-85-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



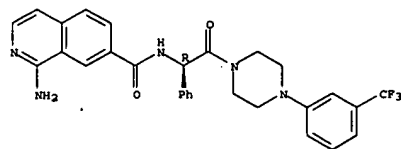
RN 221049-89-4 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-90-7 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-(trifluoromethyl)phenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



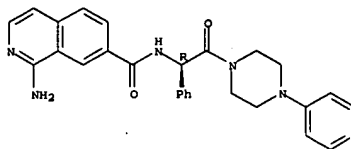
RN 221049-91-8 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habt

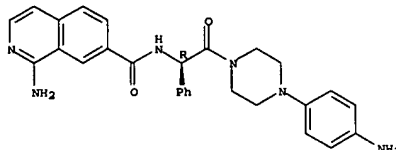
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



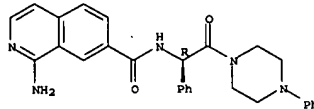
RN 221049-86-1 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-aminophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



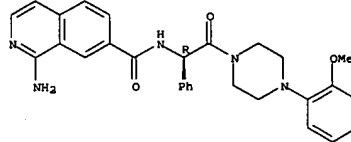
RN 221049-87-2 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



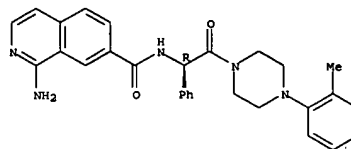
RN 221049-88-3 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



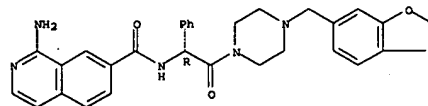
RN 221049-92-9 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-93-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

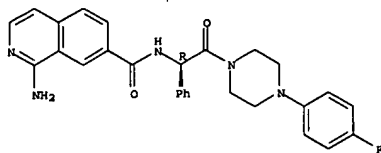


RN 221049-94-1 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

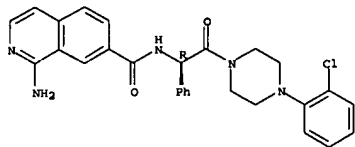
09/14/2006

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



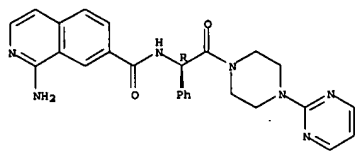
RN 221049-95-2 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-96-3 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

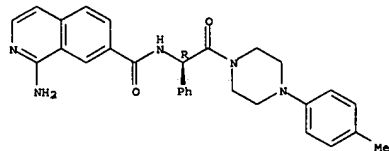
Absolute stereochemistry.



RN 221050-11-9 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

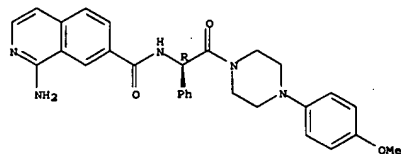
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

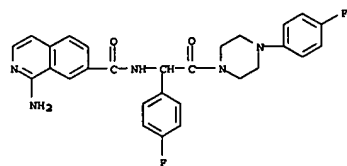


RN 221050-15-3 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-16-4 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

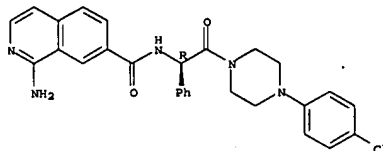


RN 221050-18-6 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Habte

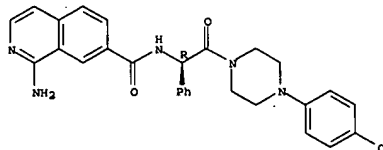
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



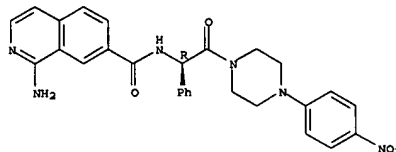
RN 221050-12-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-13-1 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-nitrophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

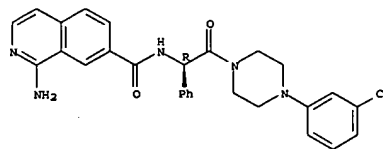
Absolute stereochemistry.



RN 221050-14-2 CAPLUS

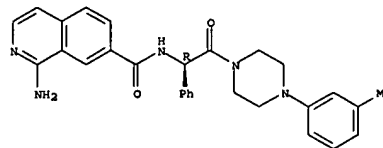
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



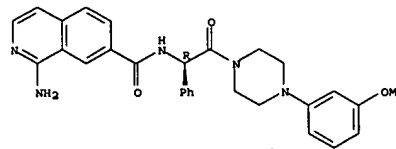
RN 221050-19-7 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-20-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

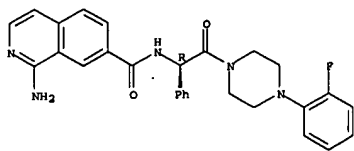


RN 221050-21-1 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

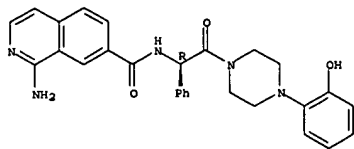
09/14/2006

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



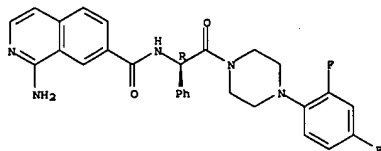
RN 221050-22-2 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-23-3 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

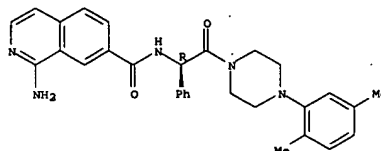
Absolute stereochemistry.



RN 221050-24-4 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,3-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

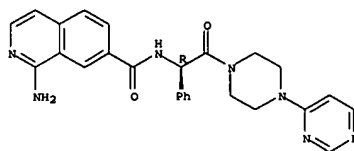
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,5-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-62-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyrimidinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

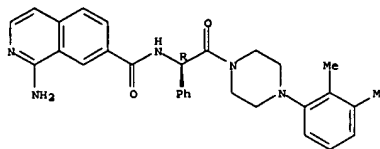
Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

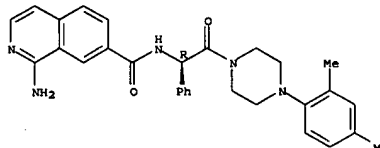
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



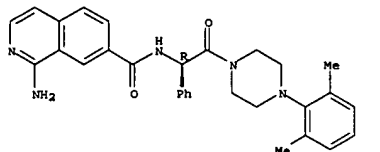
RN 221050-25-5 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-26-6 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,6-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



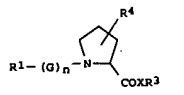
RN 221050-27-7 CAPLUS

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:65811 CAPLUS
DOCUMENT NUMBER: 128:136515
TITLE: Bone resorption inhibitors
INVENTOR(S): Aibe, Kazuhiko; Takebayashi, Yukihiko; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801133	A1	19980115	WO 1997-JP2357	19970708
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RM:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9733596	A1	19980202	AU 1997-33596	19970708
PRIORITY APPLN. INFO.:			JP 1996-177955	A 19960708
			WO 1997-JP2357	W 19970708

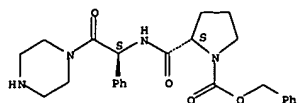
OTHER SOURCE(S): MARPAT 128:136515
GI



AB Drugs, in particular, bone resorption inhibitors containing as the active ingredient compds. having selective cathepsin K inhibitory effects, among all, proline deriva. represented by general formula (I) or pharmaceutically acceptable salts thereof, wherein each symbol has the meaning as specified below: X: a moiety (except for the C-terminal carbonyl group) of an amino acid residue with its side chain optionally protected; R1: an amino-protective group; G: a glycine residue; n: 0 or 1;
R3: a group inhibiting the activity of the SH group of cysteine proteases; and R4: hydrogen, hydroxy or Ph.
IT 202281-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (bone resorption inhibitors)

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 202281-95-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-oxo-1-phenyl-2-(1-piperazinyl)ethylamino]carbonyl]-, phenylmethyl ester, [S-(R*,R*)]-(9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

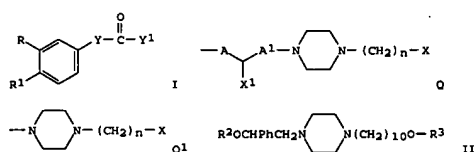


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:656227 CAPLUS
 DOCUMENT NUMBER: 115:256227
 TITLE: Preparation of alkylpiperazines as cyclooxygenase and lipoxxygenase inhibitors
 INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi
 PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

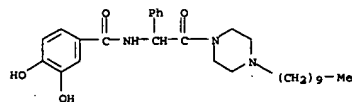
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9111444	A1	19910808	WO 1991-JP60	19910119
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2050492	AA	19910727	CA 1991-2050492	19910119
CA 2050492	C	19961217		
AU 9170576	A1	19910821	AU 1991-70576	19910119
AU 637670	B2	19910603		
EP 465659	A1	19920115	EP 1991-902742	19910119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5182284	A	19930126	US 1991-761974	19910925
PRIORITY APPLN. INFO.:			JP 1990-16583	A 19900126
			WO 1991-JP60	A 19910119

OTHER SOURCE(S): MARPAT 115:256227
 GI



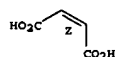
AB The title compds. [I; R, R1 = OH, alkoxy, halo, H, dialkyl phosphate residue, etc.; or RR1 = OCH2O; Y = CH:CH, (CH2)m; m = 0, 1; Y1 = O, Q1; A = NH, O; A1 = CH2, CO; n = 6-20; X = OH, H, alkoxycarbonyl; X1 = H, (halo)phenyl; however, when Y1 = Q1, R = R1 = OH] and their pharmaceutically acceptable salts, inhibitors of particularly 5-lipoxygenase, useful for treatment of asthma, etc., are prepared
 Stirring
 a mixt of (hydroxyethyl)piperazine II [R2 = H, R3 = tetrahydro-2-pyranyl]

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 with cinnamic acid in CH2Cl2 contg. 4-(dimethylamino)pyridine and dicyclohexylcarbodiimide at room temp. for 24 h gave, after deprotection by refluxing in MeOH contg. p-MeC6H4CO3H, 69% title compd. II [R2 = cinnamoyl, R3 = H]. The IC50 values for the title compd. II [R2 = 3,4-(OH)2C6H4CH2CO, R3 = H] are 9.9 and 0.32 μM against cyclooxygenase and 5-lipoxygenase, resp. Tablets, granules, capsules, etc., contg. I were formulated.
 IT 137424-14-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cyclooxygenase and lipoxygenase inhibitors)
 RN 137424-14-7 CAPLUS
 CN Benzamide,
 N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-3,4-dihydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)
 CM 1
 CRN 137424-13-6
 CNP C29 H41 N3 O4



CM 2
 CRN 110-16-7
 CNP C4 H4 O4

Double bond geometry as shown.



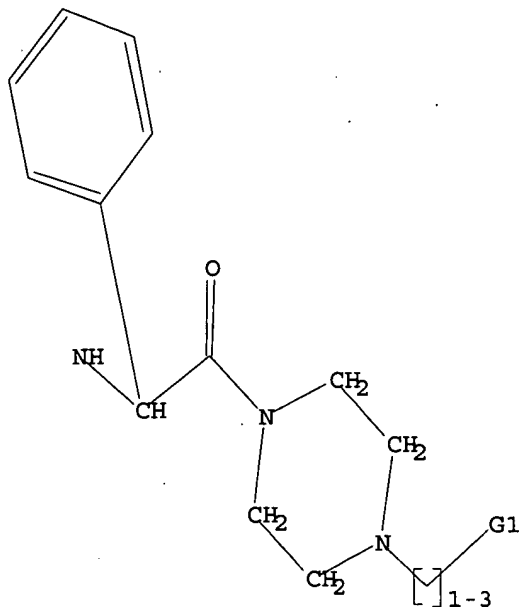
(2)

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Hy,H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:52:30 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1571 TO 2829

PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:52:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2313 TO ITERATE

100.0% PROCESSED 2313 ITERATIONS

145 ANSWERS

SEARCH TIME: 00.00.01

L3 145 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
166.94	167.15

FULL ESTIMATED COST

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FILE LAST UPDATED: 13 Sep 2006 (20060913/ED)

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L4 22 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2005:962242 CAPLUS
DOCUMENT NUMBER: 143:248301
TITLE: Preparation of substituted quinolines as MTP/Apo-B
secretion inhibitors for treating obesity and
associated conditions
INVENTOR(S): Bertinato, Peter; Couturier, Michel Andre; Hamenaka,
Ernest Seichi; Ewing, Marcus Douglas; Robinson,
Ralph
Patelton, Jr.; Tickner, Derek Lawrence
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

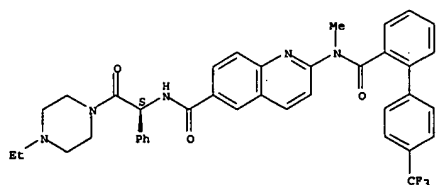
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080373	A1	2005050901	WO 2005-1B167	200505124
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BN, GM, KE, KE, LS, MW, MJ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, ML, PL, PT, RO, SE, SI, SK, TR, BR, BJ, CP, CI, CM, GA, GN, GQ, GW, ML, HR, NE, SN, TG			
AU 2005214155	A1	2005050901	AU 2005-214159	200505124
US 2005234099	A1	20051020	US 2005-49852	200505203
NL 1028192	A1	20050808	NL 2005-1028192	200505204
NL 1028192	C2	20060530		
PRIORITY APPLN. INFO.:			US 2004-541678P	P 20040204
			US 2004-633763P	P 20041205
			WO 2005-1B167	W 200505124

OTHER SOURCE(S): MARPAT 143:248301
GI

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

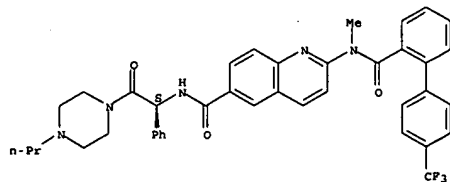
	(drug candidate; prepn. of substituted quinolines as MTP/Apo-B secretion inhibitors for treating obesity and assocd. conditions)
RN	863490-36-2 CAPLUS
CN	6-Quinolincarboxamide, N-[(1S)-2-(4-ethyl-1-piperazinyl)-2-oxo-1-phenylethyl]-2-[methyl[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carboxylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863490-37-3 CAPLUS
CN 6-Quinolincarboxamide, 2-[methyl[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]-N-[(1S)-2-oxo-1-phenyl-2-(4-propyl-1-piperazinyl)ethyl]-
(9CI) (CA INDEX NAME)

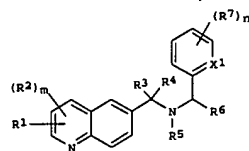
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

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L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB This invention relates to MTP/Apo-B secretion inhibitors of Formula (I) wherein R1-R7, X1, m and n are as defined below, as well as pharmaceutical

comps., comprising the compds., and methods of use of the compds. and compns. The compds. of the invention are useful in treating obesity and associated diseases, conditions or disorders. For I the variables are:

R1 = substituted Ph or pyridine; m = 0-2; n = 0-4; X1 = N or C(Rb) where Rb =

or R7; R2, R7, and R9 = halo, OH, CN, alkyl, alkoxy, alkoxyalkyl, halo-substituted alkyl, halo-substituted alkoxy, alkylthiobenzoyloxy, hydroxyalkyl, alkenyl, alkynyl, C(O)(R)(C(R11)), N(R11)(C(O)R12, N(R11)C(O)R12, N(R11)C(O)R12, N(R11)S(O)R12, C(O)R12, CO2R12, OC(O)R12, SO2N(Rc)(R11)

and
S(O)VR12, Rc = H or alkyl; s = -1,2; v = 0,2; R3 and R4 = H or taken together with the C to which they are attached form a carbonyl group; R5 and R10 = H, alkyl, halo-substituted alkyl, cycloalkyl, C(O)R12, alkoxyalkyl, alkylthioalkyl and SO2R12. ; Variables for I continued: R6 = optionally substituted alkyl, pyridyl, Ph, phenylalkyl, alkenyl, alkynyl,

CH₂N(RC)(R13), C(O)N(R14)(R15), CO₂R2 or CH₂-W-Y where W = O or S; and Y = H, alkyl, cycloalkyl, optionally substituted cycloalkylalkyl, Ph and phenylalkyl; R11 = H, alkyl, halo-substituted alkyl, cycloalkyl, alkoxyalkyl and alkylthioalkyl; R12 = optionally substituted alkyl or cycloalkyl, group; R13 = alkyl, phenylmethyl, C(O)R16 and S(O)2R16; R14 = H, optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, Ph and phenylalkyl; R15 = H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl, pyridyl, pyridylalkyl, C(O)R12 and group; R16 = (CH₂)_n where n = 2-4 and R17 and R18, each with the N to which they are attached to form a heterocyclic ring, which is optionally substituted; or R14 and R15 together with the N to which they are attached to form a heterocyclic ring which is optionally

substituted; and R16 = optionally substituted alkyl, Ph or phenylalkyl.

IT 863490-36-2P, (S)-2-[Methyl[[(4'-trifluoromethylbiphenyl-2-yl)carbonyl]amino]quinoline-6-carboxylic acid

[2-(4-ethylpiperazin-1-yl)-2-oxo-1-phenylethyl]amide 863490-37-3P, (S)-2-[Methyl[[(4'-trifluoromethylbiphenyl-2-yl)carbonyl]amino]quinoline-6-carboxylic acid

[2-oxo-1-phenyl-2-(4-propylpiperazin-1-yl)ethyl]amide

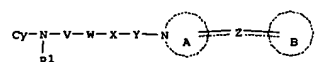
L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:564638 CAPLUS
DOCUMENT NUMBER: 143:97382
TITLE: Preparation of urea derivatives as activated blood
coagulation factor X (FXa) inhibitors
Kubo, Keiji; Imaeda, Yasuhiro
INVENTOR(S):
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 236 pp.

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058823	A1	20050630	WO 2004-JP18717	20041215
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NI, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TZ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BM, BG, GM, KE, LS, MM, MZ, NA, SD, SL, SC, VN, YU, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MK, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, NG, ND, TG			
CA 2550012	A1	20050630	CA 2004-2550012	20041215
EP 1695961	A1	20050630	EP 2004-810796	20041215
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IL, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
PRIORITY APPLN. INFO.:			JP 2003-420031	A 20031217
			WO 2004-JP18717	W 20041215

OTHER SOURCE(S) : MARPAT 143:97382
GI



AB The title compds. I [Cy represents an optionally substituted aromatic hydrocarbon group or optionally substituted aromatic heterocyclic group;

R1 hydrocarbon group or optionally substituted aromatic heterocyclic group;

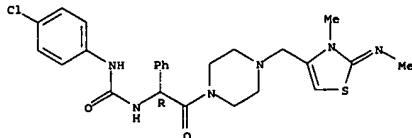
represents hydrogen or an optionally substituted hydrocarbon group; V represents C(O), S(O), or S(O)₂; W represents N(R₂), O, or a bond (R₂ represents hydrogen or an optionally substituted hydrocarbon group); X represents optionally substituted alkylene; Y represents C(O), S(O), or S(O)₂; Z represents a bond, an optionally substituted chain hydrocarbon group, etc.; ring represents an optionally substituted aromatic heterocyclic ring; B represents an optionally substituted nitrogenous heterocycle; and the dotted line indicates a single bond or

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 double bond, provided that R1 may be bonded to R2 to form an optionally substituted nonarom. nitrogenous heterocycle and that R2 may be bonded to a substituent of X to form an optionally substituted nonarom. nitrogenous heterocycle] are prepd. Thus, N-(4-chlorophenyl)-N'-(1R)-2,2-dimethyl-1-((3-(3-oxo-1H-imidazo[1,5-a]imidazol-2(3H)-yl)-1-pyrrolidinyl)carbonylpropyl)urea was prepd. in several steps from 1-benzyl-3-pyrrolidinamine and imidazole-2-carbaldehyde. Comps. of this invention in vitro showed IC50 values of 10 nM to 50 nM against FXa. Formulations are given.

IT 856416-76-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of urea deriva. as activated blood coagulation factor X inhibitors)

RN 856416-76-7 CAPLUS
 CN Piperazine,
 1-[(2R)-{[(4-chlorophenyl)amino]carbonyl}amino]phenylacetyl]-4-[[2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



IT 856418-61-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of urea deriva. as activated blood coagulation factor X inhibitors)

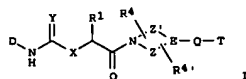
RN 856418-61-6 CAPLUS
 CN Carbamic acid, [(1R)-2-[4-[[2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 2005:540568 CAPLUS
 DOCUMENT NUMBER: 143:78086
 TITLE: Preparation of urea/carbamate derivatives as inhibitors of coagulation factor Xa for treatment of thromboembolic disorders
 INVENTOR(S): Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklaidis, Christos; Gleitz, Johannes
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056528	A1	20050623	WO 2004-EPI3202	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MG, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10358539	A1	20050707	DE 2003-10358539	20031215
AU 2004296956	A1	20050623	AU 2004-296956	20041119
CA 2549548	AA	20050623	CA 2004-2549548	20041119
EP 1694643	A1	20060830	EP 2004-820053	20041119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRIORITY APPL. INFO.: DE 2003-10358539 A 20031215				
WO 2004-EPI3202 W 20041119				

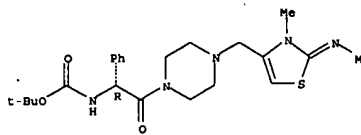
OTHER SOURCE(S): MARPAT 143:78086
 GI



AB Title compds. I [D = halo, alkoxy, etc.; X = amino, O; Y = O, S, amino, etc.; R1 = H, aryl, heteroaryl, etc.; E = CH, N, Z; Z' = acyl, etc.; O = O, amino, acyl, etc.; R4-4' = A, OH, alkoxy; T = (hetero)cyclyl, etc.] are prepared For instance, (R)-N-(4-chlorophenyl)-N'-(2-[4-(4-

Habte

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

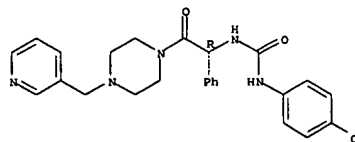
L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (fluorophenyl)piperazin-1-yl]-2-oxo-1-phenylethyl]urea (II) is prepd. in 3 steps from 1-methyl-4,4'-bipiperidinyl, (R)-N-(tert-butoxycarbonyl)phenylglycine and 4-chlorophenylisocyanate. II has IC50 = 6 x 10-9 M for Factor Xa. I are inhibitors of coagulation factor Xa and can be used for the prophylaxis and/or the treatment of thromboembolic diseases and for treating tumors.

IT 855524-66-2P 855524-79-7P, (R)-N-[2-(4-Benzylpiperazin-1-yl)-2-oxo-1-phenylethyl]-N'-(4-chlorophenyl)urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of urea/carbamate deriva. as inhibitors of coagulation factor Xa for treatment of thromboembolic disorders)

RN 855524-66-2 CAPLUS
 CN Piperazine,
 1-[(2R)-{[(4-chlorophenyl)amino]carbonyl}amino]phenylacetyl]-4-(3-pyridinylmethyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
 CRN 855524-65-1
 CMF C25 H26 Cl N5 O2

Absolute stereochemistry.



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2

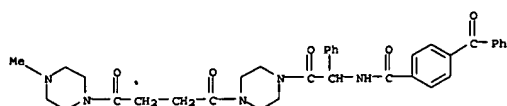


RN 855524-79-7 CAPLUS
 CN Piperazine,
 1-[(2R)-{[(4-chlorophenyl)amino]carbonyl}amino]phenylacetyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

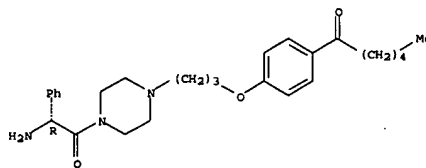
09/14/2006

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:510520 CAPLUS
 DOCUMENT NUMBER: 138:162955
 TITLE: Structure-Activity relationships of non-imidazole H3 Receptor ligands. Part 2: binding preference for d-Amino acids motifs
 AUTHOR(S): Faghhi, Ramin; Dwight, Wesley; Black, Larry; Liu, Huang; Gentles, Robert; Phelan, Kathleen; Eabenshade, Timothy A.; Ireland, Lynne; Miller, Thomas
 Thomas R.; Kang, Chae-Hee; Krueger, Kathy M.; Fox, Gerard B.; Hancock, Arthur A.; Bennani, Youssef L.
 CORPORATE SOURCE: Global Pharmaceutical Research and Development, Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6123, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2035-2037
 CODEN: BMCLSE; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:162955
 AB Structure-activity relationship studies on novel non-imidazole, d-amino acid containing ligands of histamine 3 receptors are presented.
 A-304121 is a d-alanine piperazine amide with high affinity at the rat H3 receptor.
 IT 497164-53-1
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (structure-Activity relationships of non-imidazole H3 Receptor ligands)
 RN 497164-53-1 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[3-[4-(1-oxohexyl)phenoxy]propyl]- (SCI) (CA INDEX NAME)
 Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

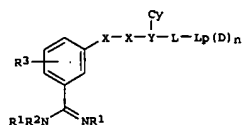
L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:354079 CAPLUS
 DOCUMENT NUMBER: 136:355487
 TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
 INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowicz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
 PATENT ASSIGNEE(S): Tularik Ltd., UK
 SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 485,678.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2004143018	A1	20040722	US 2004-752568	20040108
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2605	W 19980828
			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			US 2000-485678	A2 20000225
			WO 2000-GB2291	A2 20000613
			GB 1999-18741	A 19990809

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
US 2001-988082 A1 20011119

OTHER SOURCE(S): MARPAT 136:355487
GI



AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfonyl, alkylsulfonylamino, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1(R1)2, NR1 with at least one X being C, CO, CR1 or CR1(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched

alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxo, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(=NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. 3-Aminidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

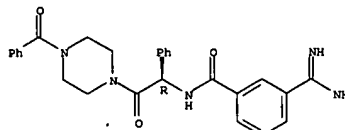
IT 221238-06-6P 221241-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of meta-benzamidine derivs. of amino acids or dipeptides)

as serine protease inhibitors)

RN 221238-06-8 CAPLUS
CN Benzamide,
3-(aminoiminomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1-phenylethyl]- (9CI) (CA INDEX NAME)

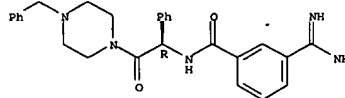
Absolute stereochemistry.



RN 221241-19-6 CAPLUS

CN Benzamide,
3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:923784 CAPLUS
DOCUMENT NUMBER: 136:54020
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Mylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXX22
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2411805	A1	20011120	CA 2001-2411805	20010612
EP 1289972	A1	20030312	EP 2001-936686	20010612
EP 1289972	B1	20040908		
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BR 2001011451	A	20030624	BR 2001-11451	20010612
JP 2004503547	T2	20040205	JP 2002-510456	20010612
NZ 521896	A	20040720	NZ 2001-521896	20010612
AT 275554	E	20040915	AT 2001-936686	20010612
US 200305246	A1	20030320	US 2002-30187	20020204
US 694467	B2	20050920		
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,				

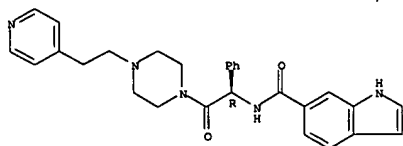
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
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EP 1397348 A2 20040317 EP 2002-778933 20020606
EP 1397348 B1 20050928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004534062 T2 20041111 JP 2003-503615 20020606
AT 305452 E 20051015 AT 2002-778933 20020606
ES 2248618 T3 20060316 ES 2002-2778933 20020606
NO 2002005665 A 20021125 NO 2002-5665 20021125
HR 200502997 B1 20050228 HR 2002-997 20021212
HK 1054379 A1 20050324 HK 2003-106546 20030911
US 2004162295 A1 20040819 US 2003-477192 20031117
US 2004142963 A1 20040722 US 2004-754923 20040112
US 6936611 B2 20050830
US 2004176363 A1 20040909 US 2004-803157 20040318
PRIORITY APPLN. INFO.: WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2001-GB2553 W 20010612
US 2001-339295P P 20011212
US 2002-30187 A1 20020204
WO 2002-US16569 W 20020606

OTHER SOURCE(S): MARPAT 136:54020

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisquinolyl; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group (at least one X is C, CO, CR1a or C(R1a)2), where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, alkylaminocarbonyl, alkoxyalkylaminocarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)saturated, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl or their physiol.-tolerable salts were

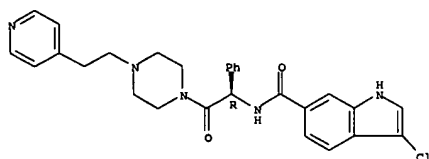
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 prepd. for use as serine protease inhibitors. Comps. of the invention
 were found to significantly elongate the partial thromboplastin time
 (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycyl)-4-
 phenethylpiperazine was prepd. in the first of 82 examples.
 IT 381720-62-3P 381720-64-5P 381721-10-4P
 381721-12-6P 381721-27-3P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (Preparation of amino acid derive. as serine protease inhibitors)
 RN 381720-62-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-
 pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-64-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-
 pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

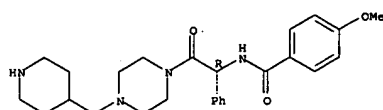


RN 381721-10-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(3-fluoro-4-pyridinyl)ethyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 381720-68-9P 381720-69-0P 381720-71-4P
 381720-73-6P 381720-75-8P 381720-82-7P
 381720-83-8P 381720-84-9P 381720-85-0P
 381720-86-1P 381720-87-2P 381720-88-3P
 381720-89-4P 381720-90-7P 381720-91-8P
 381720-92-9P 381720-93-0P 381720-98-5P
 381721-01-3P 381721-02-4P 381721-04-6P
 381721-06-8P 381721-08-0P 381721-09-1P
 381721-11-5P 381721-36-4P 381721-37-5P
 381721-41-1P 381721-42-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of amino acid derive. as serine protease inhibitors)
 RN 313489-20-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-
 piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)
 CM 1
 CRN 313489-19-9
 CMP C26 H34 N4 O3

Absolute stereochemistry.



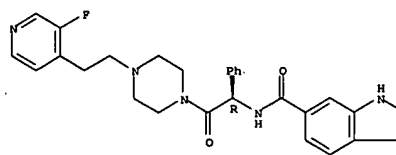
CM 2
 CRN 76-05-1
 CMP C2 H F3 O2



RN 313489-22-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-(1-methylethyl)-4-
 piperidinylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-,
 trihydrochloride
 (9CI) (CA INDEX NAME)

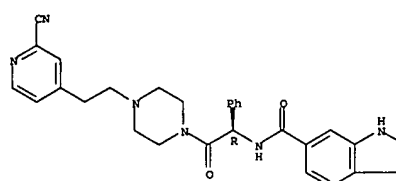
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



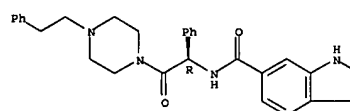
RN 381721-12-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(2-cyano-4-pyridinyl)ethyl]-1-
 piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



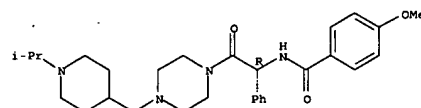
RN 381721-27-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-
 piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 313489-20-2P 313489-22-4P 313489-25-7P
 313489-58-6P 313489-59-7P 313489-60-0P
 313489-61-1P 313489-62-2P 313489-66-6P
 313489-67-7P 381720-59-8P 381720-66-7P

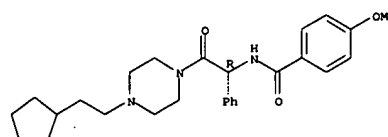
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 3 HCl

RN 313489-25-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-
 phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

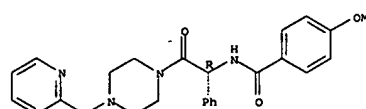
Absolute stereochemistry.



● HCl

RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-
 piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

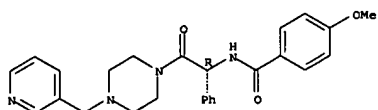
Absolute stereochemistry.



RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-
 piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

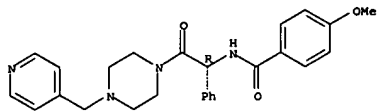
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



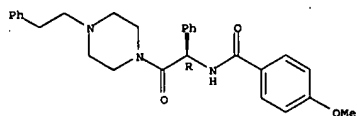
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

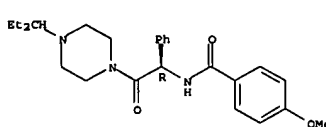
Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

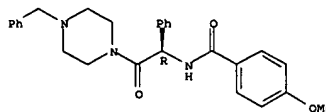
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



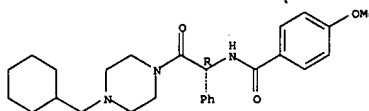
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

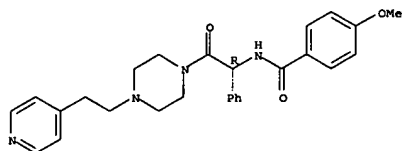
Absolute stereochemistry.



RN 381720-59-8 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

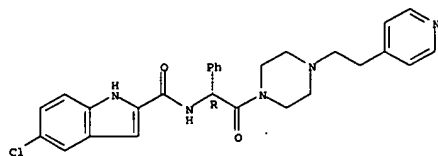
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

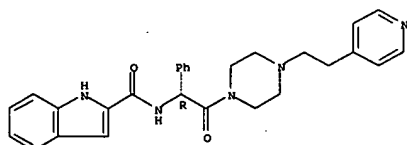
RN 381720-66-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



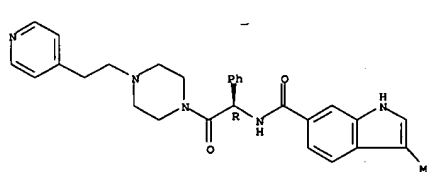
RN 381720-68-9 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



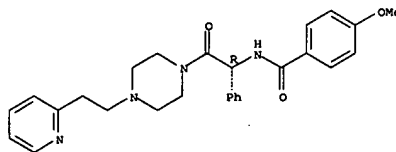
RN 381720-69-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



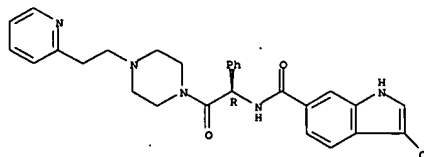
RN 381720-71-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-73-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

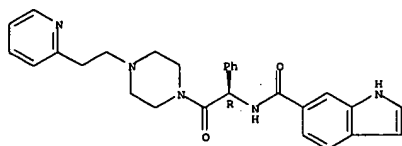
Absolute stereochemistry.



RN 381720-75-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

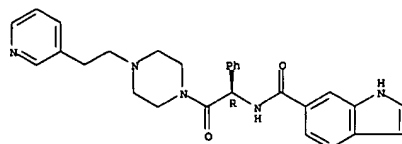
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



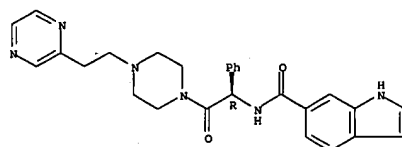
RN 381720-82-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(3-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-83-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyrazinylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

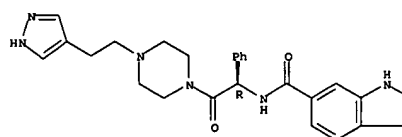


RN 381720-84-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-imidazol-1-yl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

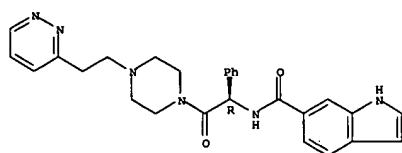
Absolute stereochemistry.



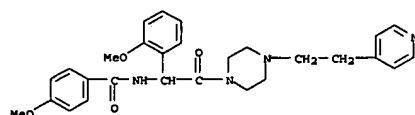
●x HCl

RN 381720-88-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(3-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

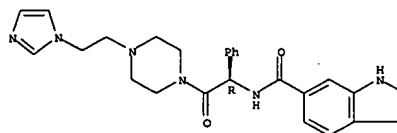


RN 381720-89-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1-(2-methoxyphenyl)-2-oxo-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



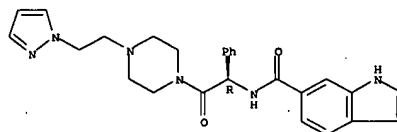
RN 381720-90-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1-(2-methoxyphenyl)-2-oxo-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



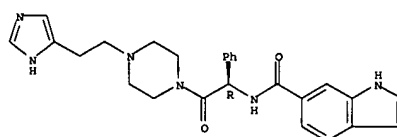
RN 381720-85-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-pyrazol-1-yl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-86-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-imidazol-4-yl)ethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

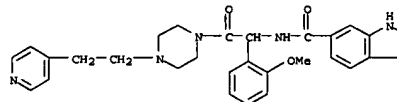
Absolute stereochemistry.



●2 HCl

RN 381720-87-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-pyrazol-4-yl)ethyl)-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

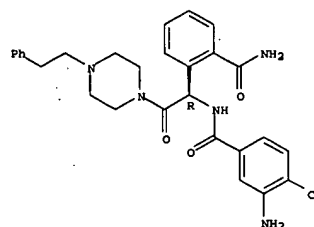
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 381720-91-8 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

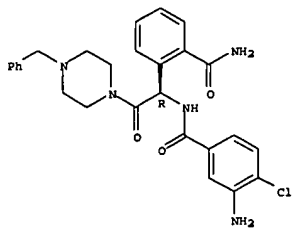
Absolute stereochemistry.



RN 381720-92-9 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

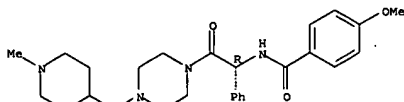
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



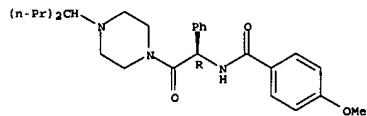
RN 381720-93-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



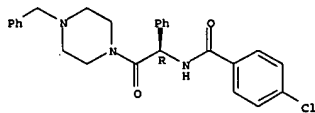
RN 381720-98-5 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[(1-propylbutyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381721-01-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[(4-(4-piperidinylmethyl)-1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



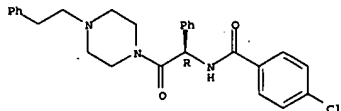
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 381721-06-8 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[(4-(2-phenylethyl)-1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
 CRN 381721-05-7
 CMF C27 H28 Cl N3 O2

Absolute stereochemistry.



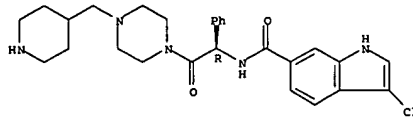
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



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L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

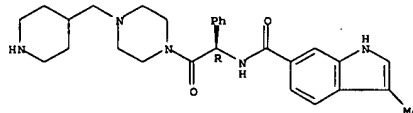
Absolute stereochemistry.



● 2 HCl

RN 381721-02-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[(4-(4-piperidinylmethyl)-1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 381721-04-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[(4-(phenylmethyl)-1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
 CRN 381721-03-5
 CMF C26 H26 Cl N3 O2

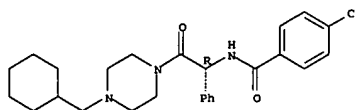
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 381721-08-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[(4-(cyclohexylmethyl)-1-piperazinyl)-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1
 CRN 381721-07-9
 CMF C26 H32 Cl N3 O2

Absolute stereochemistry.

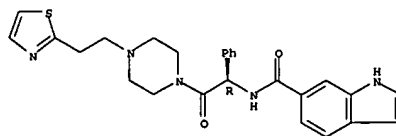


CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 381721-09-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-(2-(2-thiazolyl)ethyl)-1-piperazinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



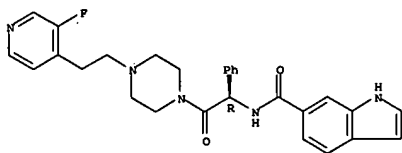
● x HCl

09/14/2006

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 381721-11-5 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(3-fluoro-4-pyridinyl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

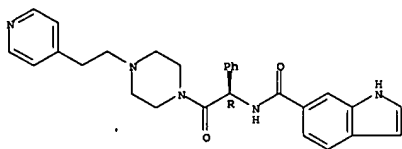
Absolute stereochemistry.



● x HCl

RN 381721-36-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

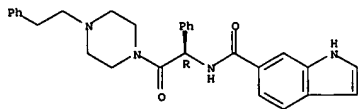


● 2 HCl

RN 381721-37-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

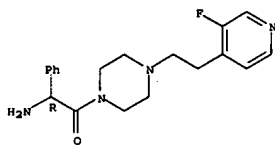
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

IT 381722-54-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)
 RN 381722-54-9 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-fluoro-4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

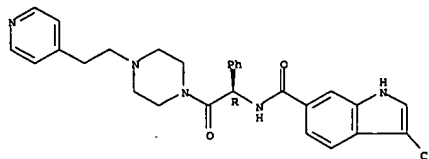


IT 313490-73-2P 313490-74-3P 313490-78-7P
 313490-79-8P 381721-89-7P 381721-91-1P
 381721-93-3P 381721-95-5P 381721-97-7P
 381721-99-9P 381722-01-6P 381722-03-8P
 381722-06-1P 381722-07-2P 381722-08-3P
 381722-09-4P 381722-10-7P 381722-15-2P
 381722-21-0P 381722-22-1P 381722-23-2P
 381722-24-3P 381722-25-4P 381722-26-5P
 381722-27-6P 381722-28-7P 381722-30-1P
 381722-31-2P 381722-32-3P 381722-33-4P
 381722-34-5P 381722-40-3P 381722-49-2P
 381722-50-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)
 RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(4-[(2R)-[(4-methoxybenzoyl)aminophenyl]acetyl]-1-piperazinyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Hatte

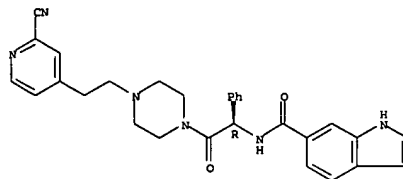
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 381721-41-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[2-(2-cyano-4-pyridinyl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

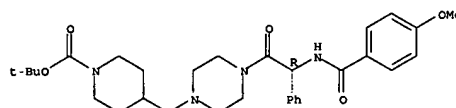


● x HCl

RN 381721-42-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(phenylethyl)-1-piperazinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

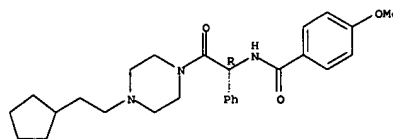
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



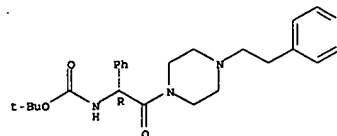
RN 313490-74-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[2-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-78-7 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

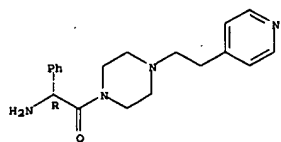


RN 313490-79-8 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(4-pyridinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/14/2006

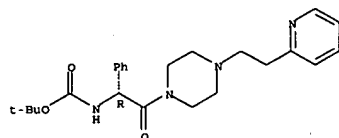
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● x HCl

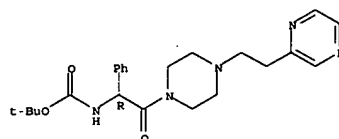
RN 381721-89-7 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381721-91-1 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyrazinylethyl)-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

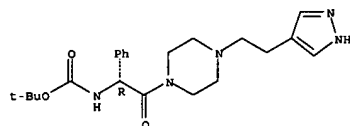
Absolute stereochemistry.



RN 381721-93-3 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(3-pyridazinyloxy)ethyl]-1-

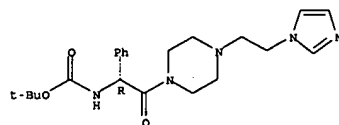
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



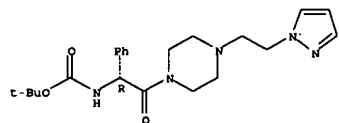
RN 381722-01-6 CAPLUS
 CN Carbamic acid, [(1R)-2-[4-[2-(1H-imidazol-4-yl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-03-8 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-pyrazol-4-yl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

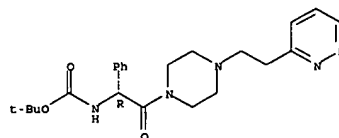


RN 381722-06-1 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinylethyl)-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

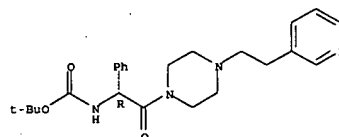
piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



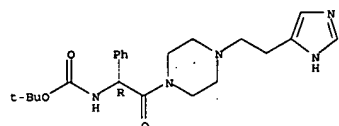
RN 381721-95-5 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(3-pyridinylethyl)-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



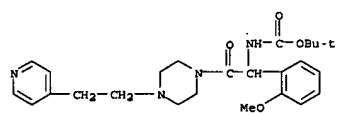
RN 381721-97-7 CAPLUS
 CN Carbamic acid, [(1R)-2-[4-[2-(1H-imidazol-4-yl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



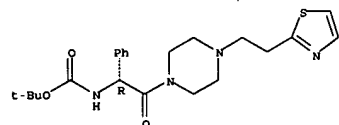
RN 381721-99-9 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(1H-pyrazol-4-yl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



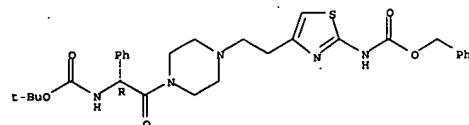
RN 381722-07-2 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(2-thiazolylethyl)-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-08-3 CAPLUS
 CN Carbamic acid, [4-[2-[4-[(2R)-[[1,1-dimethylethoxy]carbonyl]amino]phenyl]acetyl]-1-piperazinyl]ethyl]-2-thiazolylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

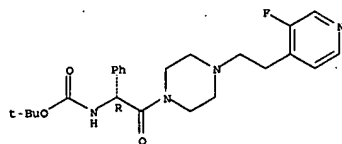
Absolute stereochemistry.



RN 381722-09-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[4-[2-(3-fluoro-4-pyridinylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

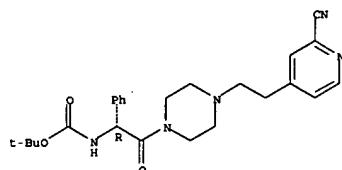
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



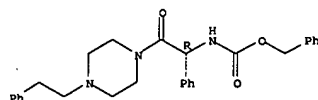
RN 381722-10-7 CAPLUS
 CN Carbamic acid, [(1R)-2-[4-[2-(2-cyano-4-pyridinyl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-15-2 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

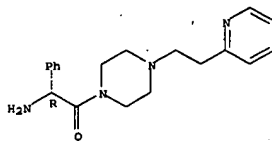
Absolute stereochemistry.



RN 381722-21-0 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

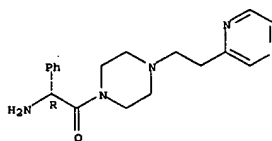
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



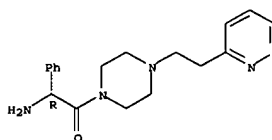
RN 381722-22-1 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-pyrazinylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-23-2 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-pyridazinyl)ethyl]- (9CI) (CA INDEX NAME)

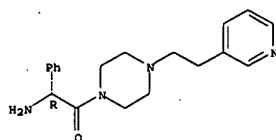
Absolute stereochemistry.



RN 381722-24-3 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

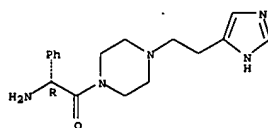
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



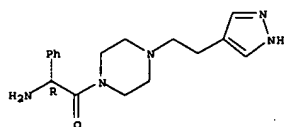
RN 381722-25-4 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-26-5 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-pyrazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

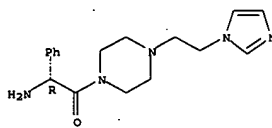
Absolute stereochemistry.



RN 381722-27-6 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

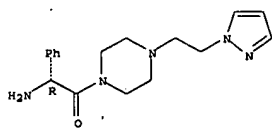
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

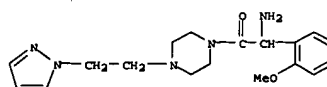


RN 381722-28-7 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-pyrazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



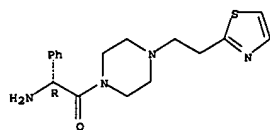
RN 381722-30-1 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(1H-pyrazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 381722-31-2 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-thiazolyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

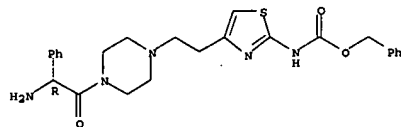
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 3 HCl

RN 381722-32-3 CAPLUS
CN Carbamic acid, 4-[2-[4-[(2R)-aminophenylacetyl]-1-piperazinyl]ethyl]-2-thiazolyl-, phenylmethyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

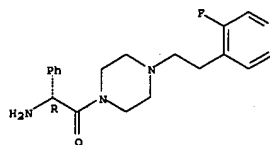


● 3 HCl

RN 381722-33-4 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(3-fluoro-4-pyridinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

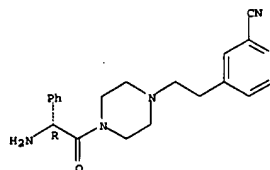
L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 3 HCl

RN 381722-34-5 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(2-cyano-4-pyridinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

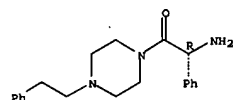


● 3 HCl

RN 381722-40-3 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

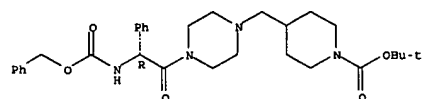
Absolute stereochemistry.

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



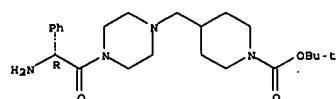
RN 381722-49-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-phenyl[(phenylmethoxy)carbonyl]amino]acetyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-50-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-aminophenylacetyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

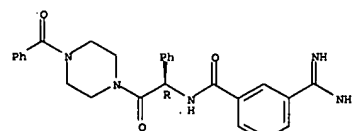
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:177455 CAPLUS
DOCUMENT NUMBER: 134:353501
TITLE: The design of phenylglycine containing benzamide carboxamides as potent and selective inhibitors of factor Xa
AUTHOR(S): Jones, S. D.; Liebeschuetz, J. W.; Morgan, P. J.; Murray, C. W.; Rimmer, A. D.; Roscoe, J. M. E.; Waszkowicz, B.; Welsh, P. M.; Wylie, W. A.; Young, S. C.; Martin, H.; Mahler, J.; Brady, L.; Wilkinson, K.
CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 733-736
CODEN: BMCLES; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353501
AB Factor Xa, a critical serine protease in the blood coagulation cascade, has become a target for inhibition as a strategy for the invention of novel anti-thrombotic agents. Here we describe the development of phenylglycine containing benzamide carboxamides as novel, potent and selective inhibitors of factor Xa. A number of highly focused libraries of compds. have been designed and synthesized giving rapid access to a series of potent and selective inhibitors of factor Xa. Key to the potency of these compds. is the lipophilic interaction between phenylglycine residue and the 'disulfide' pocket comprising Gln192, Cys191, Cys220 and Gly218.
IT 221238-06-8P 221241-19-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of libraries of phenylglycine containing benzamide carboxamides as selective inhibitors of factor Xa)
RN 221238-06-8 CAPLUS
CN Benzamide, 3-(aminoisinomethyl)-N-((1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

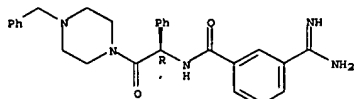


RN 221241-19-6 CAPLUS

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN Benzamide,
3-[(aminominoethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-
1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 339209-02-8P 339209-03-9P

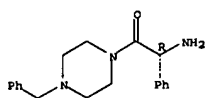
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of libraries of phenylglycine containing benzamide
carboxamides
as selective inhibitors of factor Xa)

RN 339209-02-8 CAPLUS

CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-(phenylmethyl)- (9CI) (CA INDEX
NAME)

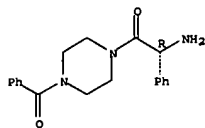
Absolute stereochemistry.



RN 339209-03-9 CAPLUS

CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-benzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR
THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900614 CAPLUS

DOCUMENT NUMBER: 134:56958

TITLE: Preparation of amino acid derivatives as serine
protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane;
Murray,

Wiley,

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular
Design Limited

SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2303	20000613
WO 2000076971	A3	20010802		
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RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU 2000054140	A5	20010102	AU 2000-54140	20000613
EP 1192132	A2	20020403	EP 2000-938916	20000613
EP 1192132	B1	20050907		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003502314	T2	20030121	JP 2001-503831	20000613
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ES 2248084	T3	20060316	ES 2000-938916	20000613
CA 2411798	AA	20011220	CA 2001-2411798	20010612
CA 2411805	AA	20011220	CA 2001-2411805	20010612
WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
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RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
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RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2001096304	A1	20011220	WO 2001-GB2572	20010612
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RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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EP 1289972	B1	20040908		
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EP 1289950	B1	20040908		
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EP 1289953	B1	20050907		
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EP 1289954	B1	20050914		
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JP 2004503532	T2	20040205	JP 2002-510440	20010612
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AT 304532	E	20050915	AT 2001-940716	20010612
ES 2247120	T3	20060301	ES 2001-1938403	20010612
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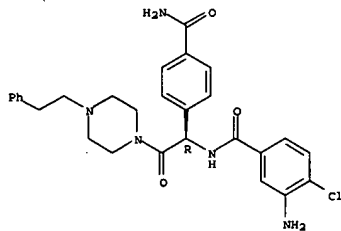
09/14/2006

L4	ANSWER 10 OF 22	CAPLUS	COPYRIGHT 2006 ACS on STN	(Continued)
	US 2002151724	A1	20021017	US 2002-30186
	US 6784182	B2	20040831	
	US 2003078438	A1	20030424	US 2002-30189
	US 6878725	B2	20050412	
	US 2003109706	A1	20030612	US 2002-30188
	US 7053078	B2	20060530	
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	US 2004142963	A1	20040722	US 2004-754923
	US 6936611	B2	20050830	
	US 2004176363	A1	20040909	US 2004-803157
	US 2004242656	A1	20041202	US 2004-876672
	US 2004259868	A1	20041223	US 2004-883715
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	US 2005032790	A1	20050210	US 2004-923010
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PRIORITY APPLN. INFO.:				
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				US 2001-926712
				A3 20011206
				US 2002-30187
				A1 20020204
				US 2002-30188
				A3 20020204
				US 2002-30189
				A3 20020204

OTHER SOURCE(S): MARPAT 134:56958
AB Compd. R2-X-X-Y(Cy)-L-Lp(D)n (R2 represents a 5- or 6-membered aromatic

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenylethyl]-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

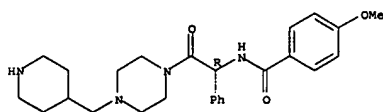


RN 313489-20-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-19-9
CMP C26 H34 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMP C3 H P3 Q2



RN 313489-21-3 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-

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14 ANSWER 10 OF 22 CAPLUS, COPYRIGHT 2006 ACOS ON STN (Continued)
carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents hydrogen, alkyl, alkoxy, acyl, amino, alkylamino, alkoxyalkyl, alkoxyalkenyl, alkoxyalkynyl, alkylaminocarbonyl, alkoxyacylaminocarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an oxygen linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)sat'd, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; and Z is a group for which the following are not factors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-gimino-2-naphthoyl)-D-phenylglycyl-L-4,4'-bis(piperidine) was prepd. and shown to double the

```

      procfrombin time at a concn: of 46 MW.
IT 313488-12-9P 313488-14-1P 313489-20-2P
    313489-21-3P 313489-22-4P 313489-23-5P
    313489-24-6P 313489-25-7P 313489-28-0P
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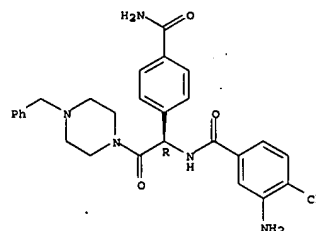
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid deriva. as serine protease inhibitors)

RN 313488-12-9 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

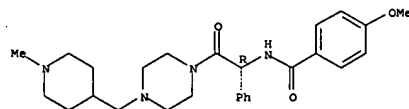


RN 313488-14-1 CAPLUS

CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-(4-(2-

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

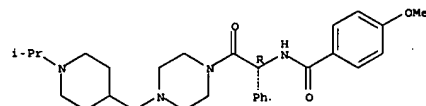


●x HCl

RN 313489-22-4 CAPLUS

CN Benzamide, 4-methoxy-N-[[1(R)-2-[4-[[1-(1-methylethyl)-4-piperidinyl]methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



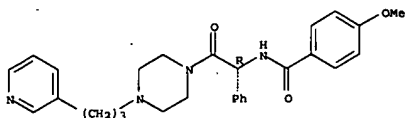
●₃ HCl

RN 313489-23-5 CAPLUS

4-methoxy-N-((1R)-2-oxo-1-phenyl-2-[4-(3-(3-pyridinyl)propyl]-1-piperazinyl]ethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

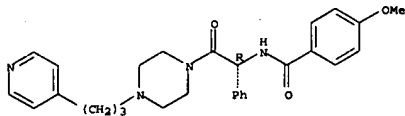
L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● x HCl

RN 313489-24-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[3-(4-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



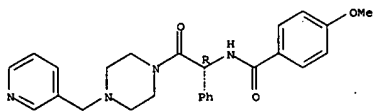
● x HCl

RN 313489-25-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

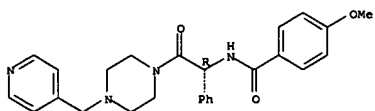
L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



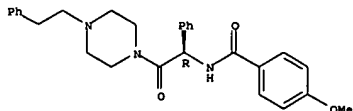
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

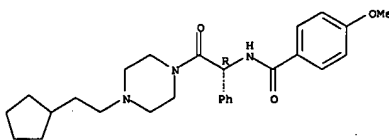
Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

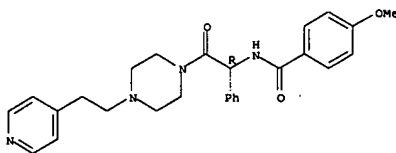
L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

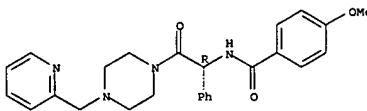
RN 313489-28-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



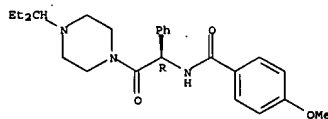
RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



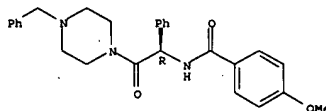
RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



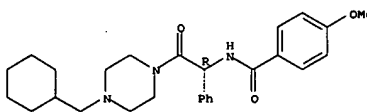
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

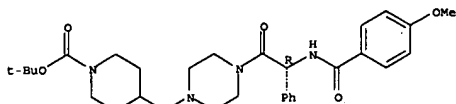


IT 313490-73-2P 313490-74-3P 313490-78-7P
 313490-79-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)

RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-[4-methoxybenzoyl]amino]phenyl]ethyl]-1-piperazinylmethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

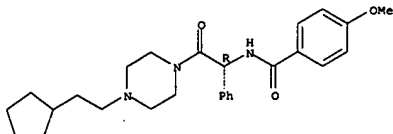
Absolute stereochemistry.

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



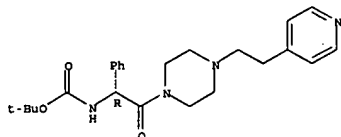
RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-78-7 CAPLUS
CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

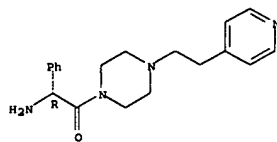
Absolute stereochemistry.



RN 313490-79-8 CAPLUS
CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(4-pyridinyl)ethyl]-,
hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●_x HCl

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000-900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine
protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane;
Murray.

Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, Christopher Willy; Michael, Robert

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

SOURCE: PCT Int. Appl., 350 pp.

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: EN
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	200011221	WO 2000-GB2296	20000613
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RM: GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE, BF, BJ, CP, CG, CI, CH, CA, GN, GW, ML, MR, NI, NG, ND, TG				
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EP 1192135	A2	20020403	EP 2000-938912	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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			US 1999-142064P	P 19990702
			GB 1999-18741	A 19990809
			GB 1999-29552	A 19991214
			GB 1999-29553	A 19991214
			WO 2000-GB2296	W 20000613

OTHER SOURCE(S): MARPAT 134:56957

AB Comds. R2-X-X(Y)(Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O, or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O, or S atom or a CO, CR1S, CR1S2 or NR1 group; where R1 represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxy carbonyl, alkylaminocarbonyl,

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L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group

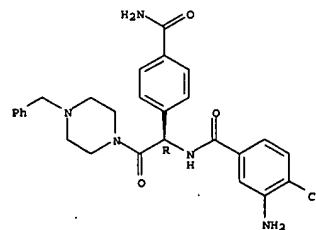
(R1b) defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-31 were prepd. for use as serine protease inhibitors. Comps. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycyl)-4,4'-bispeiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 μ M.

IT 313488-12-9P 313488-14-1P 313489-20-2P
313489-21-3P 313489-22-4P 313489-23-5P
313489-24-6P 313489-25-7P 313489-28-0P
313489-58-6P 313489-59-7P 313489-60-0P
313489-61-1P 313489-62-2P 313489-66-6P
313489-67-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of amino acid derivs., as serine protease inhibitors)

RN 313488-12-9 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-(4-phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- {9CI} (CA INDEX NAME)

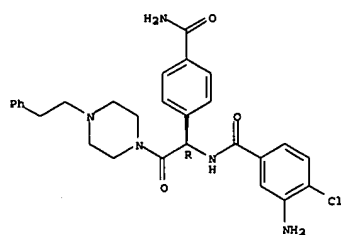
Absolute stereochemistry.



RN 313488-14-1 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

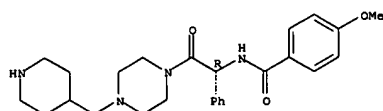


RN 313489-20-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(4-piperidinylmethyl)-1-piperazinylethyl)-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-19-9
 CNF C26 H34 N4 O3

Absolute stereochemistry.



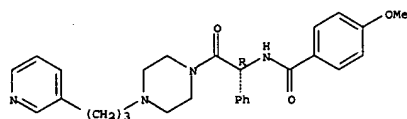
CM 2

CRN 76-05-1
 CNF C2 H F3 O2



RN 313489-21-3 CAPLUS

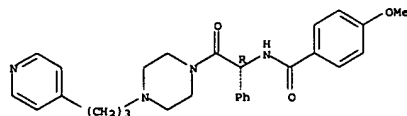
L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● x HCl

RN 313489-24-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(3-(4-pyridinyl)propyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

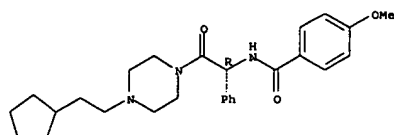
Absolute stereochemistry.



● x HCl

RN 313489-25-7 CAPLUS
 CN Benzamide, N-[(1R)-2-(4-(2-cyclopentylethyl)-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



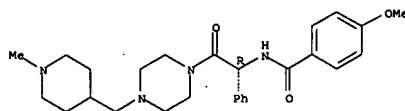
● HCl

RN 313489-28-0 CAPLUS

Habte

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzamide, 4-methoxy-N-[(1R)-2-(4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl)-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

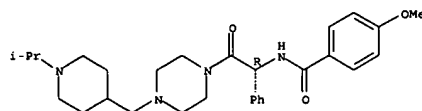
Absolute stereochemistry.



● x HCl

RN 313489-22-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-(4-[(1-methylethyl)-4-piperidinyl)methyl]-1-piperazinyl)-2-oxo-1-phenylethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



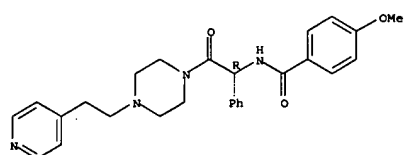
● 3 HCl

RN 313489-23-5 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(3-(3-pyridinyl)propyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

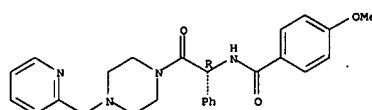
L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(2-(4-pyridinyl)ethyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



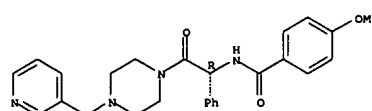
RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(2-(4-pyridinyl)ethyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(3-(3-pyridinyl)methyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

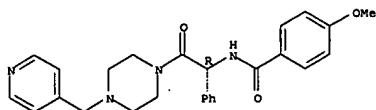


RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(4-(4-(4-pyridinyl)methyl)-1-piperazinylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

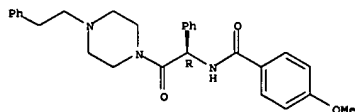
09/14/2006

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



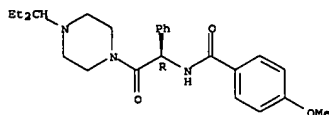
RN 313489-61-1 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

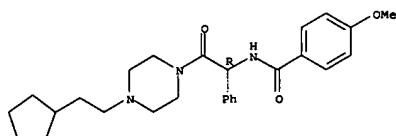
Absolute stereochemistry.



RN 313489-66-6 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

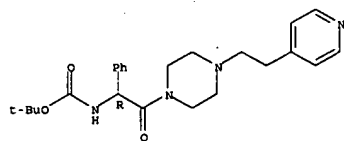
Absolute stereochemistry.

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



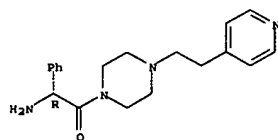
RN 313490-78-7 CAPLUS
 CN Carbamic acid, [(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



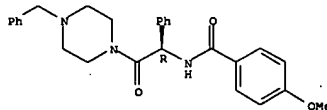
RN 313490-79-8 CAPLUS
 CN Piperazine, 1-[(2R)-aminophenylacetyl]-4-[2-(4-pyridinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



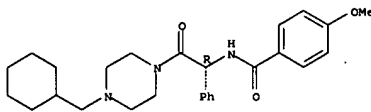
●x HCl

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



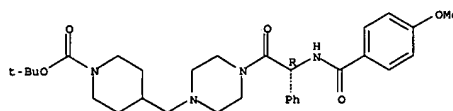
RN 313489-67-7 CAPLUS
 CN Benamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 313490-73-2P 313490-74-3P 313490-78-7P
 313490-79-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)
 RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(4-[(2R)-[4-methoxybenzoyl]amino]phenylethyl)-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-74-3 CAPLUS
 CN Benamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

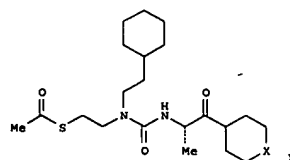
L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:640828 CAPLUS
 DOCUMENT NUMBER: 131:272178
 TITLE: Preparation of N-(mercaptoalkyl)urea derivatives of amino acids as inhibitors of TNF-α production
 INVENTOR(S): Mita, Shiro; Horiuchi, Masato; Ban, Masakazu; Suhara, Hiroshi
 PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 324 pp.
 DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950238	A1	19991007	WO 1999-JP1554	19990325
W: CA, CN, KR, NO, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000044533	A2	20000215	JP 1999-78346	19990323
JP 3603177	B2	20041222		
CA 2325741	AA	19991007	CA 1999-2325741	19990325
EP 1073591	A1	20010131	EP 1999-910724	19990325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6492370	B1	20021210	US 2000-623779	20000908
US 2002198376	A1	20021226	US 2002-147131	20020515
US 6730784	B2	20040504		
PRIORITY APPLN. INFO.:			JP 1998-79154	A 19980326
			WO 1999-JP1554	W 19990325
			US 2000-623779	A3 20000908

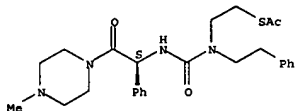
OTHER SOURCE(S): MARPAT 131:272178

GI



AB Prepared are α-[N'-(mercaptoalkyl)ureido]alkanamide compds. having a urea structure as the basic structure and carrying sulfur and amide bonds in side chains. The above compds. are represented by general formula R1S-A1(R7)-NR2CONR3-A2(R4)CONR5R6 [wherein R1 represents H,

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted lower alkyl or arom. group, RA-CO-, RC-S- or a group of formula S-A1(R7)-NR2CONR3-A2(R4)CONSR6; R2, R3 and R4 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted arom. group; R5 and R6 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted arom. group, or R5 and R6 may form together (un)substituted nonarom. heterocycle; R7 represents H, (un)substituted lower alkyl, cycloalkyl, hydroxy, mercapto, Ph, RB-O-, RC-S-, RD-COS-, RE-OCO-, RF-N(RG)- or -CONHOH; A1 and A2 represent each an alkylene; RA represents lower (halo)alkyl, arom. group, lower alkoxy, arom.-lower alkoxy, RF, or NRG;
RB represents lower alkyl or arom. group; RC represents H, lower alkyl, arom. group; RD represents lower alkyl or arom. group; RE represents H, lower alkyl, or arom. group, RF and RG represent H, lower alkyl, cycloalkyl, or arom. group). It has been found out that these compds. have pharmacol. effects, in particular, a tumor necrosis factor- α (TNF- α) prodn. inhibitory effect. They are useful as remedies for autoimmune diseases and as antirheumatics. Thus, (2S)-2-[3-[2-(acetylthio)ethyl]-3-(2-cyclohexylethyl)ureido]propionic acid (prepn. given) was condensed with N-methylpiperazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and N-methylmorpholine in CH₂Cl₂ at room temp. overnight to give the title compd. (I; X = NMe) in 78% yield. I (X = NMe) and I (X = O) at 50 mg/kg p.o. inhibited the Salmonella lipopolysaccharide-induced prodn. of TNF- α in rats by 84.6 and 93.5%, resp.
IT 245482-22-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(mercaptoalkyl)urea derivs. of amino acids as inhibitors of TNF- α production, antirheumatics, and remedies for autoimmune disease)
RN 245482-22-8 CAPLUS
CN Ethanethioic acid, S-[2-[[[1S]-2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]amino]carbonyl]-(2-phenylethyl)amino]ethyl ester (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS

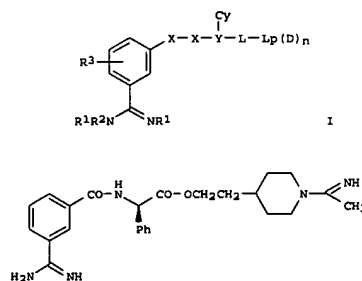
L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:184269 CAPLUS
DOCUMENT NUMBER: 130:237884
TITLE: Preparation of meta-benzamide derivatives of amino acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest
Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RM: GH, GM, KE, LS, MM, SD, SZ, UO, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888757	A1	19990322	AU 1998-88757	19980828
EP 1009758	A1	20000621	EP 1998-940430	19980828
EP 1009758	B1	20050601		
R: DE, FR, GB, IT				
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
US 2004143018	A1	20040722	US 2004-752568	20040108
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2605	W 19980828
			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			US 2000-485678	A2 20000225
			WO 2000-GB2291	A2 20000613
			US 2001-988082	A1 20011119

OTHER SOURCE(S): MARPAT 130:237884
GI

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

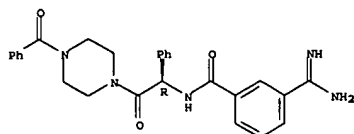
L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkenyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfenyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonamido, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamide group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxo, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for preparing some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-aminobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-aminobenzoic-D-phenylglycine ester II (preparation not given, but 1H NMR characterization data provided), at 1.9 μ M concentration, doubled the clotting time.
IT 221238-06-8P 221241-19-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

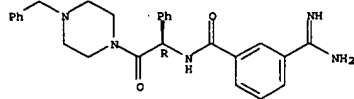
L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of meta-benzamide derivs. of amino acids or dipeptides as
 serine protease inhibitors)
 RN 221238-06-8 CAPLUS
 CN Benzamide,
 3-(aminoliminomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-
 1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-19-6 CAPLUS
 CN Benzamide,
 3-(aminoliminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-
 1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR
 THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:184268 CAPLUS
 DOCUMENT NUMBER: 130:223587
 TITLE: 1-amino-7-isoquinoline derivatives as serine protease
 inhibitors
 INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander;
 Waszkowicz, Bohdan; Murray, Christopher William;
 Rimmer, Andrew David; Welsh, Pauline Mary; Jones,
 Stuart Donald; Roscoe, Jonathan Michael Ernest;

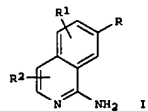
Young, Stephen Clinton; Morgan, Phillip John; Camp, Nicholas
 Paul; Crew, Andrew Philip Austin
 Proteus Molecular Design Ltd., UK
 PATENT ASSIGNEE(S):
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911657	A1	19990311	WO 1998-GB2600	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888753	A1	19990322	AU 1998-88753	19980828
EP 1012166	A1	20000628	EP 1998-940425	19980828
EP 1012166	B1	20031029		
R: CH, DE, ES, FR, GB, IT, LI, NL				
US 6262069	B1	20010717	US 2000-485677	20000225
US 2002040144	A1	20020404	US 2001-865418	20010529
US 6420438	B1	20020716	US 2000-865418	20010529
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2600	W 19980828
			US 2000-485677	A1 20000225

OTHER SOURCE(S): MARPAT 130:223587
 GI

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



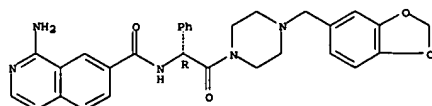
AB Aminoisoquinoline amino acid derivs. I (R1 = H, halo, cyano, nitro, hydroxy, amino, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, thiol, alkylthio, aminosulfonyl, alkoxyalkyl, alkoxy-carbonyl, acyloxymethoxycarbonyl or alkylamino (optionally substituted); R2 = H, halo, Me, amino, hydroxy, or oxo; and R is X-X-Y(R7)-L-Lp(D)n, where each X independently is a C, N, O or S atom or a CO, CR1, CR12 or NR1 group; Y is a nitrogen atom or a CR1 group or Y and L taken together form a cyclic group; R7 is a lipophilic group selected from alkyl, alkenyl, mono- or bi-cycloalkyl, aryl, heteroaryl, mono- or bicycloalkylalkyl, mono- or bicycloalkylalkenyl, aralkyl, heteroaryl-alkyl, arylalkenyl, heteroarylalkenyl, all optionally substituted by a group R1; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Lp is a lipophilic organic group selected from alkyl, heterocyclic, alkenyl, alkaryl, cycloalkyl, polycycloalkyl, cycloalkenyl, aryl, aralkyl or haloalkyl group or a combination of two or more such groups optionally substituted by one or more of oxa, thia, aza or R1 groups; D is a hydrogen

bond donor group; and n is 0, 1, or 2) or their 3,4-dihydro derivs. were prepared as serine protease inhibitors. Thus, 1-aminoisoquinoline-7-yl-D-phenylglycine-4-methoxybenzylamide was prepared by amidation of Boc-D-phenylglycine with 4-methylbenzylamine, followed by deprotection and

coupling with 1-aminoisoquinoline-7-carboxylic acid trifluoroacetate.
 IT 221049-93-0P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminoisoquinoline peptidyl derivs. as serine protease inhibitors)

RN 221049-93-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR
 THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:314613 CAPLUS

DOCUMENT NUMBER: 127:17714

TITLE: Stereoselective reactions. 25. Enantioselective deprotonation of prochiral 4-substituted cyclohexanones by chiral chelated lithium amides Shirai, Ryuichi; Sato, Daisaku; Aoki, Kazumasa; Tanaka, Masahide; Kawasaki, Hisashi; Koga, Kenji

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, University of Tokyo, Tokyo, 113, Japan

SOURCE: Tetrahedron (1997), 53(17), 5963-5972

CODEN: TETRAH; ISSN: 0040-4020

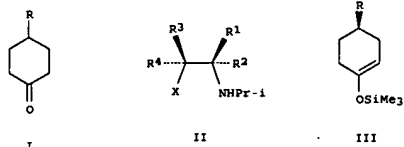
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:17714

GI



AB Enantioselective deprotonation of prochiral 4-substituted cyclohexanones

I (R = CMe3, Ph, CHMe2, Me) by chiral chelated Li amides, e.g., II (e.g.,

R1 = Ph, CMe3, R2 = R3 = R4 = H, X = Li) in the presence of excess Me3SiCl was realized to give the corresponding chiral silyl enol ethers III in up to 89% ee. Enantioselectivity of the reaction is dependent on the solvent

used, but becomes almost independent on the solvent in the presence of HMPA. The sense of asym. induction can be correlated to the configuration

at the chiral C bearing amide N of the Li amide.

IT 190442-39-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and lithium aluminum hydride-reduction of carbonyl group

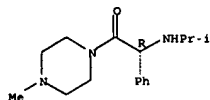
of)

RN 190442-39-8 CAPLUS

CN Piperazine, 1-methyl-4-[[[(1-methylethyl)amino]phenylacetyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 157303-85-0P

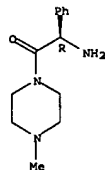
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and selective alkylation of amine group of)

RN 157303-85-0 CAPLUS

CN Piperazine, 1-(aminophenylacetyl)-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 54

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:488675 CAPLUS

DOCUMENT NUMBER: 125:142561

TITLE: Preparation of 4-(2-quinolinylmethoxy)phenylacetic acid derivatives with antiatherosclerotic activity Mueller, Ulrich; Connell, Richard; Goldmann, Siegfried; Mohr, Klaus-Helmut; Angerbauer, Rolf; Mueller-Gliemann, Matthias; Niewoehner, Ulrich; Gruetzmann, Rudi; Beuck, Martin; et al.

PATENT ASSIGNER(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 156 pp.

CODEN: GWOXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

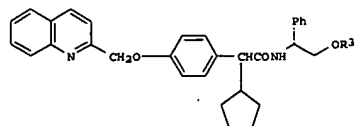
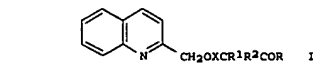
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4443892	A1	19960613	DE 1994-4443892	19941209
EP 719763	A1	19960703	EP 1995-118606	19951127
R: CH, DE, FR,	GB, IT, LI			
US 5693650	A	19971202	US 1995-567764	19951205
JP 08245593	A2	19960924	JP 1995-345010	19951208
PRIORITY APPLN. INFO.:			DE 1994-4443892	A 19941209

OTHER SOURCE(S): MARPAT 125:142561

GI



AB Title compds. I [X = (un)substituted phenylene; R1 = H, OH, alkyl; R2 = H,

OH, alkyl, alkoxy, halogen, cycloalkyl, indanyl; R1R2 = alkylene; R = substituted amino] (> 400 compds.) were prepared. Thus, (R)- and (S)-acetates II (R3 = Ac) were obtained by esterifying the racemic acid with (R)-HOCHPhNH2, separating (R)- and (S)-II (R3 = H) and acetylating.

IT 179812-70-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Habte

L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

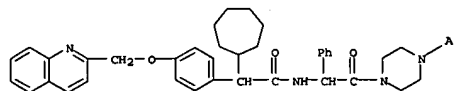
(prepn. of quinolinylmethoxyphenylacetic acid deriva. with antiatherosclerotic activity)

RN 179812-70-5 CAPLUS

CN Cycloheptanecetamide,

N-[2-(4-acetyl-1-piperazinyl)-2-oxo-1-phenylethyl]-

a-[4-(2-quinolinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



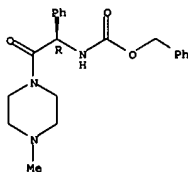
L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:557486 CAPLUS
 DOCUMENT NUMBER: 121:157486
 TITLE: Stereoselective reactions. XXII. Design and synthesis of chiral chelated lithium amides for enantioselective reactions
 AUTHOR(S): Shirai, Ryuichi; Aoki, Kazumasa; Sato, Daisaku; Kim, Hee-Do; Murakata, Masatoshi; Yasukata, Tatsuro; Koga, Kenji
 CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(3), 690-3
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 121:157486
 GI



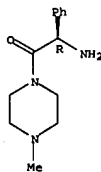
AB Chiral chelated lithium amides [(R)-I (R = CH₂Me₃, CHMe₂, CH₂CF₃, CH₂CH₂OCH₂CH₂OMe, CH₂CH₂OCH₂CH₂NMe₂, CH₂CH₂NMeCH₂CH₂NMe₂, X = CH₂; R = CH₂Me₃, X = NMe)] were designed and synthesized in optically pure forms starting from (R)-phenylglycine.
 IT 157303-84-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and N-deprotection of, in preparation of chiral chelated lithium amides)
 RN 157303-84-9 CAPLUS
 CN Carbamic acid, [2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



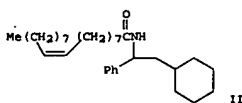
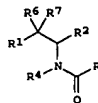
IT 157303-85-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reduction of, in preparation of chiral chelated lithium amides)
 RN 157303-85-0 CAPLUS
 CN Piperazine, 1-(aminophenylacetyl)-4-methyl-, (R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1994:533718 CAPLUS
 DOCUMENT NUMBER: 121:133718
 TITLE: 1,2-disubstituted ethyl amides as inhibitors of ACAT
 INVENTOR(S): Dugar, Sundeeep
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9406784	A1	19940331	WO 1993-US8705	19930921
W: AU, BB, BG, BR, BY, CA, CE, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5321031	A	19940614	US 1992-950379	19920923
AU 9351286	A1	19940412	AU 1993-51286	19930921
EP 662965	A1	19950719	EP 1993-922203	19930921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501557	T2	19960220	JP 1993-508276	19930921
US 5607931	A	19970304	US 1995-381958	19950320
PRIORITY APPL. INFO.:			US 1992-950379	A1 19920923
			WO 1993-US8705	W 19930921

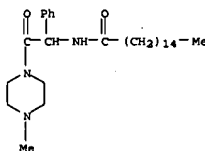
OTHER SOURCE(S): MARPAT 121:133718
 GI



AB Disubstituted amides I (R₁ = cycloalkyl; heterocyclyl, etc.; R₂ = Ph, etc.; R₃ = alkenyl, long-chain alkenyl, phenylalkyl, etc.; R₄, R₅, R₆ = H, substituent) and pharmaceutically acceptable salts thereof were disclosed.
 I are useful as inhibitors of acyl-CoA:cholesterol acyl transferase and in the treatment of atherosclerosis. An example compound, (2)-N-(2-cyclohexyl-1-phenylethyl)-9-octadecenamide (II). II (10 μM) inhibited ACAT in vitro by 92%.
 IT 157120-89-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as ACAT inhibitor)
 RN 157120-89-3 CAPLUS
 CN Hexadecanamide, N-[2-(4-methyl-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI)

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L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



09/14/2006

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:560317 CAPLUS

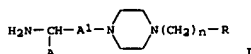
DOCUMENT NUMBER: 119:160317

TITLE: Preparation of alkylpiperazines as intermediates for lipooxygenase and cyclooxygenase inhibitors
 INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Akira; Yasumoto, Sanji; Ono, Naohiko; Shindo, Kyoji
 PATENT ASSIGNEE(S): Taiho Pharmaceutical Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
 CODEN: JKKXAF
 Patent
 DOCUMENT TYPE: Japanese
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05032645	A2	19930209	JP 1991-186173	19910725
PRIORITY APPLN. INFO.:			JP 1991-186173	19910725

OTHER SOURCE(S): MARPAT 119:160317

GI



AB The title compds. [I; R = H, OH, tetrahydropyranyloxy, alkoxycarbonyl; A =

H, phenyl; A1 = CH2, CO; n = 6-20 integer], intermediates for lipooxygenase and cyclooxygenase inhibitors useful as antiasthmatics, are prepared

E.g., a mixture of N-decylpiperazine hydrochloride,

N-tert-butoxycarbonylglycine,

NaHCO₃, 4-(dimethylamino)pyridine, and dicyclohexylcarbodiimide in CH₂Cl₂ was stirred at room temperature for 12 h to give 83% I [R = A = H, n =

10, A1 =

CO₂HCl, which in DMF was stirred at room temperature with NaHCO₃,

cafeic acid, 1-hydroxybenzotriazole, and dicyclohexylcarbodiimide at room temperature for 14

h to give 81.8% N-[2-(β-(3,4-dihydroxyphenyl)acryloyl)aminoacetyl]-N'-decylpiperazine, which in CH₂Cl₂ was treated with Et₃N, ClCO₂-Et with ice cooling to give 86% N-[2-(β-(3,4-bis(ethoxycarbonyloxy)phenyl)acryloyl)aminoacetyl]-N'-decylpiperazine. This at 100 mg/Kg p.o. effected a

22.6% antiasthmatic activity in IgE serum-treated marmots.

142515-16-OP 149845-94-3P 149845-95-4P

149845-96-5P

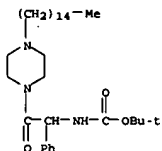
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and deprotection of)

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN Carbamic acid, [2-oxo-2-(4-pentadecyl-1-piperazinyl)-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

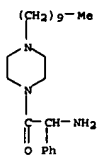


IT 142515-17-1P 149845-93-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for lipooxygenase and cyclooxygenase inhibitors)

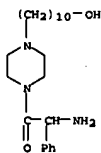
RN 142515-17-1 CAPLUS

CN Piperazine, 1-(aminophenylacetyl)-4-decyl- (9CI) (CA INDEX NAME)



RN 149845-93-2 CAPLUS

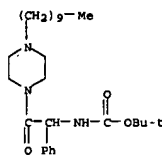
CN 1-Piperazinedecanol, 4-(aminophenylacetyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

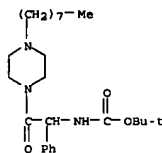
RN 142515-16-0 CAPLUS

CN Carbamic acid, [2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



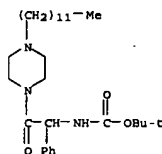
RN 149845-94-3 CAPLUS

CN Carbamic acid, [2-(4-octyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 149845-95-4 CAPLUS

CN Carbamic acid, [2-(4-dodecyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 149845-96-5 CAPLUS

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:591833 CAPLUS

DOCUMENT NUMBER: 117:191833

TITLE: Preparation of isoxazole compounds as cyclooxygenase and 5-lipoxygenase inhibitors

INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi

PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205162	A1	19920402	WO 1991-JP1253	19910920
W: AU, CA, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
JP 04134077	A2	19920507	JP 1990-253184	19900921
AA 19920322	AA	19920322	CA 1991-2091897	19910920
AU 9186318	A1	19920415	AU 1991-86318	19910920
AU 650484	B2	19940623		
EP 549797	A1	19930707	EP 1991-916594	19910920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5318970	A	19940607	US 1993-844561	19930317
PRIORITY APPLN. INFO.:			JP 1990-253184	A 19900921
			WO 1991-JP1253	A 19910920

OTHER SOURCE(S): MARPAT 117:191833

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Isoxazole deriva. [I; R = H, alkoxy; R1 = Q (wherein A = NH, O; B = CH₂, CO, Y = H, Ph, halophenyl; m = 0, 1; n = 1-12; X = H, OH, alkoxycarbonyl).

Q1 (wherein Z = pyrimidinyl), Q2 (wherein R2 = styryl, hydroxystyryl)]

are

prepared DCC was added dropwise to a solution of II, III, and a

catalytic amount

of 4-(dimethylamino)pyridine in MeCN under cooling and the distilled

residue

was purified on silica gel chromatog. and refluxed with maleic acid in

2-propanol to give 61% I (R = 4-MeO, R1 = Q wherein A = O, B = CH₂, X =

OH, Y = Ph, m = 1, n = 10). dimaleate. Also prepared were 12 addnl. I,

which

showed IC₅₀ at 0.066-0.146 μM against cyclooxygenase and 1.8-5.9 μM

against 5-lipoxygenase. Seven pharmaceutical formulations were given.

IT 142515-16-OP 143411-09-OP

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

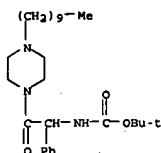
(Reactant or reagent)

(preparation and reaction of, in preparation of lipooxygenase

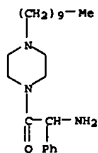
inhibitor)

RN 142515-16-0 CAPLUS

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Carbanic acid, [2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 143411-09-0 CAPLUS
 CN Piperazine, 1-(aminophenylacetyl)-4-decyl-, hydrochloride (9CI) (CA INDEX NAME)



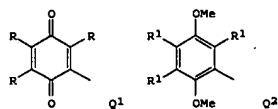
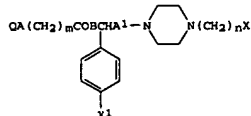
• x HCl

IT 143411-19-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as lipooxygenase and cyclooxygenase inhibitor)
 RN 143411-19-2 CAPLUS
 CN 5-Isosaxazoleacetamide, N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 3,4-bis(4-methoxyphenyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 143411-18-1
 CMP C41 H52 N4 O5

L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:469884 CAPLUS
 DOCUMENT NUMBER: 117:69884
 TITLE: Alkylpiperazine derivatives as 5-lipoxygenase and cyclooxygenase inhibitors
 INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Akira; Yasumoto, Sanji; Ono, Naohiko; Shindo, Kyoji
 PATENT ASSIGNEE(S): Taiho Seihin Kogyo K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JXOXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04054175	A2	19920221	JP 1990-163575	19900620
PRIORITY APPLN. INFO.:			JP 1990-163575	19900620

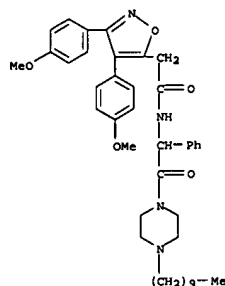
 OTHER SOURCE(S): MARPAT 117:69884
 GI



AB The title derive. I (A, A1 = CH2, CO; B = NH, O; X = H, OH; X1 = H, halo; Q = Q1, Q2; R = lower alkyl, R1 = H, lower alkyl; m = 0-2; n = 6-15) and their salts are prepared as 5-lipoxygenase and cyclooxygenase inhibitors (no data). Treating 1,4-dimethoxy-2,3,5-trimethylbenzene with mono-Et succinoyl chloride in CH2Cl2 in the presence of AlCl3 gave 74% 4-(2,5-dimethoxy-3,4,6-trimethylphenyl)-4-oxobutyric acid. Clemmensen reduction of which afforded 95% 4-(2,3,5-trimethyl-1,4-benzoquinon-6-yl)butyric acid (II). Then, II was treated with 1-(2-amino-2-phenylethyl)-4-[(10-(2-tetrahydropyranyloxy)decyl)piperazine (preparation given) in CH2Cl2 in the presence of 4-dimethylaminopyridine and DCC to give, after treatment with maleic acid, 77% I dimaleate (A, A1 = CH2, B = NH, X = X1 = H, Q = Q1, R = Me, m = 2, n = 10).
 IT 142515-16-0P, 1-(N-tert-Butoxycarbonylphenylglycyl)-4-

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L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

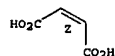


CM 2

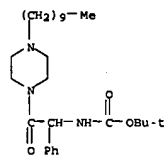
CRN 110-16-7

CMP C4 H4 O4

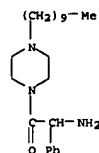
Double bond geometry as shown.



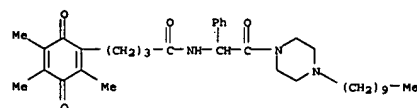
L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 decylpiperazine 142515-17-1P, 1-(2-Amino-2-phenylacetyl)-4-decylpiperazine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in manuf. of cyclooxygenase and lipoxygenase inhibitors)
 RN 142515-16-0 CAPLUS
 CN Carbanic acid, [2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 142515-17-1 CAPLUS
 CN Piperazine, 1-(aminophenylacetyl)-4-decyl- (9CI) (CA INDEX NAME)



IT 142515-22-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cyclooxygenase and lipoxygenase inhibitor)
 RN 142515-22-8 CAPLUS
 CN 1,4-Cyclohexadiene-1-butanamide, N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-2,4,5-trimethyl-3,6-dioxo- (9CI) (CA INDEX NAME)



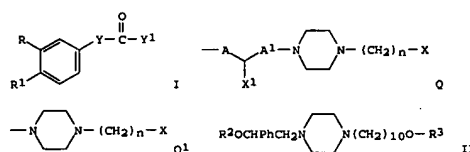
09/14/2006

L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:656227 CAPLUS
 DOCUMENT NUMBER: 115:256227
 TITLE: Preparation of alkylpiperazines as cyclooxygenase and lipooxygenase inhibitors
 INVENTOR(S): Suzuki, Masahiro; Nozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugi; Ono, Naohiko; Shindo, Takashi
 PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9111444	A1	19910808	WO 1991-JP60	19910119
W: AU, CA, JP, KR, US				
RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2050492	AA	19910727	CA 1991-2050492	19910119
CA 2050492	C	19961217		
AU 9170576	A1	19910821	AU 1991-70576	19910119
AU 637670	B2	19930603		
EP 465659	A1	19920115	EP 1991-902742	19910119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5182284	A	19930126	US 1991-761974	19910925
PRIORITY APPLN. INFO.:			JP 1990-16583	A 19900126
			WO 1991-JP60	A 19910119

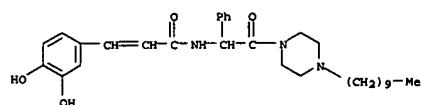
OTHER SOURCE(S): MARPAT 115:256227
 GI



AB The title compds. [I; R, R1 = OH, alkoxy, halo, H, dialkyl phosphate residue, etc.; or RR1 = OCH2O; Y = CH=CH, (CH2)m; m = 0, 1; Y1 = O, Q1; A = NH, O; A1 = CH2, CO; n = 6-20; X = OH, H, alkoxy, carbonyl; X1 = H, (halo)phenyl; however, when Y1 = Q1, R = R1 = OH] and their pharmaceutically acceptable salts, inhibitors of particularly 5-lipoxygenase, useful for treatment of asthma, etc., are prepared
 Stirring
 a mixt of (hydroxyethyl)piperazine II [R2 = H, R3 = tetrahydro-2-pyranyl]

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 with cinnamic acid in CH2Cl2 contg. 4-(dimethylamino)pyridine and dicyclohexylcarbodiimide at room temp. for 24 h gave, after deprotection by refluxing in MeOH contg. p-MeC6H4CO3H, 6% title compd. II [R2 = cinnamoyl, R3 = H]. The IC50 values for the title compd. II [R2 = 3,4-(OH)2C6H4CH2CO, R3 = H] are 9.9 and 0.32 μ M against cyclooxygenase and 5-lipoxygenase, resp. Tablets, granules, capsules, etc., contg. I were formulated.

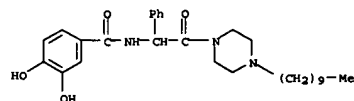
IT 137424-12-5P 137424-14-7P 137424-45-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cyclooxygenase and lipoxygenase inhibitors)
 RN 137424-12-5 CAPLUS
 CN 2-Propenamide, N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



RN 137424-14-7 CAPLUS
 CN Benzanide,
 N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-3,4-dihydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

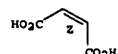
CRN 137424-13-6
 CMP C29 H41 N3 O4



CM 2

CRN 110-16-7
 CMP C4 H4 O4

Double bond geometry as shown.



RN 137424-45-4 CAPLUS

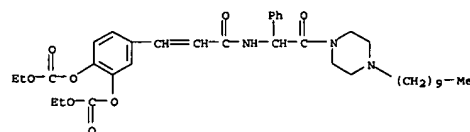
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L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Carbonic acid.

4-[3-[[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]amino]-3-oxo-1-propenyl]-1,2-phenylene diethyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

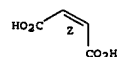
CRN 137424-44-3
 CMP C37 H51 N3 O8



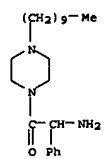
CM 2

CRN 110-16-7
 CMP C4 H4 O4

Double bond geometry as shown.



IT 137424-57-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for cyclooxygenase and lipoxygenase inhibitors)
 RN 137424-57-8 CAPLUS
 CN Piperazine, 1-(aminophenylacetyl)-4-decyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl